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NEWS
                Web Page URLs for STN Seminar Schedule - N. America
                 "Ask CAS" for self-help around the clock
NEWS
NEWS
                CA/CAPLUS - Russian Agency for Patents and Trademarks
                 (ROSPATENT) added to list of core patent offices covered
NEWS
        FEB 28
                PATDPAFULL - New display fields provide for legal status
                data from INPADOC
NEWS
    5 FEB 28
                BABS - Current-awareness alerts (SDIs) available
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22
               KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 12 MAR 22 PATDPASPC - New patent database available
NEWS 13 MAR 22
                REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 14 APR 04
                EPFULL enhanced with additional patent information and new
                fields
    15 APR 04
                EMBASE - Database reloaded and enhanced
NEWS
                New CAS Information Use Policies available online
NEWS
     16 APR 18
NEWS
    17 APR 25
                Patent searching, including current-awareness alerts (SDIs),
                based on application date in CA/CAplus and USPATFULL/USPAT2
                may be affected by a change in filing date for U.S.
                applications.
NEWS
    18 APR 28
                Improved searching of U.S. Patent Classifications for
                U.S. patent records in CA/CAplus
NEWS
      19 MAY 23
                GBFULL enhanced with patent drawing images
                REGISTRY has been enhanced with source information from
```

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP) AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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NEWS

20 MAY 23

CHEMCATS

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FILE 'HOME' ENTERED AT 08:37:21 ON 24 MAY 2005

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 23 MAY 2005 HIGHEST RN 850992-92-6 DICTIONARY FILE UPDATES: 23 MAY 2005 HIGHEST RN 850992-92-6

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading C:\Program Files\Stnexp\Queries\10630896\Q.str

```
chain nodes :
10 11 13 14 17 21 22 23 32
ring nodes :
1 2 3 4 5 6 7 8 9 25 26 27 28 29 33 34 35 36 37 38 40 41 42 43 44
45 46 47 48 49 50 51 52 53 54 55 56 57
chain bonds :
1-32 2-10 10-21 11-13 11-14 22-23 22-40 22-41 26-52
ring bonds :
1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 25-26 25-29 26-27 27-28 28-29
33-34 33-38 34-35 35-36 36-37 37-38 40-42 40-46 41-47 41-51 42-43 43-44 44-45
45-46 47-48 48-49 49-50 50-51 52-53 52-57 53-54 54-55 55-56 56-57
```

exact/norm bonds :

1-2 1-5 1-32 2-3 2-10 4-5 10-21 11-13 11-14 25-26 25-29 26-27 27-28 28-29

exact bonds :

22-23 22-40 22-41 26-52

normalized bonds :

3-4 3-6 4-9 6-7 7-8 8-9 33-34 33-38 34-35 35-36 36-37 37-38 40-42 40-46 41-47 41-51 42-43 43-44 44-45 45-46 47-48 48-49 49-50 50-51 52-53 52-57 53-54

54-55 55-56 56-57

G3:H,Cy,Ak

G4: [\*1], [\*2]

G5: [\*3], [\*4], [\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS 14:CLASS 17:Atom 21:CLASS 22:CLASS 23:CLASS 25:Atom 26:Atom
27:Atom 28:Atom 29:Atom 32:CLASS 33:CLASS 34:CLASS 35:Atom 36:Atom 37:Atom
38:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom

49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom

Element Count : Node 17: Limited

N,N1

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1.

STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:38:01 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3100 TO ITERATE

32.3% PROCESSED 1000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

58661 TO 65339

PROJECTED ANSWERS:

3234 TO 4950

L2 50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 08:38:11 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 61175 TO ITERATE

100.0% PROCESSED 61175 ITERATIONS

3913 ANSWERS

SEARCH TIME: 00.00.02

L3 3913 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.76 161.97

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 08:38:28 ON 24 MAY 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 24 May 2005 VOL 142 ISS 22 FILE LAST UPDATED: 23 May 2005 (20050523/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 142 L3

=> d ed ibib abs hitstr 1-142

#### 05/24/2005

L4 ANSWER 1 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 07 Apr 2005
ACCESSION NUMBER: 2005:297650 CAPLUS
DOCUMENT NUMBER: 142:363445
TITLE: Organic class Organic electroluminescent devices with high luminance and good stability on repetitive uses and materials

organic retections master teaches with high installation and good stability on repetitive uses and materials therefor Onikubo, Shunichi; Enokida, Toshio; Suda, Yasumasa; Toba, Yasumasa; Kimura, Yasumori; Kaneko, Tetsuya Toyo Ink Mfg. Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 37 pp. CODEN: JXXXAF
Patent INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. KIND DATE DATE PATENT NO. JP 2005089543 A2 20050407 JP 2003-322555 20030916
PRIORITY APPLN. INFO:

AB The materials contain condensed azacyclic compds. substituting, at the
azacyclic ring, electron-withdrawing groups which contain double bonds and
are not a part of other rings. The materials may further contain
phosphorescent substances (e.g., Ir or Pt complexes). Organic LED having
organic layers including one or more comprised of the materials are further
claimed.

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

use): USES (Uses)

(emitting layers; high-efficiency organic LED containing
electron-withdrawing
aracyclic compds. and phosphorescent compds.)
RN 849222-66-8 CAPUJS
CN INDEX NAME NOT YET ASSIGNED

ANSWER 2 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) biphenyl]-2-yl}-6-quinolinyl}-1-[2-(dimethylamino)ethyl}- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 3

L4 ANSWER 2 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 11 Feb 2005
ACCESSION NUMBER: 2005:120918 CAPLUS
DOCUMENT NUMBER: 142:219284
A preparation of bicyclic imidazole derivatives, useful for the treatment of viral infections mediated by flaviviridae family of viruses

INVENTOR(S): Schmitz, Franz Ulrich: Roberts, Christopher Don; Griffith, Ronald Conrad: Botyanszki, Janos; Gezginci, Mikail Hakan: Gralapp, Joshua Michael; Shi, Dong Fang; Liehr, Sebastian J. R.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc, USA
PCT Int. Appl., 327 pp.
CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE								D	ATE	
						-											
WO	2005	0122	88		Al		2005	0210	1	WO 2	004-	US24	755		2	0040	730
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	£G,	ES,	FI,	GB,	GD,
		GE,	GH,	GΜ,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	υz,	VC,	٧N,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR.	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG													
PRIORITY	IORITY APPLN. INFO.:									US 2	003-	4921	98P		P 2	0030	801
OTHER SC	HER SOURCE(S):						142:	2192	84								

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to a preparation of hicyclic imidazole derivs. of formula I (wherein: W is CH or N: R is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; X is a fused 6.6-bicycle; Y is halogen, CN, NOZ, alkyl, or acyl, etc.; Z is C(0)0-(H/alkyl/alk(en/yn)yl), C(0)NH(alkyl), or C(0)NH(aryl), etc.], useful for the treatment of Viral infections mediated by flaviviridae family of viruses. For instance, benzimidazole derivative II (HCV-NS5b enzyme assay, inhibition data: at 100 µM - 96.224, at 33 µM - 92.741) was prepared via amidation of III by aminoacid IV with a yield of 324 (example 4).

RI: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic imidazole derivs. useful for the treatment of viral infections mediated by flaviviridae family of viruses) 84:298-92-8 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-[2-(4'-chloro-4-methoxy[1,1'-

L4 ANSWER 3 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 05 Dec 2004
ACCESSION NUMBER: 2004:1038741 CAPLUS
Synthesis and antihypertensive activity of novel benzimidazole, benzoxazole and benzothiazole derivatives
AUTHOR(S): Abouzid, Khaled; Refaat, Hanan; Hakeem, Maha Abdel; Abdel-Naim, Ashraf B.

CORPORATE SOURCE: Pharmaceutical Chemistry Department, Faculty of Pharmacy, Ain Shams University, Egypt
SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University (2002), 40(1), 7-13
CODEN: BFFHAB; ISSN: 1110-0931
COLUMENT TYPE: Journal

(Cairo University)

DOCUMENT TYPE: LANGUAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

A series of novel 2-(2-(4-arylpiperazin-1-y1)-2-oxoethylthio|benzazoles [I (X = S, O, NH; R = H, F)], 2-(4-(6-oxo-1,4,5,6-tetrahydropyridazin-3-y1)phenylamino-2-(1-methyl)-2-oxoethylthio|benzothiazole, 2-(4-(4-(4-pyridin-2-y1)piperazin-1-y1)lenylidenelphydrazinobenzothiazole, 2-(4-(4-(4-(pyridin-2-y1)piperazin-1-y1)-2-oxoethyl)-1-H-benzimidazoles [II (R2 = 4-BrC6H4 or 2-thienyl; R3 = Ph, 4-FC6H4, 2-pyrimidinyl)], and 5-((4-N-aubstituted-piperazin-1-y1) carbox(byl)-1-H-benzimidazoles [III (R4 = Me, 2-CF3C6H4CHZCH2)] were synthesized and evaluated for hypotensive activity on normotensive cats. Preliminary screening demonstrated significant hypotensive effect for some of the tested compds. 2-[2-(4-(4-Fluorophenyl) piperazin-1-y1)-2-oxoethylthio] benzimidazole was found to be the most active hypotensive agent among the tested compds. It exhibited significant effect at dose level of 0.004 mg/kg.

mg/kg.

IT 850705-32-7P 850705-33-8P 850705-34-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of benzimidazole, benzoxazole, and benzothiazole derivs. containing

anning a piperazine moiety and evaluation of antihypertensive activity) 850705-32-7 CAPLUS INDEX NAME NOT YET ASSIGNED

850705-33-8 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 3 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

850705-34-9 CAPLUS INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

142:411289
Synthesis and characterization of benzimidazole derivatives and study of their antibacterial and antifungal activities
Bhatt, Ashutosh K.; Shah, Palak R.; Karadla, Hasanali; Patel, H. D.
Chemistry Laboratory, Xavier Research Foundation, Ahmedabad, India
Oriental Journal of Chemistry (2004), 20(2), 385-388
CODEN: OJCHEG: ISSN: 0970-020X
Oriental Scientific Publishing Co.
Journal AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

NENT TYPE:

Journal
UAGE:

English

Acaction of 2-(2-Nedroxyphenyl)-1H-benzimidazole with p-aminobenzoic acid
and aromatic aldehydes in ethanol furnishes 1-(a-p-carboxyphenylaminobenzyl)-2-(2-Nydroxyphenyl)benzimidazole. Which on treatment with
o-phenylene diamine in pyridine results in the formation of
1-(a-p-benzimidazolyl-aminobenzyl)-2-(2-hydroxyphenyl)benzimidazole
(I) in the varying from 60-65%. Antibacterial and antifungal activities
of I were reported.
850246-80-9P 850246-81-0P 850246-82-1P
850246-83-2P 850246-81-0P 850246-81-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of benzimidazole derivs, and their antibacterial and antifungal activities) (preparation of Jenses | Capture | C

850246-81-0 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

850246-82-1 CAPLUS
Phenol, 2-[1-[1-[4-(1H-benzimidazo1-2-y1)pheny1]amino]-3-pheny1-2propeny1]-1H-benzimidazo1-2-y1]- (9CI) (CA INDEX NAME)

850246-83-2 CAPLUS Phenol, 2-[1-[[4-(1H-benzimidazol-2-yl)phenyl]amino]methyl]-1H-benzimidazol-2-yl] (CA INDEX NAME)

850246-84-3 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

850246-75-2P 850246-76-3P 850246-77-4P
850246-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (preparation of benzimidazole derivs. and their antibacterial and antifungal activities)
850246-75-2 CAPLUS
INDEX NAME NOT YET ASSIGNED

850246-76-3 CAPLUS INDEX NAME NOT YET ASSIGNED

850246-77-4 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

850246-78-5 CAPLUS INDEX NAME NOT YET ASSIGNED

850246-79-6 CAPLUS INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Preventive and/or therapeutic drugs for itch containing as the active
ingredient substances capable of suppressing the functions of GPR4
represented by the general formula I (R1 is substituted or unsubstituted
lower aikyl or the like: R2 is hydrogen, substituted or unsubstituted
lower aikyl, or the like: R3 and R4 are each independently hydrogen, lower
alkyl, or the like: n is 0 or 1: X = -(GR2)2- or the like: and Y = a group
represented by the general formula II wherein W is CH or nitrogen: Z1 and
Z2 are each independently hydrogen, substituted or unsubstituted lower
alkyl, or the like: and Z3 is hydrogen, substituted or unsubstituted lower
alkyl, or the like; and Z3 is hydrogen, substituted or unsubstituted lower
alkyl, or the like; ond Z3 is hydrogen, substituted or unsubstituted lower
alkyl, or the like, quaternary ammonium salts thereof, or pharmacol.
acceptable salts of both.
666717-31-99 666717-32-4P 666717-33-5P
666717-39-0P 666717-39-1P 666717-39-P
666717-39-0P 666717-39-1P 666717-40-4P
666717-41-5P 666717-42-6P 666717-42-6P
666717-5P 666717-42-6P
666717-5P
666717-5P
666717-61-606717-61-606717-61-606717-61-606717-61-606717-61-606717

666717-41-5P 666717-42-6P 666717-43-TP
RL: DMA (Drug mechanism of action): PAC (Pharmacological activity); SPN
(Synthetic preparation): THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preventive and/or therapeutic drugs for itch)
666717-31-3 CAPLUS
5H-Dibenz(b,f]azepine, 10,11-dihydro-2-{(4-methyl-1-piperazinyl)methyl}-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

5H-Dibenz(b,f)azepine, 2-{(3,6-dihydro-1(2H)-pyridinyl)methyl]-10,11-dihydro-8-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

666717-33-5 CAPLUS SH-Dibenz[b,f]azepine, 10,11-dihydro-2-((2-phenyl-1H-benzimidazol-1-yl)methyl]-8-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-34-6 CAPLUS
5H-Dibenz[b,f]azepine, 2-[(3,5-dimethyl-1-piperidinyl)methyl]-10,11-dihydro-8-((2-phenyl-1H-benzlmidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 04 Nov 2004 ACCESSION NUMBER: 2004:927078 CAPLUS DOCUMENT NUMBER: 141:388755

DOCUMENT NUMBER: TITLE:

INVENTOR (5):

141:388755
Preventive and/or therapeutic agent for neutrophil
inflammation disease
Saki, Mayumi: Nonaka, Hiromi: Miyaji, Hiromasa;
Takahashi, Chisa: Manabe, Haruhiko; Hiura, Naoko;
Miki, Ichiro; Abe, Yuzuru; Sasaki, Katsutoshi;
Kobatake, Choei; Ichikawa, Shunji; Goto, Akihisa;
Suda, Toshio
Kyowa Hakko Kogyo Co. Ltd., Japan
PCT Int. Appl., 203 pp.
CODEN: PIXXD2
Patent
Japanese

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO PATENT NO. KIND DATE DATE A1 20041104
AM, AT, AU, AZ,
CU, CZ, DE, DK,
HR, HU, ID, IL,
IT, LU, LV, MA,
PG, PH, PL, PT,
RT, TT, TZ, UA,
KE, LS, MW, MZ,
MD, RU, TJ, TM,
GB, GR, HU, IE,
BJ, CF, CG, CI, 20040423 WO 2004-JP5930 WO 2004093912 2004093912
W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TN,
RW: BW, GH, GM,
BY, KG, KZ,
ES, FI, FR,
SK, TR, BF,
TD, TG
APPLN. INFO: WO 2004-JP5930 , BB, BB, BR, BW, , DZ, EC, EE, EG, , IS, JP, KE, KG, MG, MK, MN, MW, , RU, SC, SD, SE, , US, UZ, VC, VN, , SL, SZ, TZ, UG, , BE, BG, CH, CY, , LU, MC, NL, PL, , GA, GN, GQ, GW, 20040423 BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, WX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW, ZM, ZW, AM, AZ, CZ, DE, DK, EE, PT, RO, SE, SI, ML, MR, NE, SN. BA, DM, IN, MD, RO, UG, SD, AT, IT, CM,

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 141:388755

ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

666717-35-7 CAPLUS 5H-Dibenz[b,f]azepine, 2-([1,4'-bipiperidin]-1'-ylmethyl)-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-37-9 CAPLUS
5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1yl)methyl]-8-[[4-{phenylmethyl}-1-piperidinyl}methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

666717-38-0 CAPLUS 5H-Dibenz(b,f)azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-y])methyl]-8-[(4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

666717-39-1 CAPLUS
1-Piperazinecarboxylic acid, 4-[[10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl]methyl]-5H-dibenz[b,f]azepin-2-yl]methyl]-, ethyl ester (SCI) (CA INDEX NAME)

(Continued)

L4 ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

666717-40-4 CAPLUS SH-Dibenz[b,f]azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-{{2-phenyl-lH-benzimidazol-1-yl]methyl}- (9CI) (CA INDEX NAME)

5H-Dibenz[b, f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl]methyl]-8-(3-thiazolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-42-6 CAPLUS SH-Dibenz|b,f|azepine-2-methanamine, N-butyl-10,11-dihydro-N-methyl-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS
5H-Dibenz[b,f]azepine, 2-{(3,4-dihydro-2(1H)-isoquinolinyl)methyl]-10,11-dihydro-8-{(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

L4 ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 27

(Continued)

L4 ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 30 Sep 2004
ACCESSION NUMBER: 2004:799454 CAPLUS
DOCUMENT NUMBER: 141:2912.29
Histone deacetylase inhibitors
Bressi, Jerome C.: Brown, Jason W.: Cao, Sheldon X.:
Gangloff, Anthony R.: Jennings, Andrew J.: Stafford, Jeffrey A.: Vu, Phong H.: Xlao, Xiao-Yi
SOURCE: PIXXD2
DOCUMENT TYPE: PATENT ASSIGNEE (S): Sprrx, Inc., USA
DOCUMENT TYPE: PATENT ASSIGNEE (S): English
FAMILY ACC. NUM. COUNT: 1
PATENT MINERPORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	PATENT NO.									ICAT				D.	ATE	
					-									-		
WO 200	40826	38		A2		2004	0930	1	WO 2	004-	JS83	12		2	0040	317
W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ВŽ,	CA,	CH,
	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	υs,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW
RW	: BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
	ES.	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
	SK,	TR.	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,
	TD,	TG														
US 200				Al		2004	1216	1	US 2	004-	8035	75		2	0040	317
US 200	42667	69		A1		2004	1230		US 2	004-	8033	44		2	0040	317
PRIORITY AP	PLN.	INFO	. :						US 2	003-	4554	37P		P 2	0030	317
									us-2	003-	5312	03F-		P 2	0031	219~

OTHER SOURCE(S):

MARPAT 141:291239

OTHER SOURCE(S):

MARPAT 141:291239

DISCOMMANNIA STREET AND THE SOURCE (S):

MARPAT 141:291239

Thus, 119 compds. were prepared which exhibited better than 1000 mM IC50 against HDACI, HDAC2, HDAC6, and HDAC8 (suberantilohydroxamic acid showed an IC50 of 63 nM in this assay). Many of these compds. were

3-(3-(1-substituted-lH-benzoimidazo1-2-yl)phenyl)acrylic acids and N-hydroxy-(3-(1-substituted-lH-benzoimidazo1-2-yl)phenyl)acrylic acids and IC50 of 63 nM in this assay). Many of these compds. were

3-(3-(1-substituted-lH-benzoimidazo1-2-yl)phenyl)acrylic acids and N-hydroxy-(3-(1-substituted-lH-benzoimidazo1-2-yl)phenyl)acrylic acids and IC50 of 63 nM in this assay). Many of these compds. were

3-(3-(1-substituted-lH-benzoimidazo1-2-yl)phenyl)acrylia acids and IC50 of 63 nM in this assay). T58693-62-73-758693-68-4

758693-32-2-758693-33-37-758694-05-2

758694-03-0 758694-04-1 758694-05-2

758694-25-6

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(histone deacetylase inhibitors)

RN: 75693-32-2 CAPIUS

CN: 2-Propenamide, N-hydroxy-3-(3-(1-(4-piperidinylmethyl)-1H-benzimidazo1-2-yl)phenyl]- (9C1) (CA INDEX NAME)

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

758693-33-3 CAPLUS
2-Propenamide, N-hydroxy-3-{3-[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]phenyl]- (9Cl) (CA INDEX NAME)

758693-65-1 CAPLUS 2-Propensmide, N-hydroxy-3-[3-[1-[2-(2-pyridinyl)ethyl]-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

758693-66-2 CAPLUS 2-Propenamide, N-hydroxy-3-[3-[1-{2-(3-pyridinyl)ethyl}]-1H-benzimidazol-2-yl]phenyl}- (9CI) (CA INDEX NAME)

758693-67-3 CAPLUS

## 05/24/2005

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-Propenamide, N-hydroxy-3-[3-[1-[2-(4-pyridinyl)ethyl]-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

758693-68-4 CAPLUS 2-Propenanide, N-hydroxy-3-[3-[1-[2-(1H-indol-2-yl)ethyl]-1H-benzimidazol-2-yl]penyl]- (9C1) (CA INDEX NAME)

758693-91-3 CAPLUS 2-Propenamide, N-hydroxy-3-[3-[1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-2-yl]phenyl]- [9C] (CA INDEX NAME)

758693-97-9 CAPLUS
2-Propenamide, 3-[3-[1-[2-(diethylamino)ethyl]-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

758694-25-6 CAPLUS 2-Propenamide, 3-{3-{1-{2-(dimethylamino)-2-phenylethyl}-1H-benzimidazol-2-yl}phenyl}-N-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

758694-01-8 CAPLUS 2-Propenamide, 3-{3-{1-{(1-ethyl-2-pyrrolidinyl)methyl}-1H-benzimidezol-2-yllphenyl]-N-hydroxy- (SCI) (CA INDEX NAME)

758694-03-0 CAPLUS
2-Propenamide, 3-[3-[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

758694-04-1 CAPLUS
2-Propenamide, 3-{3-{1-{2-{dimethylamino}-1-methylethyl}-1H-benzimidazol-2-yl}phenyl}-N-hydroxy- (9CI) (CA INDEX NAME)

758694-05-2 CAPLUS 2-Propenamide, 3-[3-[1-{2-[bis(1-methylethyl]amino]ethyl]-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 30 Sep 2004
ACCESSION NUMBER: 2004:799443 CAPLUS
COCUMENT NUMBER: 141:314324
TITLE: PATENT ASSIGNEE(S): Bell, Ian M.; Gallicchio, Steven N.; Theberge, Cory R.; Zhang, Xu-Fang; Stump, Craig; Zartman, C. Blair
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
FOT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT 1	10.			KIN	D :	DATE			APPL	ICAT:	ION	ΝО.		D.	ATE	
						-									-		
WO	2004	0826	05		A2		2004	0930	1	WO 2	004-1	JS72	89		2	0040	310
WO	2004	0826	05		A.3		2004	1118									
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ.	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΧ,	MZ,	NA,	NI,
		NO.	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW.	GH,	GM,	KE,	LS.	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	51,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,
		TD,	TG														
ORIT	APP	LN.	INFO	. :						US 2	003-	4556	09P		P 2	0030	314
										US 2	003-	4866	42P		P 2	0030	711

OTHER SOURCE(S): MARPAT 141:314324

ANSWER 7 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
The title compds. [1; B = (un)substituted bicycloheterocycle; Al, A2 = a
bond, (un)substituted CH2; R4 = H, alkyl, fluoroalkyl, cycloalkyl, etc.;
R51, R52, R53 = H, alkyl, alkoxy, etc.; R6 = H, alkyl, cycloalkyl, etc.;
m, n = 1-2| that are antagonists of CGRP receptors and that are useful in
the treatment or prevention of diseases in which the CGRP is involved,
such as headache, migraine and cluster headache, were prepared E.g., a
multi-step synthesis of II, starting from 6-bromo-2-tetralone, was given.
The exemplified compds. I had activity as antagonists of the CGRP
receptor, generally with a Ki or IC50 value of C50uM. The invention is
also directed to pharmaceutical compns. comprising the compds. I and the
use of these compds. and compns. in the prevention or treatment of such
diseases in which CGRP is involved.
767303-85-87 767304-06-3P
RL: PRC (Pharmacological activity): SPN (Synthetic preparation); THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation) USES
(Uses)
[preparation of bicyclic anilide spirohydantoin CGRP receptor antagonists)
767303-85-5 CAPLUS
[H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5dioxospiro(imidazolidine-4,2'(1'H)-naphthalen]-6'-y1)-2-phenyl- (9CI) (CA
INDEX NAME)

767304-06-3 CAPLUS

1H-Benzimidazole-1-acetamide, N-{1',3'-dihydro-2,5-dioxopiro(imidazolidine-4,2'-[2H]inden)-5'-y1)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN phenyl- (9CI) (CA INDEX NAME) (Continued)

773856-87-4 CAPLUS 1H-Benzimidazole-1-acetamide, N-butyl-2-phenyl-α-(2-phenylethyl)-(9C1) (CA INDEX NAME)

1H-Benzimidazole-1-acetamide, N-cyclohexy1-α-(2-methylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)

773856-89-6 CAPLUS 1H-Benzimidazole-1-acetamide, N-cyclohexyl-2-phenyl- $\alpha$ -(2-phenylethyl)- (9CI) (CA INDEX NAME)

773856-90-9 CAPLUS  $1H-Benzimidazole-1-acetamide, N-(2,6-dimethylphenyl)-\alpha-(2-methylptopyl)-2-phenyl- (9CI) (CA INDEX NAME)$ 

L4 ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 17 Aug 2004 ACCESSION NUMBER: 2004:668307 CAPLUS DOCUMENT NUMBER: 141:332161

DOCUMENT NUMBER: TITLE: Highly efficient microwave-assisted fluorous Ugi and post-condensation reactions for benzimidazoles and

post-condensation reactions for benzimidazoles and quinoxalinones
Zhang, Wei: Tempest, Paul
Fluorous Technologies, Inc., University of Pittsburgh
Applied Research Center, Pittsburgh, PA, 15238, USA
Tetrahedron Letters (2004), 45(36), 6757-6760
CODEN: TELEAY: ISSN: 0040-4039 AUTHOR(S): CORPORATE SOURCE: SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: Elsevier

ISHER: Elsevier

BENT TYPE: Journal

UNGE: English

The efficiency of an Ugi/de-Boc/cyclization strategy for construction of heterocyclic compds. has been improved through the incorporation of microwave and fluorous technologies. In the synthesis of substituted quinoxalinones and benzimidazoles, a fluorous-Boc protected diamine is employed for the Ugi reactions. Both the Ugi and the post-condensation reaction proceed rapidly under microwave irradiation and the reaction mixts. are purified by solid-phase extraction (SPE) over FluoroFlash cartridges.

371158-44-0 773856-88-57 773856-88-67

773856-87-97 773856-88-57 773856-92-17

RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation of benzimidazoles and quinoxalinones via microwave-assisted fluorous Ugi/de-Boc/cyclization strategy)

371158-44-0 CAPLUS

1H-Benzimidazole-1-acetamide, N-cyclohexyl-α-[2-(methylthio)ethyl]-2-phenyl- (SCI) (CA INDEX NAME)

773856-85-2 CAPLUS  $\begin{array}{lll} & 1H-Benzimidazole-1-acetamide, & N-butyl-\alpha-\{2-methylpropyl\}-2-phenyl-\{9CI\} & (CA INDEX NAME) \end{array}$ 

773856-86-3 CAPLUS 1H-Benzimidazole-1-acetamide, N-butyl- $\alpha$ -[2-(methylthio)ethyl)-2-

ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

773856-91-0 CAPLUS lH-Benzimidazole-1-acetamide, N-(2,6-dimethylphenyl)- $\alpha$ -(2-(methylthio)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

773856-92-1 CAPLUS lH-Benzimidazole-l-acetamide, N-(2,6-dimethylphenyl)-2-phenyl- $\alpha$ -(2-phenyl-thyl)- (9C1) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 49

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 01 Jul 2004 ACS ON STN ACCESSION NUMBER: 2004:525589 CAPLUS DOCUMENT NUMBER: 141:292113

141:292113
Antimicrobial activity of some thiadiazolyl- and triazolylbenzimidazoles
Kus, Canan: Ayhan-Kilcigil, Guelguen: Altanlar, Nurten Faculty of Pharmacy, Department of Pharmaceutical
Chemistry, Ankara University, Tandogan-Ankara, 06100, Turk. AUTHOR (S): CORPORATE SOURCE:

SOURCE:

Turk. Ankara Universitesi Eczacilik Fakultesi Dergisi (2004), 33(1), 1-6 CODEN: AUEDE5; ISSN: 1015-3918 Ankara Universitesi Eczacilik Fakultesi

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

In this study, thirty nine benzimidazole derivs. namely 1-[(substituted thlocarbamoylhydrazine carbonyl)methyl]-2-phenyl-HH-benzimidazoles, N-[(2-phenylbenzimidazol-1-ylmethyl)-[1.3-4]thiadiazole-2-yl]-substituted Ph amines, and 5-(2-phenylbenzimidazol-1-ylmethyl)-4-substituted phenyl-4H-12,4-triazole-3-thiones were screened for their antimicrobial activities. Min. Inhibitory Concentration (MIC) values of the compds. were remined

activities. Min. Inhibitory Concentration (MIC) values of the compds. were rimined by the tube dilution method using Staphylococcus aureus and Bacillus subtilis as gram-pos. Eacherichia coli as gram-neg. bacteria and Candida albicans. Candida krusei and Candida parapsilosis as yeast-like fungi. All of the compds. were inactive against S. aureus, C. krusei and C. parapsilosis. Compds. I, II, and III (12.5 µg/mL) showed good inhibitory activity against C. albicans. 755010-60-7 755010-62-9 755010-70-9 755010-70-7 755010-76-7 755010-78-7 755010-80-9 755010-78-7 755010-80-9 755010-80-9 755010-80-9 755010-80-9 755010-90-1 755010-90-1 755010-90-1 755010-90-1 755010-90-1 755010-90-1 755010-90-1 755010-90-1 755011-00-8 755011-00-8 755011-00-8 755011-00-8 755011-00-2 755011-00-2 755011-00-2 755011-00-2 755011-00-2 755011-00-2 755011-00-1 755011

RI: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)
(antimicrobial activity of some thiadiazolyl- and triazolylbenzimidazoles)
755010-60-7 CAPLUS
HH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[(phenylamino)thioxomethyl]hy drazide (9CI) (CA INDEX NAME)

ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-72-1 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[[2-tluorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-74-3 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[[4-chlorophenyl)aminolthioxomethyl]hydrazide [9CI] (CA INDEX NAME)

755010-76-5 CAPLUS
IH-BenzimidazOle-1-acetic acid, 2-phenyl-, 2-[[[3-chlorophenyl]amino]thioxomethyl]hydrazide [9CI] (CA INDEX NAME)

755010-78-7 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-{[[2-chlorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-80-1 CAPLUS lH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[[4-bcrmophenyl)amino|thioxomethyl]hydrazide [9CI] (CA INDEX NAME)

Page 12

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755010-62-9 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4-methylphenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-64-1 CAPLUS
1H-Benzimidacole-1-acetic acid, 2-phenyl-, 2-[[(3-methylphenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-66-3 CAPLUS
1H-Benzimidarole-1-acetic acid, 2-phenyl-, 2-[[(2-methylphenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-68-5 CAPLUS |H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[(4-fluorophenyl)amino|thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-70-9 CAPLUS |H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-([[3-fluorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755010-82-3 CAPLUS 1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-{[(3-bromophenyl)amino|thioxomethyl|hydrazide (9CI) (CA INDEX NAME)

755010-84-5 CAPLUS
1N-Benzimidasole-l-acetic acid, 2-phenyl-, 2-[((2-bromophenyl)amino|thioxomethyl|hydrazide (9CI) (CA INDEX NAME)

755010-86-7 CAPLUS

1,3,4-Thiadiazol-2-amine, N-phenyl-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

755010-88-9 CAPLUS 1.3.4-Thiadiazol-2-amine, N-(4-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9C1) (CA INDEX NAME)

755010-90-3 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-92-5 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

755010-94-7 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(4-fluorophenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

755010-96-9 CAPLUS

ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 755011-02-0 CAPLUS 1,3,4-Thiadizol-2-amine, N-(3-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755011-04-2 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(2-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

755011-06-4 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(4-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755011-08-6 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(3-bromophenyl)-5-((2-phenyl-1H-benzimidazol-1-

ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1,3,4-Thiadiazol-2-amine, N-(3-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755010-98-1 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(2-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

755011-00-8 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(4-chlorophenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9C1) (CA INDEX NAME)

ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN y1)methy1)- (9CI) (CA INDEX NAME) (Continued)

755011-10-0 CAPLUS
1,3,4-Thiadiazo1-2-amine, N-(2-bromophenyl)-5-[(2-phenyl-1H-benzimidazo1-1-yl)methyl]- (9CI) (CA INDEX NAME)

755011-12-2 CAPLUS
3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-phenyl-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

755011-14-4 CAPLUS
3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(4-methylphenyl)-5-((2-phenyl-1H-benzimidzol-1-yl)methyl]- (9CI) (CA INDEX NAME)

#### 05/24/2005

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-16-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-18-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(2-methylphenyl)-5-{{2-phenyl-1H-benzimidazol-1-yl|methyl|- (9C1) (CA INDEX NAME)

RN 755011-20-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

RN 755011-22-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

(Continued)

RN 755011-24-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-{2-fluorophenyl}-2,4-dihydro-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

RN 755011-26-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-2,4-dihydro-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-28-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-30-4 CAPLUS
CM 3H-1,2,4-Triazole-3-thione, 4-(2-chlorophenyl)-2,4-dihydro-5-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

RN 755011-32-6 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(4-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 755011-34-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-{3-bromopheny1}-2,4-dihydro-5-{{2-phenyl-1H-benzimidazol-1-yl}methyl}- (9CI) (CA INDEX NAME)

RN 755011-36-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 17 Jun 2004
ACCESSION NUMBER: 2004:487927 CAPLUS
DOCUMENT NUMBER: 141:424144
Combinatorial synthesis of biheterocyclic benzimidazoles by microwave irradiation
AUTHOR(S): Yeh, Wen-Bing: Lin, Weil-Jung: Sun, Chung-Ming
Laboratory of Combinatorial Drug Design, National Tong
Hwa University, Hualien, 974, Taiwan
Combinatorial Chemistry and High Throughput Screening
(2004), 7(3), 251-255
CODEN: CCHSTU: ISSN: 1386-2073
Bentham Science Publishers Ltd.
JOURNEL LANGUAGE: English

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Liquid phase synthesis of biheterocyclic benzimidazoles, e.g., I, by controlled microwave irradiation was investigated. Polymer Immobilized ophenylenediamines was synthesized under microwave irradiation the resulting PEG bound diamines was N-acylated with 4-fluoro-3-nitrobenzoic acid selectively in primary aromatic amino moiety. Nucleophilic aromatic substitution of amide was performed with various amines then cyclized to form the first benzimidazole scaffold, e.g., II (% PEG), in acidic condition. Successive reduction, cyclization with isothiocyanates yielded 5-(benzimidazole-2-yl)benzimidazoles. The desired products were released from the polymer support to afford the tri-substituted bis-benzimidazoles in good yields and purity.
796881-10-5P 79681-02-6P 796841-09-3P
796881-10-5P 796841-02-6P 796841-09-3P
796881-10-6P
RE: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); AACT (Reactant) or reagent) (preparation of PEG-bound benzimidazolyphenylenediamines as bineterocyclic benzimidazole precursor via TFA-catalyzed cyclocondensation of PEG-bound (phenylenediaminecarbonyl)nitroanilines followed by nitro-reduction with zinc)
796841-01-5 CAPLUS
Poly(cxy-1,2-ethanediy1), a-[(1-[(4-morpholinyl)propyl)-2-[3-nitro-4-(propylaminolphenyl)-1H-benzimidazol-5-yl]carbonyl)-m-methoxy- (9CI)

796841-02-6 CAPLUS Poly(oxy-1,2-ethanediyl),  $\alpha$ -{{2-{4-(cyclopentylamino)-3-nitrophenyl}-

ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

796841-34-4 CAPLUS
[2, 5'-8i-1H-benzimidazole]-5-carboxylic acid, 1'-cyclopentyl-2'-[(3-methylphenyl)amino]-1-[3-(4-morpholinyl)propyl]-, methyl ester (9CI) (CA

796841-20-8F 796841-21-9F

//boss1-20-BF //boss1-21-9F
RE: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT
(Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant)

or reagent)
(preparation of biheterocyclic benzimidazoles via cyclization of PEG-bound benzimidazolylphenylenediamines with isothiocyanates followed by PEG cleavage with sodium methoxide)
796841-20-8 CAPLUS
Poly(oxy-1, 2-ethanediy1), a-{[1-[3-(4-morpholiny1)propy1]-2'-[4-nitrophenyl]amino]-1'-propy1[2,5'-bi-1H-benzimidazol]-5-y1]carbonyl]ω-methoxy- (9CI) (CA INDEX NAME)

ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1-[(4-morpholinyl)propyl]-1H-benzimidazol-5-yl]carbonyl)-0-methoxy-(9CI) (CA INDEX NAME)

796841-09-3 CAPLUS Polyloxy-1, 2-ethanediyl),  $\alpha$ -[{2-{3-amino-4-(propylamino)phenyl}-1-{3-(4-morpholino)propyl}-1H-benzimidazol-5-yl]carbonyl}-m-methoxy-(9CI) (CA INDEX NAME)

796841-10-6 CAPLUS Poly(oxy-1,2-ethanediy1),  $\alpha$ -[{2-[3-amino-4-[cyclopentylamino]phenyl}-1-[3-(4-morpholinyl)propyl]-1H-benzimidazol-5-yl]carbonyl]- $\omega$ -methoxy-[9C1) (CA INDEX NAME)

796841-33-3P 796841-34-4P

796841-33-3P 796841-34-4P
RL: CPN (combinatorial preparation); CMBI (Combinatorial study); PREP
(Preparation)
(preparation of biheterocyclic benzimidazoles via cyclization of PEG-bound
benzimidazolylphenylenediamines with isothiocyanates followed by PEG
cleavage with aodium methoxide)
796841-33-3 CRPUS
(2,5'-Bal-H-benzimidazole)-5-carboxylic acid, 1-[3-(4-morpholinyl)propyl]2'-[(4-nitrophenyl)amino]-1'-propyl-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-B

(Continued)

\_ NO2

796841-21-9 CAPLUS
Poly(oxy-1,2-ethanediy1), a-[[1'-cyclopenty1-2'-[[3-methylpheny1)amino]-1-[3-(4-morpholiny1)propy1][2,5'-bi-1H-benzimidazo1]-5-yl]carbony1]-e-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-B

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 10 Jun 2004
ACCESSION NUMBER: 2004:469777 CAPLUS
104:167216 Design, synthesis, and structure-activity
relationships of novel tetracyclic compounds as peripheral benzodiazepine receptor ligands okubo. Taketoshi: Yoshikawa, Ryoko: Chaki, Shigeyuki; Okuyama, Shigeru: Nakazato, Atsuro

CORPORATE SOURCE: Medicinal Chemistry Laboratory, Medicinal Research Laboratories, Taisho Pharmaceutical Co., Ltd. 1-403 Yoshino-cho, Kita-ku, Saitama-shi, Saitama, 331-9530, Japan

Japan Bloocania (Addicinal Chemiatry (2004), 12(13), 3569-3580 CODEN: BMECEP; ISSN: 0968-0896 Elsevier Ltd.

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI Journal English

SOURCE:

I

The peripheral benzodiazepine receptor (PBR) is pharmacol. distinct from the central benzodiazepine receptor (CBR) and has been identified in a wide range of peripheral tissues as well as in the central nervous system. Although numerous studies have been performed of it, the physiol. roles and functions of the PBR are still unclear. In the present study, in exploring new types of ligands for PBR, the authors found that a new series of compds. having a tetracyclic ring system, which were designed from FGIN-1-27, exhibited high affinities for PBR. The authors prepared and evaluated them for PBR affinities. The results of binding tests showed that two compds. were potent PBR ligands, one (I) having an IC50=0.37 nM. In this paper, the authors present the design, synthesis, and structure-activity relationships (SARs) of novel tetracyclic compds. 736161-67-77 736161-75-47 736161-76-59
RI: PRC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (design, synthesis, and structure-activity relationships of novel tetracyclic compds. as peripheral benzodiazepine receptor ligands) 736161-607 CAPLUS
IH-Benzimidazole-1-propanamide, N,N-dihexyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

736161-75-4 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(4-fluorophenyl)-N,N-dihexyl- (9CI) (CA
INDEX NAME)

736161-76-5 CAPLUS
1H-Benzimidazole-1-acetamide, N,N-dihexyl-2-phenyl- (9CI) (CA INDEX NAME)

770709-79-0P 770709-79-09
RE: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (design, synthesis, and structure-activity relationships of novel tetracyclic compds. as peripheral benzodiazepine receptor ligands) 770709-79-0 CAPLUS

1H-Benzimidazole-1-propanamide, N,N-dihexyl-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 14 May 2004 ACCESSION NUMBER: 2004:392964 CAPLUS DOCUMENT NUMBER: 141:46768

TITLE:

AUTHOR (S):

141:46768
Synthesis and Antioxidant Properties of Novel
Benzimidazole Derivatives
Ayhan-Kilciqil, Guelguen; Kus, Canan; Coban, Tuelay;
Can-Eke, Benay; Iscan, Mumtaz
Department of Pharmaceutical Chemistry, Faculty of
Pharmacy, Ankara University, Tandogan, Ankara, 06100, CORPORATE SOURCE:

TURK. Journal of Enzyme Inhibition and Medicinal Chemistry (2004), 19(2), 129-135 CODEN: JEIMAZ; ISSN: 1475-6366 Taylor & Francis Ltd. SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

ISHER: Taylor & Francis Ltd.

MENT TYPE: Journal

UAGE: English

Some novel benzimidazole derivs. carrying thiosemicarbazide and triazole

moieties at the NI position were synthesized and their in vitro effects on

rat liver microsomal NADPH-dependent lipid peroxidn. (LP) levels determined by

measuring the formation of 2-thiobarbituric acid reactive substance. The

free radical scavenging properties of the compds. were also examined in

vitro by determining the capacity to scavenge superoxide anion formation and

vitro by determining the capacity to scavenge superoxide anion formation are interaction with the stable free radical 2,2-diphenyl-1-picrylhydraryl (DPPH). The compds. showed a significant effect in the above tests except to scavenge superoxide anion formation. 705970-06-59 T05970-10-1P 705970-10-1P 705970-19-99 705970-14-59 705970-10-1P 705970-12-59 705970-12-39 705970-22-59 705970-24-79 705970-26-99 705970-27-0P RL: PAC (Pharmacological activity): PRP (Properties): RCT (Reactant): SPN (Synthetic preparation): TRU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RMCT (Reactant or reagent): USES (USes) (synthesis and antioxidant properties of novel benzimidazole derivs.) 705970-06-5 CAPUUS IH-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[(phenylamino)thloxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-08-7 CAPLUS

H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-({(4-methylphenyl)amino}thioxomethyl}hydrazide (9CI) (CA INDEX NAME)

705970-10-1 CAPLUS

ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-((13-methylphenyl)aminolthioxomethyllhydrazide (9CI) (CA INDEX NAME)

705970-12-3 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[{(2-methylphenyl)aminolthioxomethyl)hydrazide (9CI) (CA INDEX NAME)

705970-14-5 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-(4-chlorophenyl)-, 2-([(4-florophenyl)aminolthioxomethyl)hydrazide (9CI) (CA INDEX NAME)

705970-16-7 CAPLUS
IN-Benzimidazio-1-acetic acid, 2-(4-chlorophenyl)-, 2-[{(2-fluorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-18-9 CAPLUS 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(4-

ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

705970-27-0 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-{4-chlorophenyl}-, 2-{{{2-bromophenyl}amino}thioxomethyl}hydrazide (9CI) (CA INDEX NAME)

705970-29-2P 705970-31-6P 705970-33-0P 705970-35-0P 705970-37-2P 705970-49-6P 705970-43-0P 705970-45-2P 705970-47-4P 705970-49-6P 705970-51-0P 705970-53-2P

705970-53-19
RI: PAC (Pharmacological activity): PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Properties); USES (Uses) (synthesis and antioxidant properties of novel benzimidazole derivs.) 705970-29-2 CAPLUS 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)

705970-31-6 CAPLUS 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-lH-benzimidazol-1-yl]methyl]-2,4-dihydro-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

#### 05/24/2005

ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) chlorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-20-3 CAPLUS IN-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(3-chlorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-22-5 CAPLUS
IH-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(2-chlorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-24-7 CAPLUS
IH-Benzimidarole-l-acetic acid, 2-(4-chlorophenyl)-, 2-{{(4-bborophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-26-9 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[{(3-bromophenyl)amino}thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

705970-33-8 CAPLUS
3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-4-(3-methylphenyl)- (9CI) (CA INDEX NAME)

705970-35-0 CAPLUS
3H-1,2,4-Triazole-3-thione, 5-{{2-(4-chlorophenyl}-1H-benzimidazol-1-yl}methyl}-2,4-dihydro-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

705970-37-2 CAPLUS
3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyi)-lH-benzimidazol-l-yllmethyi]-4-(4-fluorophenyi)-2,4-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N CH2 N NH

RN 705970-39-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-4-(3-fluorophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)

C1 N NH NH

RN 705970-41-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-y1]methyl]-4-(2-fluorophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 NH

RN 705970-43-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-5-[(2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) benzimidazol-1-yl]methyl]-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 N NH

RN 705970-51-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-bromophenyl)-5-([2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-(9CI) (CA INDEX NAME)

C1 N CH2 N NH

RN 705970-53-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-5-{[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl}-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 NH NH

T 705970-58-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antioxidant properties of novel benzimidazole derivs.)
RN 705970-58-7 CAPLUS

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

C1 NH CH2 NH NH

RN 705970-45-2 CAPLUS
CN 3H-1,2,4-Triezole-3-thione, 4-(3-chlorophenyl)-5-[[2-(4-chlorophenyl)-1H-benzimdazol-1-yl]methyl]-2,4-dihydro- [901) (CA INDEX NAME)

N CH2 NH NH

RN 705970-47-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-{2-chlorophenyl}-5-{{2-(4-chlorophenyl}-1H-benzimidazol-1-yl]methyl}-2,4-dihydro-{9CI} (CA INDEX NAME)

C1 N NH

RN 705970-49-6 CAPLUS CN 3H-1,2,4-Triazole-3-thione, 4-(4-bromophenyl)-5-[[2-(4-chlorophenyl)-1H-

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN lH-Benzimidazole-l-acetic acid, 2-(4-chlorophenyl)-, hydrazide (9CI) (CA INDEX NAME)

C1 0 CH2-C-NH-NH

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 18

L4 ANSWER 13 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 26 Apr 2004 ACCESSION NUMBER: 2004:339480 CAPLUS DOCUMENT NUMBER: 141:98992

AUTHOR (S):

141:88992
A predictive pharmacophore model of human melanocortin-4 receptor as derived from the solution structures of cyclic peptides
Sun, Hongmao: Greeley, David N.; Chu, Xin-Jie; Cheung, Adrian: Danho, Waleed; Swistok, Joseph; Wang, Yao; Zhao, Chunlin; Chen, Li: Fry, David C. Discovery Chemistry, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
Bioorganic & Medicinal Chemistry (2004), 12(10), 2671-2677. CORPORATE SOURCE:

SOURCE:

CODEN: BMECEP; ISSN: 0968-0896 Elsevier Ltd.

PUBLISHER DOCUMENT TYPE:

Elsevier Ltd.

UMENT TYPE: Journal

GUAGE: English

USing NMR (NMR) spectroscopy, we have determined the solution structures for a series of potent agonists for the human melanocortin-4 receptor (hMC4R), based on the cyclic peptide MT-II (Ac-NH-cyclo-(Asp-Lys)

(Asp-His-(D)Phe-Arg-Trp-Lys)-NN2]. Members of this series were designed to improve selectivity for MC4R vs. the other melanocortin receptors, and to reduce the flexibility of the side chains. The most selective and rigid analog (penta-cyclo(D-K)-Asp-Apc-(D)Phe-Arg-(25, S15)-Bm-ethylTrp-Lys-NN2) was found to be a full agonist of hMC4R with an ECSO of 11 nM against hMC4R, and to exhibit 65-fold selectivity against hMC4R. This compound represents the most constrained hMC4R peptide agonist described to date. A B-turn structure was conserved among all of the cyclic peptides studied. The rigidity of the analogs allowed an exceptionally well-defined pharmacophore model to be derived. This model was used to which a small set of known potent ligands had been intentionally added. The utility of the model was validated by its ability to identify the known ligands from among this large library.

717097-42-2

RE: BSU (Biological study, unclassified): PAC (Pharmaca)

717097-42-2
RI: BSU (Biological study, unclassified); PAC (Pharmacological activity);
BIOL (Biological study)
(predictive pharmacophore model of human melanocortin-4 receptor as
derived from the solution structures of cyclic peptides)
717097-42-2 CAPLUS
1H-Benzimidazole-1-acetamide, a-{3-[(aminoiminomethyl)amino]propyl]5-[(3,6-dihydro-4-phenyl-1(2H)-pyridinyl]carbonyl]-2-[4-(1,1dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 09 Apr 2004
ACCESSION INUMBER: 2004:292557 CAPLUS
DOCUMENT NUMBER: 141:33318
TITLE: derivatives of o-phenylenediamine and benzimidazole
AUTHOR(S): Le, Hoang-Thanh: Lemaire, Irma B.; Gibert, Annie-Kim;
Jolicoeur, Francois; Yang, Lin; Leduc, Natacha;
Lemaire, Simon
CORPORATE SOURCE: Department of Cellular and Molecular Medicine, Faculty
of Medicine, University of Ottawa, Ottawa, ON, Can.
Journal of Pharmacology and Experimental Therapeutics
(2004), 309(1), 146-155
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental
Therapeutics
Journal
LANGUAGE: Longuaria Source; English
OTHER SOURCE(S): CARREACT 141:33318
AB Histogrania (HN)-like nonpeptides were designed and synthesized using
benzimidazole (compound 1) and o-phenylenediamine (compds. 2-7) as scaffolds
for the attachment of phenolic hydroxyl and basic quanidino pharmacophoric
elements present in HN. The benzimidazole derivative N-5-quanidinopentanamide(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (1) and the
o-phenylenediamine derivative N-5-quanidinopentanamide(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (21) and the
o-phenylenediamine derivative N-5-quanidinopentanamide(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (21) and the
o-phenylenediamine derivative N-5-quanidinopentanamide(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (1) and the
o-phenylenediamine derivative N-5-quanidinopentanamide(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (1) and the
o-phenylenediamine derivative N-5-quanidinopentanamide(2R)-y1-2-N-(p-hydroxybenzyl)-5-carboxybenzimidazole (1) and

5/33/20-54-49
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (histogranin-like antinociceptive and anti-inflammatory derivs. of o-phenylenediamine and benzimidazole 5/33/20-54-4 CAPIUS |
H-Benzimidazole-5-carboxylic acid, 1-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl) amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
REFERENCE COUNT: THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Mar 2004
ACCESSION NUMBER: 2004:242529 CAPLUS
DOCUMENT NUMBER: 140:375116
Focused microwave-assisted parallel synthesis of bis-benizmidazoles
AUTHOR(S): Lin, Mei-Jung: Sun, Chung-Ming
DORPORATE SOURCE: Department of Chemistry, National Dong Hwa University, Hualien, 974. Taiwan
SURCE: Synlett (2004), (4), 663-666
CODEN: SYNLES: ISSN: 0936-5214
DOCUMENT TYPE: LANGUAGE: Georg Thieme Verlag
DOCUMENT TYPE: English
GI

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

Combinatorial parallel synthesis of bis(benzimidazoles), e.g. I, by focused (mono-modal) microwave irradiation, is described. Polymer-immobilized o-phenylenediamines as a versatile template were synthesized under microwave irradiation The resulting PEG-bound diamines were N-acylated with 4-fluoro-3-nitrobenzoic acid selectively on the primary aromatic amino molety. The nucleophilic aromatic substitution of amides was performed with different amines, then cyclized to benzimidazoles under acidic condition. Successive reduction and cyclization with various aldehydes yielded 5-(benzimidazol-2-yi)benzimidazoles. The desired products were released from the polymer support to afford the tri-substituted bis-benzimidazoles in good yields and purity. 684259-33-49 684259-34-59 RE: CPN (Combinatorial preparation); CMBI (Combinatorial preparation) (microwave-assisted combinatorial preparation of bis(benzimidazoles) via heterocyclization of PEG-supported ((amino)nitrobenzamido)aminobenzoate followed by reduction, heterocyclization with aldehydes, and resin cleavage) 684259-33-4 CAPLUS (2,5'-Bl-H-benzimidazole)-5-carboxylic acid, 1'-cyclopentyl-2'-{4-methylthio]benyl]-1-{3-(4-morpholinyl)propyl}-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

684259-34-5 CAPLUS [2,5'-Bi-1H-benzimidazole}-5-carboxylic acid, 2'-{4-chlorophenyl}-1'-cyclopentyl-1-{3-(4-morpholinyl)propyl}-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 24 Mar 2004 ACCESSION NUMBER: 2004:242268 CAPLUS DOCUMENT NUMBER: 141:260638

141:260030 Synthesis and antioxidant properties of some novel benzimidazole derivatives on lipid peroxidation in the

AUTHOR (S):

benzimidazole derivatives on lipid peroxidation in the rat liver rat liver Kus, Canan; Ayhan-Kilcigil, Guelguen; Eke, Benay Can; Iscan, Muemtaz Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk. Archives of Pharmacal Research (2004), 27(2), 156-163 CODEN: APRRDO; ISSN: 0253-6269 Pharmaceutical Society of Korea Journal CORPORATE SOURCE:

SOURCE:

PUBLISHER:

Journal English

DOCUMENT TYPE: LANGUAGE: GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Some benzimidazole derivs. namely 1-[(thiocarbamoylhydrazinecarbonyl)methy 1]-2-phenyl-1H-benzimidazoles, e.g., I, N-[(2-phenylbenzimidazol-1-yl methyl)-[1], 3,4]-thiadiazole-2-yl]arylamines, e.g., II, and 5-(2-ph benzimidazol-1-ylmethyl)-4-aryl-4H-1,2,4-triazole-3-thiones, e.g., III, and 5-(2-ph benzimidazol-1-ylmethyl)-4-aryl-4H-1,2,4-triazole-3-thiones, e.g., III, were synthesized, and their in vitro effects on the rat liver microsomal NADPH-dependent lipid peroxidn. (LP) levels were determined The most active compound was I, which caused an 84% inhibition of LP at 10-3 M, which was better than that of butylated hydroxytoluene that only caused 65% inhibition.
755010-66-DP 755010-62-DP 755010-70-DP 755010-72-DP 755010-74-DP 755010-74-

755010-62-9 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-{[[4-methylphenyl]amino|thioxomethyl|hydrazide [9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-64-1 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-methylphenyl)amino]thioxomethyl]hydrazide (SCI) (CA INDEX NAME)

755010-66-3 CAPLUS lH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2-methylphenyl)amino|thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-68-5 CAPLUS

1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[[4fluorophenyl) minol thioxomethyl hydrazide (9CI) (CA INDEX NAME)

755010-70-9 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-fluorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-72-1 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2-fluorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-74-3 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4-chlorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

s ||

RN CN

755010-76-5 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[((3-chlorophenyl)aminolthioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN CN

755010-78-7 CAPLUS
1H-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[[2-chlorophenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-80-1 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-{{(4-bromophenyl)aminojthioxomethyl]hydrazide {9CI} (CA INDEX NAME)

755010-82-3 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755010-90-3 CAPLUS 1.3,4-Thiadiazol-2-amine, N-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (SCI) (CA INDEX NAME)

755010-92-5 CAPLUS
1.3,4-Thiadiazol-2-amine, N-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

755010-94-7 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(4-fluorophenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

05/24/2005

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755010-84-5 CAPLUS
1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-{{{2-bcmpohenyl}amino|thioxomethyl}hydrazide (9CI) (CA INDEX NAME)

755010-86-7P 755010-88-9P 755010-90-3P
755010-92-5P 755010-94-7P 755010-96-9P
755010-99-1P 755011-00-8P 755011-08-6P
755011-04-2P 755011-06-4P 755011-08-6P
755011-10-6P 755011-118-8P 755011-20-2P
755011-16-6P 755011-118-8P 755011-20-2P
755011-28-0P 755011-30-4P 755011-36-8P
755011-28-0P 755011-30-6P 755011-36-P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antioxidant activity of ((phenylbenzimidazolyl)methyl)thiad iazoles and -dihydrotriazolethiones via thiocarbamoylation of phenylbenzimidazolylacetic acid hydrazide with arylisothiocyanate followed by heterocyclization)
755010-36-7 CAPLUS
1,3,4-Thiadiazol-2-amine, N-phenyl-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9C1) (CA INDEX NAME)

755010-88-9 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(4-methylphenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-96-9 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(3-fluorophenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9C1) (CA INDEX NAME)

755010-98-1 CAPLUS

755010-98-1 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(2-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

755011-00-8 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(4-chlorophenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

#### 05/24/2005

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755011-02-0 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(3-chlorophenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9C1) (CA INDEX NAME)

755011-04-2 CAPLUS 1,3,4-Thiadiazol-2-amine, N-(2-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9C) (CA INDEX NAME)

 $\label{eq:thm:condition} 755011-06-4 \quad \text{CAPLUS} \\ 1,3,4-\text{Thiadiazol-2-amine, N-(4-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-mine, N-(4-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-mine, N-(4-bromophenyl)-5-[(3-phenyl-1H-benzimidazol-1-mine, N-(4-bromophenyl-1H-benzimidazol-1-mine, N-(4-bromophenyl-1-mine, N-(4-bromophe$ 

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue 755011-12-2 CAPLUS 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-phenyl-5-[(2-phenyl-1H-benzimdazol-1-yl]methyl] (9CI) (CA INDEX NAME)

755011-14-4 CAPLUS
3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(4-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755011-16-6 CAPLUS
3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

755011-18-8 CAPLUS 3H-1,2,4-Triargle-3-thione, 2,4-dihydro-4-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN yl)methyl}- (9CI) (CA INDEX NAME) (Continued)

755011-08-6 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(3-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755011-10-0 CAPLUS
1,3,4-Thiadiazol-2-amine, N-(2-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (SCI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755011-20-2 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(4-fluorophenyl)-2,4-dihydro-5-((2-phenyl-1H-benzimidzol-1-yl]methyl]- (9CI) (CA INDEX NAME)

755011-22-4 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(3-fluorophenyl)-2,4-dihydro-5-((2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

755011-24-6 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(2-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

#### 05/24/2005

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755011-26-8 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

755011-28-0 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(3-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzinidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

755011-30-4 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(2-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-lH-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755011-32-6 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-(4-bromophenyl)-2,4-dihydro-5-{(2-phenyl-lH-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

755011-34-8 CAPLUS
3H-1,2,4-Triazole-3-thione, 4-{3-bromophenyl}-2,4-dihydro-5-{{2-phenyl-1H-berzimidazol-1-yl}methyl}- (9C1) (CA INDEX NAME)

755011-36-0 CAPLUS 7330H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-2,4-dihydro-5-[(2-phenyl-lH-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

477543-36-5
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation and antioxidant activity of [(phenylbenzimidazolyl)methyl]thiad
inzoles and -dihydrotriazolethiones via thiocarbamoylation of
phenylbenzimidazolylacetic acid hydraride with arylisothiocyanate
followed by heterocyclization)
1H-Benzimidazole-1-acetic acid, 2-phenyl-, hydrazide (9CI) (CA INDEX
NAME)

REFERENCE COUNT THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 05 Mar 2004
ACCESSION NUMBER: 1004:182725 CAPLUS
DOCUMENT NUMBER: 140:247102
TITLE: Preventive and/or therapeutic d.

140:247102
Preventive and/or therapeutic drugs for itch
Saki, Mayumi: Monaka, Hiromi: Miyaji, Hiromasa;
Ichikawa, Shunji: Takashima, Chiemi: Matsumura,
Tsutomu: Arai, Hitoshi: Sasaki, Katsutoshi: Kobatake,
Choei: Tsukumo, Yukihito; Iida, Kyoichiro: Kuboyama,
Takeshi: Manabe, Haruhiko
Kyowa Hakko Kogyo Co., Ltd., Japan
PCT Int. Appl., 161 pp.
CODEN: PIXKD2
Patent
Japanese INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE 2004017995
A1 2004017995
A1 20040104
W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MC, MZ, NI, NO, NZ, OM, PG, PM, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, RO, SE, SI, SK, TD, TG, APPELN. INFO:

MARPAT 140:247102

WO 2003-IB3475

20030832

20030832

20030832

20030832

A 20020822

A 20020822 WO 2004017995 PRIORITY APPLN. INFO.: MARPAT 140:247102 OTHER SOURCE(S):

Preventive and/or therapeutic drugs for itch containing as the active ingredient substances capable of suppressing the functions of GPR4 relating to signal transduction; and nitrogen-containing tricyclic compds. represented by the general formule 1 (R1 is substituted or unsubstituted lower alkyl or the like; R2 is hydrogen, substituted or unsubstituted lower alkyl, or the like; R3 and R4 are each independently hydrogen, lower alkyl, or the like; n is 0 or 1; X = -(CH2)2- or the like; and Y = a group represented by the general formula II wherein W is CH or nitrogen; Z1 and Z2 are each independently hydrogen, substituted or unsubstituted lower alkyl, or the like; and Z3 is hydrogen, substituted or unsubstituted lower alkyl, or the like; and Z3 is hydrogen, substituted or unsubstituted lower alkyl, or the like), quaternary ammonium salts thereof, or pharmacol. acceptable salts of both.

666717-13-9666717-32-4P 666717-33-5P 666717-39-9P 666717-39-9P 666717-39-9P 666717-39-9P 666717-42-6P 666717-42-6P 666717-42-6P 666717-42-6P 666717-42-6P 666717-42-6P 666717-42-6P 666717-43-FP REL: DNA (Drug mechanism of action); PAC (Pharmacological activity); SPN

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN

#### 05/24/2005

ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Synthetic preparation): THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation): USES (USEs)
(preventive and/or therapeutic drugs for itch)
666717-31-3 CAPLUS
5H-Dibenzlb, f]azepine, 10,11-dihydro-2-[(4-methyl-1-piperazinyl)methyl]-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-32-4 CAPLUS
5H-Dibenz[b, f]azepine, 2-[(3,6-dihydro-1{2H})-pyridinyl)methyl]-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-8-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-34-6 CAPLUS 5N-Dibenz[b,f]arepine, 2-{{3,5-dimethyl-1-piperidinyl)methyl}-10,11-dihydro-8-{{2-pipenyl-1N-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

666717-35-7 CAPLUS
SH-Dibenz[b,f]Jarepine, 2-([1,4'-bipiperidin]-1'-ylmethyl)-10,11-dihydro-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-41-5 CAPLUS SH-Dibenzib, f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-6-(3-thiazolidinylmethyl)- (9C1) (CA INDEX NAME)

666717-42-6 CAPLUS
5H-Dibenz[b, f]azepine-2-methanamine, N-butyl-10,11-dihydro-N-methyl-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS 5H-Dibenz[b, f]azepine, 2-[(3,4-dihydro-2(1H)-isoquinoliny1)methy1]-10,11-dihydro-8-[(2-pheny1-1H-benzimidazo1-1-y1)methy1]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\bigcap_{N-CH_2-\cdots-N}^{Ph} CH_2-\cdots-N$$

666717-37-9 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl]methyl]-8-[[4-(phenylmethyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX

666717-38-0 CAPLUS
5H-Dibenz(b, f] azepine, 10,11-dihydro-2-{(2-phenyl-1H-benzimidazol-1-y1)methyl]-8-{(4-(phenylmethyl)-1-piperazinyl)methyl}- (9CI) (CA INDEX

666717-39-1 CAPLUS
1-Piperazinecarboxylic acid, 4-{{10,11-dihydro-8-{{2-phenyl-1H-benzimidazol-1-yl}methyl}-5H-dibenz[b,f]azepin-2-yl}methyl}-, ethyl ester (SCI) (CA INDEX NAME)

$$\mathsf{Eto}^- \mathsf{C} \bigvee_{0}^{\mathsf{N}} \mathsf{N} - \mathsf{CH}_2 - \bigvee_{\mathsf{H}}^{\mathsf{N}} \mathsf{CH}_2 - \bigvee_{\mathsf{Ph}}^{\mathsf{N}} \mathsf{N}$$

666717-40-4 CAPLUS
5H-Dibenz{b,f}azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 05 Mar 2004
ACCESSION NUMBER: 140:247070
TITLE: Preventive and/or therapeutic drugs for asthma
Saki, Mayumi, Nonaka, Hiromi; Miyaji, Hiromasa; Hiura,
Naoko; Manabe, Haruhiko; Matsumura, Tsutomu; Arai,
Hitoshi; Sasaki, Katsutoshi; Kobatake, Choei; Iida,
Kyoichiro; Kuboyama, Takeshi
Kyoma Hakko Kogyo Co., Ltd., Japan
PATENT ASSIGNEE(5): PCT Int. Appl., 156 pp.
COOEN: PIXXD2

DOCUMENT TYPE: Patent
Japanese

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.					D	DATE		į	APPL	ICAT	ION	NO.		DJ	ATE	
						-									-		
WO		0179															
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MCK,	MZ,	ΝI,	NO,	NZ,	OM,	PG,
		PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,
		TT,	TZ,	UA,	υG,	US,	UΖ,	vc,	VN,	YU,	ZA,	ZM,	ZW				
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
PRIORIT	APP	LN.	INFO	. :						JP 2	002-	2415	23	1	A 2	0020	822
OTHER SO	URCE	(S):			MAR	PAT	140:	2470	70								

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

#### 05/24/2005

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preventive and/or therapeutic drugs for asthma) 666717-31-3 CAPLUS SH-Dibenz(b,f)arepine, 10,11-dihydro-2-{(4-methyl-1-piperazinyl)methyl}-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-32-4 CAPLUS
SH-Dibenz(h, [Jarpine, 2-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-33-5 CAPLUS 5H-Dibenz[b,f]arepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl]methyl]-8-(1-pyrrolidinylmethyl)- (9Cl) (CA INDEX NAME)

666717-34-6 CAPLUS 5H-Dibenz[b,f]arepine, 2-[(3,5-dimethyl-1-piperidinyl)methyl]-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

666717-35-7 CAPLUS
5H-Dlbenz(b,f)azepine, 2-([1,4'-bipiperidin]-1'-ylmethyl)-10,11-dihydro-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-41-5 CAPLUS 5H-Dibenzib.(jarepine, 10,11-dihydro-2-{(2-phenyl-1H-benzimidarol-1-yl)methyl]-8-(3-thiazolidinylmethyl)- (9CI) (CA INDEX NAME)

CAPLUS

SH-Dibenz[b,f]azepine-2-methanamine, N-butyl-10,11-dihydro-N-methyl-8-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS 5H-Dibenz[b,f]arepine, 2-[(3,4-dihydro-2(1H)-isoquinoliny1)methy1)-10,11-dihydro-8-((2-phenyl-1H-benzimidazol-1-y1)methy1)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-37-9 CAPLUS
5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-8-[(4-(phenylmethyl)-1-piperidinyl)methyl]- (9CI) (CA INDEX

666717-38-0 CAPLUS
5H-Dibenz(b,f)azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-8-[(4-(phenylmethyl)-i-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

666717-39-1 CAPLUS
1-Piperazinecarboxylic acid, 4-[{10,11-dihydro-8-[{2-phenyl-1H-benzimidazol-1-yl}methyl]-5H-dibenz[b,f]azepin-2-yl]methyl}-, ethyl ester
(SCI) (CA INDEX NAME)

666717-40-4 CAPLUS SH-Dibenz[b,f]azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 08 Feb 2004
ACCESSION NUMBER: 2004:101142 CAPLUS

DOCUMENT NUMBER: 140:146139
Preparation of aryl-substituted benzimidazoles and their use as sodium channel blockers

SUN, Qun; Zhou, Xiaoming: Kyle, Donald J.

ENTERIT ASSIGNEE(5): SUN, Qun; Zhou, Xiaoming: Kyle, Donald J.

ENTERIT ASSIGNEE(5): SUN, Qun; Zhou, Xiaoming: Kyle, Donald J.

ENTERIT ASSIGNEE(5): PIXXD2

DOCUMENT TYPE: PATRIC

DOCUMENT TYPE: LANGUAGE: Patent

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	TENT :	NO.			KIN	D	DATE		1	APPL	ICAT	ION :	NO.		D	ATE	
						-											
WO	2004	0114	39		A2		2004	0205	1	70 2	003-1	US23	828		2	0030	731
WO	2004	0114	39		A3		2004	0401									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,
		GM,	HR,	жU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	M2,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM.	TN,
		TR,	TT,	TZ,	UA,	UG,	υs,	UZ,	۷C,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GΜ,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MĎ,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
CA	2492	305			AA		2004	0205		CA 2	003-	2492	305		2	0030	731
บร	2004	1327	77		A1		2004	0708	1	US 2	003-	6308	96		2	0030	731
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	002~	3994	58P		P 2	0020	731
									1	WO 2	003~	US23	828	1	1 2	0030	731
OTHER S	OURCE	(8):			CAS	REAC	T 14	0:14	6139	, MA	RPAT	140	:146	139-			

11

GI

ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Title compds. I [R] = alkylene-amino; R2 = phenoxyphenyl, benzyloxyphenyl, phenylthiophenyl, etc.; R10 = H, OH, alkyl, alkoxy, etc.; n = 0-4] are prepared For instance, 1-(2-aminoethyl)piperidine is reacted with 2-fluoronitrobenzene (DMF, i-P2/RE) to give 1-(2-2) are acted with 2-fluoronitrobenzene (DMF, i-P2/RE) to give 1-(2-2) are acted with 2-loy 40/C, 3 atm, 16 h) and reacted with various aldehydes (PhNO2) to give the corresponding benzimidazole, e.g., II. Example compds. are potent blockers of the sodium channel, Ki. = 180-1790 nM. I are useful for the treatment of neuronal damage following global and focal ischemia, for the treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS) and for the treatment, prevention or amelioration of both acute or chronic pain. 63373-36-99, 1-(2-Piperidinylethyl)-2-(4-phenoxyphenyl)benzimidazole 633573-69-19, 1-(2-Piperidinylethyl)-2-(3-4-dichlorophenoxy)phenyl]benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(3-4-dichlorophenoxy)phenyl)benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(3-phenoxyphenyl)benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(3-phenoxyphenyl)benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(3-phenoxyphenyl)benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(3-phenoxyphenyl)benzimidazole 633573-69-79, 1-(2-Piperidinylethyl)-2-(4-(4-fluorophenoxy)phenyl)benzimidazole 632573-70-79, 1-(2-Piperidinylethyl)-2-(4-(4-fluorophenoxy)phenyl)benzimidazole RI: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-substituted benzimidazoles and their use as sodium

(Uses)
(preparation of aryl-substituted benzimidazoles and their use as sodium channel blockers)
653573-56-9 CAPLUS
HH-Benzimidazole, 2-(4-phenoxyphenyl)-1-{2-(1-piperidinyl)ethyl}- (9CI)
(CA INDEX NAME)

653573-58-1 CAPLUS
1H-Benzimidas2de, 2-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-1-[2-(1-piperidinyl)ethyl)- [9CI) (CA INDEX NAME)

ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

653573-66-1 CAPLUS
1H-Benzimidazole, 1-{2-(1-piperidinyl)ethyl}-2-{3-(3-(trifluoromethyl)phenoxy}phenyl]- (9CI) (CA INDEX NAME)

653573-68-3 CAPLUS
9H-Carbazole, 9-ethyl-3-[1-[2-(1-piperidinyl}ethyl]-1H-benzimidazol-2-yl]-(SCI) (CA INDEX NAME)

653573+70-7 CAPLUS 1H-Benzimidazole, 2-(3 (9CI) (CA INDEX NAME) 2-[3-(phenylmethoxy)phenyl]-1-[2-(1-piperidinyl)ethyl]- L4 ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

653573-60-5 CAPLUS
1H-Benzimidazole, 2-[3-(3,4-dichlorophenoxy)phenyl]-1-[2-(1-piperidinyl)ethyl]- [9CI) (CA INDEX NAME)

653573-62-7 CAPLUS |H-Benzimidazole, 2-(2,2-diphenylethenyl)-1-[2-(1-piperidinyl)ethyl)-|9C1) (CA INDEX MAME)

653573-64-9 CAPLUS 1H-Benzimidazole, 2-(3-phenoxyphenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

653573-71-8 CAPLUS
1H-Benzimidazole, 2-[4-(4-fluorophenoxy)phenyl]-1-[2-(1-piperidinyl)ethyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 16 Jan 2004
ACCESSION NUMBER: 2004:38778 CAPLUS
DOCUMENT NUMBER: 140:217555
TITLE: Synthesis of benzimidazole derivatives and their antibacterial and antifungal activities
AUTHOR(S): Bhatt, Ashutosh K.; Karadiya, Masanall: Shah, Palak
R.; Permar, Manisha P.; Patel, H. D.
CORPORATE SOURCE: Chemistry Department, St. Xavier's College, Ahmedabad, 380 009, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2003), 13(2), 187-188
CODEN: IJCHEI: ISSN: 0971-1627
PUBLISHER: Prof. R. S. Verma
DOCUMENT TYPE: Journal
LANGUAGE: English

LANGUAGE: OTHER SOURCE(S):

English CASREACT 140:217555

Reaction of 2-Ph-1-H-benzimidazole with p-aminobenzoic acid and aromatic aldehydes in ethanol furnishes 1-(a-p-carboxyphenyl-aminobenzyl)-2-Ph-benzimidazoles, which on treatment with o-phenylenediamine in pyridine results in the formation of 1-(a-p-benzimidazolyl-aminobenzyl)-2-Ph-benzimidazoles I (R = H, Ph, 2-HOC6H4, 4-HOC6H4, PhCH:CH). Antibacterial and antifungal activities of I were determined 66x118-53-79 66x718-59-9F 66f318-60-1P 66f318-61-27 66f318-62-3P HZ: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (antibacterial and antifungal activities) fe6f318-59-7 CAPLUS (Biological study); PREP (Preparation) (antibacterial and their antibacterial and antifungal activities) f66f318-59-7 CAPLUS (H-Benzimidazole-1-methanamine, N-{4-(1H-benzimidazol-2-yl)phenyl}-a,2-diphenyl- (9CI) (CA INDEX NAME)

666718-59-8 CAPLUS Phenol, 4-{{[4-{1H-benzimidazo1-2-yl}phenyl]amino}(2-phenyl-1H-

ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
666718-53-2 666718-53-4
666718-56-5 666718-57-8
RE: RCT (Reactant): RACT (Reactant or reagent)
(preparation of benzimidazole derivs. and their antibacterial and antifungal activities)
666718-53-2 CAPLUS
Benzoic acid, 4-[(phenyl(2-phenyl-1H-benzimidazol-1-yl)methyl)amino)(9CI) (CA INDEX NAME)

666718-54-3 CAPLUS
Benzoic acid, 4-[[(4-hydroxyphenyl)(2-phenyl-1H-benzimidazol-1-yl)methyl]amino]- (9CI) (CA INDEX NAME)

666718-55-4 CAPLUS
Benzoic acid, 4-[(3-phenyl-1-(2-phenyl-1H-benzimidazol-1-yl)-2propenyl jamino|- (9501) (CA INDEX NAME)

666718-56-5 CAPLUS
Benzoic acid, 4-[{(2-phenyl-1H-benzimidazol-1-yl)methyl]amino}- {9CI} (CA
INDEX NAME)

666718-57-6 CAPLUS
Benzoic acid, 4-[([2-hydroxyphenyl)(2-phenyl-1H-benzimidazol-1-yl)methyl|amino|- (9CI) (CA INDEX NAME)

ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME) (Continued)

666718-61-2 CAPLUS 1H-Benzimidazole-1-methanamine, N-[4-(1H-benzimidazol-2-yl)phenyl]-2-phenyl- 901) (CA IMDEX NAME)

666718-62-3 CAPLUS
Phenol, 2-[{{4-(1H-benzimidazol-2-yl)phenyl}amino](2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 31 Oct 2003

ACCESSION NUMBER: 2003:855801 CAPLUS

DOCUMENT NUMBER: 139:3590734

TITLE: Preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists

Zeng, Qingbel: Aslanian, Robert G.: Berlin, Michael Y.: Boyce, Christopher W.: Cao, Jianhua: Kozlowski, Joseph A.: Mangiaracina, Pietro: McCormick, Kevin D.: Mutahi, Mwangi W.: Rosenblum, Stuart B.: Shih, Neng-Yang: Solomon, Daniel M.: Tom, Wing C.

SCHERING COPORATION: Schering Corporation, USA PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: PIXXD2

PATENT INFORMATION: 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.														ATE	
																0030	
WO	2003																
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	HR,	HU,
		ID,	IL,	IN,	IS,	JP,	KG,	KR,	KZ,	LC,	LK.	LR,	LT,	LU,	LV,	MA,	MD,
		MG.	MK.	MN.	MX.	MZ.	NI,	NO.	NZ.	PH.	PL.	PT.	RO.	RU,	SC,	SE.	SG.
							TR.										
	RW:						MZ,										
	•						TM.										
							IE,										
							CM.										
CA	2481						2003										
	2004		83		A1		2004	0520		US 2	003-	4173	91		2	0030	416
	1499																
							ES,										
							RO,										
	2003																
PRIORIT					•••					US 2							
FKIOKII	· AFF	D4		• •						US 2							
										WO 2							
										WO 2	003-	0211	012			0030	410

OTHER SOURCE(S): MARPAT 139:350734 L4 ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. (I; Rl = (un)substituted benzimidazolyl or a derivative thereof; RZ = (un)substituted aryl or heteroaryl; Nl, M2 = CR3, N; X = a bond, alkylene; Y = CO, CS, SO2, etc.; Z = a bond, alkylene, CO, etc.; R3 = H, halo, alkyl, etc.; R1 = alkyl, OH, alkoxy, etc.; R1 = alkyl, alkoxy, etc.; R3 = alkyl, alkoxy, OH, etc.; a, b = 0-2; n, p = 1-3; r = 0-3; with the provisosl which are histamine H3 antagoniats, were prepared E.g., a multi-step synthesis of II which showed Ki of 1 nM in rHu H3 binding assay, was given. Also disclosed are pharmaceutical compns. comprising the compds. of formula I and methods of treating various diseases or conditions, such as allergy, allergy-induced airway responses, and congestion (e.g., nasal congestion) using the compds. I. Also disclosed are methods of treating said diseases or conditions using the compds. of formula I in combination with an H1 receptor antagoniat. (1987-03-3P

11

SIESS'-03-3Y RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(USES)
(preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists)
618897-03-3 CAPLUS
Piperidine, 1-[(1-[(2-amino-4-pyridinyl)methyl)-4-piperidinyl)carbonyl]-4[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 10 Oct 2003
ACCESSION NUMBER: 2003:796412 CAPLUS
DOCUMENT NUMBER: 139:307758
TITLE: Use of benzimidazole analogs in the treatment of cell proliferation
INVENTOR(S): Sircar, Jagadish C.; Richards, Mark L.
Avanir Pharmaceuticals, USA
POT Int. Appl., 280 pp.
CODE: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Endish

English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT				KIN		DATE			APPL					D	ATE	
						-									-		
WO	2003	0821	86		A2		2003	1009		WO 2	003-	US 69	81		2	0030	306
WO	2003	0821	86		A3		2004	0325									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DŻ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	ΜK,	MN,	MW,	MΧ,	ΜZ,	NI,	NO,	ΝZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ΖW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
CA	2479	453			AA		2003	1009		CA 2	003-	2479	453		2	0030	306
EP	1494	668			A2		2005	0112		EP 2	003-	7114	59		2	0030	306
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE.	SĮ,	LT,	LV,	FI,	RO,										
-PRIORIT	YAPP	LN.	INFO	. :						US-2	002-	3676	86F_				
										WO 2	003-	11569	A٦		W 2	0030	306

OTHER SOURCE(S):

MARPAT 139:307758

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The small mol. inhibitors (I-IV; X, Y = H, halo, alkyl, etc.; n = 0-3; m = 0-4; R = H, Me, CH2Ph, etc.; R1, R2 = H, alkyl, cycloalkyl, etc.; A, B rings = (un)substituted rings comprising 4-10 carbon atoms] such as V that are cellular proliferation inhibitors and thus are useful as anticancer agents (biol. data given for representative compds. I), were claimed. The small mols. have the general formulas that include a phenylbenzimidazole core ring. General methods of preparation were given (no phys. data for final compds.). 366012-44-4 366012-45-5 366012-50-2

479074-59-4
RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (use of benzimidazole analogs in the treatment of cell proliferation) 366012-44-4 CAPLUS Benzamide, N-[4-[5-(benzoylamino)-1-[2-(dimethylamino)ethyl]-1H-benzimidarol-2-yl}phenyl]- (9CI) (CA INDEX NAME)

(Continued) ANSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

CH2- CH2- NMe2

366012-45-5 CAPLUS
Benzamide, N-[4-[5-(benzoylamino)-1-[3-(dimethylamino)propyl]-lH-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

366012-50-2 CAPLUS
Benzamide, N-[2-[4-(acetylamino)phenyl]-1-[3-(dimethylamino)propyl]-1H-benzimidagol-5-yl]- (9CI) (CA INDEX MAME)

(CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>

479074-59-4 CAPLUS Benzamide, N-[2-[4-[acetylamino]phenyl]-1-[2-[dimethylamino]ethyl]-1H-benzimidazo1-5-yl]- (SCI) (CA INDEX NAME)

L4 ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 19 Sep 2003
ACCESSION NUMBER: 2003:737580 CAPLUS
139:261298
FITLE: Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE Mjalli, Adnan M. M.; Andrews, Robert C.; Gopalaswamy, Ramesh: Hari, Antha; Avor, Kwasir Qabaja, Ghassan; Guo, Xiao-Chuan; Gupta, Suparna; Jones, David R.; Chen, Xin
FATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
PCT Int. Appl., 462 pp.
CODEN: PIXXDZ
PATENT ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:	1		
PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003075921 WO 2003075921		WO 2003-US6749	20030305
W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UA, UG, US, RW: GH, GM, KE, KG, KZ, MD, FT, FR, GB, BF, BJ, CF,	AM, AT, AU, AZ, CZ, DE, DK, DM, IN, IS, LV, MA, MD, MG, RU, SC, SD, SE, UZ, VC, VN, YU, LS, MW, MZ, SD, RU, TJ, TM, AT, GR, HU, IE, IT, GG, CI, CM, GA,	BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MM, MX, MZ, SG, SK, SL, TJ, TM, ZA, ZM, ZW, SL, SZ, TZ, UG, ZM, BE, BG, CH, CY, CZ, LU, MC, NL, FT, RO, GN, GQ, GW, ML, MR,	GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, OM, PH, TN, TR, TT, TZ, ZW, AM, A2, BY, DE, DK, EE, ES, SE, SI, SK, TR, NE, SN, TD, TG
		CA 2003-2476594	
EP 1482931 R: AT, BE, CH, IE, SI, LT, PRIORITY APPLN. INFO.:	A2 20041208 DE, DK, ES, FR,	EP 2003-713918 GB, GR, IT, LI, LU, CY, AL, TR, BG, CZ, US 2002-361983P WO 2003-US6749	20030305 NL, SE, MC, PT, EE, HU, SK P 20020305
GI ·			

14 ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

14 BUSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS OR STN

(Continued)

ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. and analogs I (wherein A = O, S, or NR2: R1 and R2 = independently H or (un) substituted (heterolary), (cyclo)alkyl, heterocyclyl, alkylene (heterolary), (cyclo)alkyl, heterocyclyl, alkylene (paterolary), alkylene (heterolary), alkylene heterocyclyl, alkylene (paterolary), alkylene heterocyclyl, alkylene (paterolary), alkylene (paterolary), alkylene (paterolary), alkylene (paterolary), alkylene cycloalkyl, heterocyclyl, alkylene (paterolary), alkylene cycloalkyl, heterocyclyl, alkylene cycloalkyl, etc.; and pharmaceutically acceptable salts thereof) were prepared as modulators of the interaction between the receptor for advanced glycated end products (RAGE) and its ligands, such as advanced glycated end products (RAGE), S100/calgranulin/EN-RAGE, butylaminophenoxy) ethylpipperazine was condensed with 3 hydroxybenzaldehyde to give the hydroxybenzimidazole. Coupling with cyclohexylmethyl bromide in the presence of NaH in THF afforded II. In binding studies employing S100b as the RAGE ligand, five hundred fifty-one invention compds. exhibited binding with ICSO values of < 10 µM. Thus, I and their pharmaceutical compns. are useful for the management, treatment, control, or as an adjunct treatment for diseases in humans caused by RAGE, including acute and chronic inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis (no data).

803144-68-99, N-[3, [2-[4-[2-(4-chlorophenyl)ethoxy]phenyl]-6-(2-diethylaminoethoxy)benzimidazol-1-yl]propyl]-N,N-dimethylamine 603145-92-09, N-[3-[2-[4-(4-chlorophenyl)ethoxy]phenyl]-6-(2-diethylaminoethoxy)benzimidazol-1-yl]propyl]-N,N-dimethylamine 603145-92-09, N-[3-[2-[4-(4-(4-chlorophenyl)ethoxy]phenyl]-6-(2-diethylaminoethoxy)benzimidazol-1-yl]propyl]-N,N-dimethylamine 603145-92-09, N-[3-[2-[4-(4-(4-chlorophenyl)ethoxy]phen

(Uses)
(RAGE modulator; preparation of imidazole and benzimidazole RAGE modulators for treatment of inflammation, diabetes, tumors, and other conditions)
603144-68-9 CAPUS
H-Benzimidazole-1-propanamine, 2-[4-[2-(4-chlorophenyl)ethoxy]phenyl]-6[2-(diethylamino)ethoxy]-N,N-diethyl- (9CI) (CA INDEX NAME)

603144-96-3 CAPLUS
1H-Benzimidazole-1-propanamine, 2-(4-(2-(4-chloropheny1)ethoxy)pheny1]-6[2-(diethylamino)ethoxy]-N.N-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

603145-32-0 CAPLUS HH-Benzimidazole-1-propanamine, 2-{4-{2-(4-chlorophenyl)ethoxy)phenyl}-6-[2-(dimethylamino)ethoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

603145-82-0 CAPLUS
1H-Benzimidazole-1-ethanamine, 2-[4-[2-(4-chlorophenyl)ethoxy]phenyl]-6-[2-(diethylamino)ethoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) converted to the oxirane and treated with 2-methylbenzimidazole to give the title compd. II which had a min. inhibitory concn. against Candida albicans of 6.25-12.5 µM.

581057-64-1P 583057-65-2P 583057-65-2P 583057-66-3P

583057-69-69 583057-71-0P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aryl(triazoly)) (imidazoly))propanols as anti-fungal agents) 583057-64-1 CAPLUS

H-Benzimidazole-1-ethanol, \( \alpha - (2,4-difluorophenyl) - 2-phenyl-\( \alpha - (1H-1,2,4-triazol-1-ylmethyl) - (9CI) \) (CA INDEX NAME)

583057-65-2 CAPLUS
1H-Benzimidazole-1-ethanol, 2-(4-chlorophenyl)-a-(2,4-difluorophenyl)-a-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

583057-66-3 CAPLUS 1H-Benzimidazole-1-ethanol,  $\alpha$ -{2,4-difluorophenyl}-2-(4-methylphenyl)- $\alpha$ -(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 22 Aug 2003

ACCESSION NUMBER: 2003:656506 CAPLUS

DOCUMENT NUMBER: 139:197490

PREPARENT ASSIGNEE(S): Chandavarkar, Mohan A.; Kulkarni, Vithal Madhavrao; Shivkumar, Pranavkumar; Shetty, Ravindra S.; Bapat, Uday Rajaram

PATENT ASSIGNEE(S): FDC Limited, India PCT Int. Appl., 15 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

Patent English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. AL, CU, HU, LU, RO, US, KE, MD, GB, CG, OTHER SOURCE(S):

Title compds. I (R = (un)substituted 1-imidazolyl, 1-benzimidazolyl) were prepared for use as antifungal agents for both medical and agricultural applications. Thus,  $2^{1}$ ,  $4^{1}$ -difluoro- $2^{-1}$ (1, 2,  $4^{-1}$ -triazol- $1^{-1}$ -yl)acetophenone was

ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

583057-69-6 CAPLUS 1H-Benzimidazole-1-ethanol,  $\alpha$ -(2,4-difluorophenyl)-2-(4-methoxyphenyl)- $\alpha$ -(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

583057-71-0 CAPLUS
1H-Benzimidazole-1-ethanol, α-{2,4-difluorophenyl}-2-{2-methoxyphenyl}-α-{1H-1,2,4-triazol-1-ylmethyl}- (9CI) (CA INDEX NAME)

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L4 ANSWER 25 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 15 Aug 2003 ACCESSION MUMBER: 2003:633749 CAPLUS DOCUMENT NUMBER: 139:180347 TITLE:
```

139:180347
Preparation of histogranin-like peptides and non-peptides
Lemaire, Simon; Bernatchez-Lemaire, Irma; Le, Hoang-Tanh
University of Ottawa, Can.
PCT Int. Appl., 59 pp.
CODEN: PIXXD2
Patent

INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT:

	CENT I						DATE									ATE	
WO	2003	0666	73		A1		2003	0814	,	WO 2	2003-	CA14	8		2	0030	205
WO	2003	0666	73		C1		2003	1204									
	W:	AE.	AG.	AL.	AM,	AT.	AU.	AZ,	BA.	вв,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co.	CR.	CU.	CZ.	DΕ.	DK.	DM,	DZ.	EC.	EE,	ES,	FI,	GB,	GD,	GE,	GH,
											KG,						
											MW,						
											SL,						
								YU,				-					
	RW:										TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	•										CH,						
											NL,						
											ML,						
US	2003																
CA	2475	609			AA		2003	0814		CA 2	2003-	2475	609		2	0030	205
EP	1481	002			A1		2004	1201		EP 2	2003-	7372	22		2	0030	205
											IT,						
											TR,						
RIORIT	APP					,					2002-						
											2003-						

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to new basic amino acid derivs. I, II and III [A is H, alkyl, or hydroxyalkyl; B is guanidinoalkyl, 4-imidazolylalkyl, aminoalkyl, p-mainophenylalkyl, p-guanidinophenylalkyl, or 4-pyridinylalkyl; D is CO. CO-alkylene, or alkylene; E is a single bond or alkylene; T is high a single bond or alkylene; B is a single bond or alkylene; B is a single bond or alkylene; D is a single bond or and use in treatment of pain. The compds, have histogranin-like antionciceptive, morphine potentiating and COX-2 induction modulating activities. Thus, cyclo[G]y-(p-chloro]Phe-Tyz-D-Arg] [I-1] was prepared on an oxime resin using intrachain aminolysis in the presence of AcOH and diisopropylethylamine. I-1 showed ADSO = 0.17 nmol/mouse and an analgesic potency ratio of 135 relative to histogranin in a mouse writhing pain assay.

L4 ANSWER 26 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 27 Jun 2003 ACCESSION NUMBER: 2003:491188 CAPLUS DOCUMENT NUMBER: 139:69057

Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related

INVENTOR (S):

inhibitors for the treatment of diabetes and relidisorders.
Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per;
Cornelis De Jong, Johannes; Jacobsen, Poul
Novo Nordisk A/S, Den.
PCT Int. Appl., 390 pp.
CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Patent English 2 FAMILY ACC. NUM. COUNT:

TENT	Ī	NFOF	MA.	TI:	ON:														
P	AT	ENT	NO				KIN	5	DATE										
-																			
							A2		2003		,	WO 2	002-	DK85	3		2	0021	213
W	0	2003	105	18	42		A3		2004	0603									
		W:	A.	Ε,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			C	ο,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ĒS,	FI,	GB,	GĐ,	GE,	GH,
			G	м,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			L	s,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NO,	NZ,	OM,	PH,
			P	L,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	ŦJ,	TM,	TN,	TR,	TT,	TZ,
			U,	A,	UG,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	G	Η,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	ΑŹ,	BY,
			K	G,	KZ,	MD,	RU,	ΤJ,	TM,	ΑŤ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	ΕĖ,	ËS,
			F	I,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
			С	F,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
									2003										
U	s	2003	116	66	44		A1		2003	0904		US 2	002-	3198	85		2	0021	213
E	P	1458	37	5			A2		2004	0922		EP 2	002-	7874	49		2	0021	213
		R:	A	Т,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SĒ,	MC,	PT,
			I	Ε,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	sĸ		
IORI	TY	APE	LN		INFO	. :						DK 2	001-	1879		- 2	A 2	0011	214
												DK 2	002-	645		- 2	A 2	0020	430
												DK 2	002-	1000			n 🤈	იივი	627

DK 2002-1000 DK 2002-1562 US 2002-346909P US 2002-384243P US 2002-393068P US 2002-418481P WO 2002-DK853

OTHER SOURCE(S): MARPAT 139:69057

Title compds. I (wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or

ANSWER 25 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 573720-54-47 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of histogranin-like peptides and non-peptides)
573720-54-4 CAPLUS
H-Benzimidazole-5-carboxylic acid, 1-{(1R)-1-(aminocarbonyl)-4[(aminoiminomethyl)amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
heterocycly1; or NR1R2 = heterocycly1; X = 0 or S; L = a hydrolyzable
group; or pharmaceutically acceptable salts, solvates, tautomeric forms,
stereoisomers, racemates, or polymorphs thereof) were prepd as inhibitors
of hormone-sensitive lipase (HSL). For example, esterification of
morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridh-4-yloxy)phenol
in the presence of DABCO in THE gave II, which showed 88t inhibition of
HSL at a concn. of 10 µM. Thus, I and pharmaceutical compns. thereof
are useful for the treatment and/or prevention of medical disorders where
a decreased activity of hormone-sensitive lipase is desirable, such as
diabetes (no data).
330560-59-3P, N-(3,4-Dichlorophenyl)-2-phenylbenzimidazole-1carboxamide
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment
of diabetes and related disorders)
330560-59-3 CAPLUS
1H-Benzimidazole-1-carboxamide, N-(3,4-dichlorophenyl)-2-phenyl- (9CI)
(CA INDEX NAME)

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L4 ANSWER 27 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STM: 27 Jun 2003 ACCESSION NUMBER: 2003:491187 CAPLUS
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139:69056

Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related

inhibitors for the treatment of diabetes and related disorders.
Ebdrup, Soren: Cornelis De Jong, Johannes: Jacobsen, Poul: Hansen, Holger Claus: Vedso, Per Novo Nordisk A/S, Den. PCT Int. Appl., 519 pp. CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT:

TEN	VT I	INFOR	MATI	ON:															
		TENT															ATE		
		2003									WO 2	002-	DK85	2		2	0021	213	
	WO	2003	0518	41		A3		2004	0624										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	₿G,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN,	MW,	MCX,	MZ,	NO,	NZ,	OM,	PH,	
			PL.	PT.	RO.	RU.	SC.	SD.	SE.	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
			UA.	UG.	UZ.	vc.	VN.	YU.	ZA,	ZM.	ZW								
		RW:	GH,	GM.	KE.	LS.	MW.	MZ.	SD,	SL,	S2,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
												CH,							
												PT,							
												MR,							
	CA	2468	413			AA		2003	0626		CA 2	002-	2468	413		2	0021	213	
	US	2003	1666	90		Al		2003	0904		US 2	002-	3192	12		2	0021	213	
	us	2003	1666	44		Al		2003	0904	1	US 2	002-	3198	85		2	0021	213	
		1458																	
			AT,																
		•••										TR,							
RIOI	RITY	APP						-			DK 2	001-	1879			A 2	0011	214	
											DK 2	001- 002- 002-	645			A 2	0020	430	
											DK 2	002-	1000			A 2	0020	627	
											DK 2	002-	1562			A 2	0021	011	
											US 2	002- 002-	3469	09P		P 2	0020	103	
											US 2	002-	3842	43P		P 2	0020	530	
												002-							
											us 2	002-	4184	81P		P 2	0021	015	
												002-					0021		

MARPAT 139:69056 OTHER SOURCE(S):

L4 ANSWER 28 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 May 2003
ACCESSION NUMBER: 2003:392461 CAPLUS
139:301875

TITLE: Behavioral response profiles following drug challenge with dopamine receptor subtype agonists and antagonists in developing rat

AUTHOR(S): Sobrian, Sonya K.; Jones, Barbara L.; Varghese, Shiny; Holson, R. Robert

CORPORATE SOURCE: Department of Pharmacology, Howard University College of Medicine, Washington, DC, 20059, USA

SOURCE: Neurotoxicology and Teratology (2003), 25(3), 311-328

COODEN: NETECC; ISSN: 0892-0362

Elsevier Science Inc.

Journal Meurotoxicology and Teratology (2003), 25(3), 311-328 CODEN: NETEEC; ISSN: 0892-0362
LISMER: Elsevier Science Inc.
UNENT TYPE: Journal GUAGE: English
As part of an investigation into the effects of gestational ethanol (ETOH) exposure on the developing dopamine (DA) system, pregnant Sprague-Dawley rats were exposed to one of three conditions: ETOH, pair-fed (PF) to the ETON group, or ad libitum lab chow controls (LC). In this paper we report behavioral drug challenge effects for offspring of the two control groups (PF and LC). Male and female pups between postnatal days (PNDS) 21 and 23 in age were exposed to one of three i.p./s.c. doses of one of eight drugs chosen to assess the functional status of the DA DI, D2, and D3 receptor subtype, or a saline control. Agonists were SNF 38393, apomorphine (APO), quinpirole (QUIN), and 7-hydroxy-N,N-di-npropyl-2-amino-tetralin (7-OH-DPAT (DPAT)); antagonists were spiperone (SPIP), SCH 23390, and two recently developed D3 antagonists nafadotride (NAF) and PD 152255.
Immediately following drug injection, pups were placed in observation cages, where eight behaviors (square entries, grooming, circling, rearing, sniffing, head and oral movements, and yawning) were scored at 3-min intervals for 30 min. Classic behavioral profiles were generally obtained for the high-dose mixed sqonists APO, DPAT, and QUIN, which potently increased square entries, rearing, and sniffing, while reducing grooming and head movements. However, low-dose APO had no effect on behavior. The D1 agonist, SKF 38393, had a strikingly different behavior along the model of the control of the strikingly different behavioral profiles it had no effect on square entries at any dose, while increasing grooming and sniffing at the medium dose. The D1 antagonist, SFF, were limited to increasing sniffing at the medium dose. The D2 antagonist, SFF, 18393, had a strikingly different behavior along the science of the profile of high-dose SCH, while high-dose PD 152255 stimulated behavior. The failure of low-dose APO to ha DOCUMENT TYPE: LANGUAGE:

Double bond geometry as shown.

L4 ANSWER 27 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Title compds. I [wherein Rl = H or (un)substituted (cyclo)alkyl or alkenyl: R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl: or NRIR2 = heterocyclyl: X = 0 or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,3-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 µM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data). diabetes (no data). 330560-59-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)
330560-59-3 CAPIUS
HB-Benzimidazole-1-carboxamide, N-(3,4-dichlorophenyl)-2-phenyl- (9CI)
(CA INDEX NAME)

ANSWER 28 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT 117

#### 05/24/2005

L4 ANSWER 29 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 09 May 2003

ACCESSION NUMBER: 2003:353857 CAPLUS

DOCUMENT TRUMBER: 118:356242

INVENTOR(S): Tanjouchi, Hiromi; Rikukawa, Masahiro

TOYOTA Motor Corp., Japan

SOURCE: Japanese

FAMILY ACC. NUM. COUNT: 1

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2003132908 A2 20030509 JP 2001-327447 20011025

PRIORITY APPLN. INFO: JP 2001-327447 20011025

AB The electrolyte material, especially for a fuel cell, is obtained by binding a side chain having a plurality of ion exchange groups to a principal chain. Preferably, the ion exchange groups contain 21 group selected from sulfonate, phosphonate, phosphate, borate, and carboxylate groups.

IT 521084-75-19 RE: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)

(Solid electrolyte materials containing ion exchange groups for fuel cells)

RN 521084-75-3 CAPLUS

CN Poly([1,1'-bis(3-[bis(4-sulfobutyl)amino]propyl][5,5'-bi-lH-benzimidazole]-2,2'-diyl]-1,3-phenylene) (9CI) (CA INDEX NAME)

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-45-4 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl}-2-(4-butoxyphenyl)-5-[[(1,2-diphenylethyl)amino]carbonyl}-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-47-6 CAPLUS

Hi-Benzimidazole-1-acetamide, a-[3-{(aminoiminomethyl)amino]propyl]-5-[(1,2-diphenylethyl)amino]carbonyl]-2-[4-(1-methylethyl)phenyl]-, (oS)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

321180-49-8 CAPLUS H.Benrimidazole-1-acetamide,  $\alpha$ -[3-{(aminoiminomethyl)amino]propyl]-2-[4-(1-methylethyl)phenyl]-5-[(2-phenylethyl)(phenylmethyl)amino]carbony 1]-, (as)-(9C1) (CA IMDEX NAME)

Absolute stereochemistry.

L4 ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 20 Mar 2003 CCESSION NUMBER: 2003:217986 CAPLUS DOCUMENT NUMBER: 138:238445

DOCUMENT NUMBER: Melanocortin receptor-3 ligands for treating sexual

dysfunction
Dines, Kevin C.; Gahman, Timothy C.; Girten, Beverly
E.; Hitchin, Douglas L.; Holme, Kevin R.; Lang,
Hengyuan; Slivka, Sandra R.; Watson-Straughan, Karen
J.; Tuttle, Ronald R.; Pei, Yazhong
Lion Bioscience AG, Germany
U.S., 25 pn., Cont.-in-part of U.S. Ser. No. 364,825,
abandoned INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

apandoned.
CODEN: USXXAM
Patent
English
5

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6534503	B1	20030318	US 2000-615479	20000713
US 6127381	A	20001003	US 1999-301391	19990428
US 6608082	B1	20030819	US 1999-306686	19990506
US 6284735	B1	20010904	US 1999-356386	19990716
PRIORITY APPLN. INFO.:			US 1998-83368P P	19980428
			US 1999-301391 A1	19990428
			US 1999-306686 AZ	19990506
			US 1999-356386 A2	19990716
			US 1999-364825 B2	19990730
			US 1999-401004 A2	19990921

US 1993-64825 52 19999/30 US 1993-64825 52 1999/30 US 1999-640104 A2 19990/31 R SOURCE(S): MARPAT 138:238445

Methods are described for treating sexual dysfunction, such as erectile dysfunction or sexual arousal disorder, with peptides having the sequence -D-Phe-Arg-D-Trp- A particularly useful compound is HP-228

(Ac-Nie-Gln-His-D-Phe-Arg-D-Trp-Gly-NHZ), which was prepared by the solid-phase method and assayed for biol. activity. The invention also provides methods for selecting melanocortin receptor-3 ligands by determining whether a compound modulates the activity of MC-3 as an agonist or antagonist. These methods can be used to screen compound libraries (e.g., benzimidazole derivs., which are claimed) for ligands to treat MC-3-associated conditions.

321180-43-29 321180-45-49 221180-47-6F
321180-49-89 321180-51-2P 321180-53-0P
321180-55-0P 321180-55-0P 321180-55-0P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES) OTHER SOURCE(S):

(benzimidazole combinatorial library for treating melanocortin

(benzimicazole combinatorial intrary for treating melanocortin receptor-3 associated conditions) 321180-43-2 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl}-2-[4-(1,1-dimethylethyl)phenyl)-5-[(2-phenylethyl)(phenylmethyl)amino]carbonyl}-, (a8)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-51-2 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[[(1,2-diphenylethyl)amino]carbonyl]-,  $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-53-4 CAPLUS lH-Benzimidazole-l-acetamide,  $\alpha$ -[3-[{aminoiminomethyl)amino]propyl}-5-[{4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]carbonyl}-2-{4-(1,1-dimethylethyl)phenyl}-, { $\alpha$ S}- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

321180-55-6 CAPLUS

321180-33-56 CAPU-3 CA

Absolute stereochemistry.

#### 05/24/2005

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-57-8 CAPLUS

1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]2-(4-butoxyhenyl)-5-[[(2-phenylethyl)(3-pyridinylmethyl)amino]carbonyl]-,
[αS)- [9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

321180-59-0 CAPLUS  $\frac{1}{1} - \frac{1}{1} - \frac{1}$ 

#### Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 71

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 20 Mar 2003
ACCESSION NUMBER: 2003:215662 CAPLUS
139:133505
SOLId-phase synthesis of benzimidazole libraries biased for RNA targets

AUTHOR(S): Vourloumis, Dionisios: Takahashi, Masayuki; Simonsen, Klaus B.; Ayida, Benjamin K.; Barluenga, Sofia: Winters, Geoffrey C.; Hermann, Thomas
Department of Medicinal Chemistry, Anadys Pharmaceuticals, Inc., San Diego, CA, 92121, USA
Tetrahedron Letters (2003), 44(14), 2807-2811
CODDN: TELEAY; ISSN: 0040-4039
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LANGUAGE: CASREACT 139:133505 PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

HO 
$$\stackrel{\circ}{\underset{N}{\longrightarrow}}$$
  $\stackrel{\circ}{\underset{N}{\longrightarrow}}$   $\stackrel{\circ}{\underset{N}{\longrightarrow}}$ 

An efficient and highly versatile synthesis of two libraries I (RI = 3-pyridylmethyl, CHZCHZNNEZ, N-morpholinylethyl, etc., RZ = 3-02NC6H4, 3-pyridyl, 2-02N-3-C1C6H3, etc. l and II (R = 4-C6H4CHZ, (CHZ)5, CHZ, etc., RI = CHZCHZCOZET, N-morpholinylethyl, 5-methyl-2-furylmethyl, etc., RZ = 2-C1-6-02NC6H3, 3-thienyl, 2-C1-5-02N-C6H3, etc.; RZ = cyclohexyl, Et, PhCHZ] based on the privileged benzimidazole scaffold is described. Our design is aimed at obtaining mols., biased for binding to RNA targets, by incorporating functionalities, which are frequently found in natural RNA-ligands. The library construction was realized with the use of SPOS (solid-phase organic synthesis) using either the Wang resin or the Rink amide resin in high average yields and purity. Monitoring and quantitation of intermediates and final products were performed by the use of NMR spectroscopy using DMFu as an internal standard 318477-17-79 318477-18-09 318482-00-38 318482-47 318482-85-99 31897-64-89 569355-75-59 569355-77-79 569355-78-99 569355-78-59 569355-97-19 569355-98-99 569355-97-19 569355-98-99 569355-97-19 569355-98-19 569355-97-19 569355-98-29 569355-93-29 569355-74-79 569356-74-79 569356-74-79 569356-73-29 569356-81-69 569356-8

(Continued)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 569356-97-2P 569356-99-4P 569356-90-7F 569356-91-P 569356-91-P 569356-91-P 569356-91-P 569356-97-4P 569356-97-4P 569356-97-4P 569356-97-4P 569357-07-97 569358-07-97 569358-07-9 (Continued)

569358-72-1P
RE: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(solid-phase synthesis of benzimidazole libraries biased for RNA binding using Wang resin or Rink amide resin)
318477-17-7 CAPLUS
HB-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-{{{3-(2-oxo-1-pyrrolidinyl)propyl]amino}carbonyl}- (9CI) (CA INDEX NAME)

318482-84-7 CAPLUS β-Alanine, N-[[1-(2-amino-2-oxoethyl)-2-(5-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

318402-05-8 CAPLUS B-Alanine, N-[[1-(2-amino-2-oxoethy1)-2-(2-chloro-5-nitropheny1)-1H-benzimidazo1-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

318477-18-8 CAPLUS
1H-Benzimidazole-l-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(2-oxo-1-pyrrolidinyl)propyl)]amino]carbonyl]- (9CI) (CA INDEX NAME)

318482-80-3 CAPLUS B-Alanine, N-[[1-(2-amino-2-oxoethy1)-2-(4-chloro-3-nitropheny1)-1H-benzimidazo1-5-yl|carbony1]-, ethyl ester (9CI) (CA INDEX NAME)

318970-64-8 CAPLUS IN-Benzimidasole-l-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569355-44-8 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 569355-75-5 CAPLUS
CN IH-Benzimidazole-3-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-77-7 CAPLUS
CN IH-Benzimidazole-5-carboxylic ecid, 2-(5-chloro-2-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-78-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued piperidinyl)ethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-89-1 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569353-90-4 CAPLUS
N 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

EtO-C N C1 C1 N (CH2) 3 N

RN 569355-92-6 CAPLUS
CN IH-Benzimidzole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[3-(2-oxo-1-pyrrolldinyl)propyl)-, ethyl ester (SCI) (CA INDEX NAME)

RN 56935-93-7 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl)-, ethyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-79-9 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-(2-(1-piperidinyl)ethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-80-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(1-piperidinyl)ethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-82-4 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-83-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(1-

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-94-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[2-(4-morpholinyl)ethyl]-2-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-95-9 CAPLUS
CN 1H-Benzindazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-{2-(4-morpholinyl)-thyll-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-97-1 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-(2-(4-morpholinyl)-thyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-98-2 CAPLUS

HH-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(4-morpholinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-99-3 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[2-(dimethylamino)ethyl]-2-(3-nitrophenyl)-, ethyl ester [SCI) (CA INDEX NAME)

RN 569356-00-9 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-(2(dimethylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-02-1 CAPLUS
CN IH-Benzimidarole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(dimethylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-03-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-{2-chloro-5-nitrophenyl}-1-{2-(dimethylamino)ethyl}-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-75-8 CAPLUS
β-Alanine, N-[{1-(6-amino-6-oxohexyl)-2-(2-chloro-5-nitrophenyl}-1H-benzimidazol-5-yl]carbonyl}-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-76-9 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-{{{2-methoxyethyl}amino]carbonyl}- (9CI) (CA INDEX NAME)

RN 569356-77-0 CAPLUS
CN | H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitropheny1)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

MeO- 
$$CH_2$$
-  $CH_2$ -  $NH$ -  $C$   $O_2N$   $N$   $O_2N$   $O_2N$   $O_2N$   $O_3N$   $O_4N$   $O_4N$ 

RN 569356-79-2 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]-.(9CI) (CA INDEX NAME)

#### 05/24/2005

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-72-5 CAPLUS
CN B-Alanine, M-{[1-(6-amino-6-oxohexyl)-2-(4-chloro-3-nitrophenyl)-1H-benzindazol-5-yl|carbonyl|-, ethyl ester (9Cl) (CA INDEX NAME)

RN 569356-73-6 CAPLUS
CN 6-Alanine, N-[(1-(6-amino-6-oxohexyl)-2-(2-chloro-6-nitrophenyl)-1H-benzimidazol-5-yl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-74-7 CAPLUS
CN B-Alanine, N-{{1-(6-amino-6-oxohexyl)-2-(5-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl}carbonyl}-, ethyl ester {9CI} (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-80-5 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[(2-methoxyethyl) amino] carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-81-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-(6-amino-6-oxohexyl)-2-(4-chloro-3-nirrophenyl)-1H-benzimidazo1-5-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-82-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-(6-amino-6-oxohexyl)-2-(2-chloro-6-nitrophenyl)-lH-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) {CAINDEX NAME}

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 569356-84-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-{6-amino-6-oxohexyl}-2-{5-chloro-2-nitrophenyl}-1H-benzimidazol-5-yl]carbonyl}amino}-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-85-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{{{1-(6-amino-6-oxohexyl)-2-(2-chloro-5-nitrophenyl)-1H-benzimidazol-5-yl}carbonyl}amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-86-1 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 569356-91-8 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[(2-cyclohexylethyl)amino]carbonyl)- (9CI) (CA INDEX NAME)

RN 569356-92-9 CAPLUS
CN IH-Benzindarole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[{(2-cyclohexylethyl)amino|carbonyl]- (9CI) (CA IMDEX NAME)

RN 569356-94-1 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[(2-cyclohexylethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-95-2 CAPLUS

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-87-2 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-{{(3-dimethylamino)propyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-89-4 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-90-7 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CN IH-Benzimidazole-1-hexanamide, 2-{2-chloro-5-nitrophenyl)-5-[{(2-cyclohexylethyl)amino|carbonyl1-(9C1) (CA INDEX NAME)

RN 569356-96-3 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-{4-chloro-3-nitrophenyl}-5-{{{(5-methyl-2-furanyl)methyl}amino|carbonyl}- (9CI) (CA INDEX NAME)

RN 569356-97-4 CAPLUS
CN IN-BENZIMIdazole-1-hexanamide, 2-[2-chloro-6-nitrophenyl)-5=[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-99-6 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]mino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-00-2 CAPLUS
CN IH-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-{{{(5-methyl-2-furanyl)methyl amino|carbonyl}- (9CI) (CA INDEX NAME)

RN 569357-01-3 CAPLUS
CN B-Alanine, N-[(1-(2-mino-2-oxoethyl)-2-(2-chloro-6-nitrophenyl)-1H-benzimidazo1-5-yl]carbonyl]-, ethyl ester (9Cl) (CA INDEX NAME)

RN 569357-02-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[2-amino-2-oxoethyl)-2-(4-chloro-3-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino}-, ethyl ester (9CI) (CA INDEX NAME)

RN 569357-08-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-{2-amino-2-oxoethyl}]-2-{2-chloro-6-nitrophenyl}-1H-benzimidarol-5-yl]carbonyl]amino)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569357-10-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[2-amino-2-oxoethyl]-2-(5-chloro-2-nitrophenyl]-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-03-5 CAPLUS
CN IH-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-{{(2-methoxyethy)amino]carbonyl]- (SCI) (CA INDEX NAME)

RN 569357-05-7 CAPLUS
CN IH-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-06-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-11-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[2-amino-2-oxoethyl]-2-(2-chloro-5-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) {CA INDEX NAME}

RN 569357-12-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, Z-(4-chloro-3-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-13-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

569357-15-9 CAPLUS
1H-Benzimidarole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[[[3-(dimethylamino)gropyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569357-16-0 CAPLUS
1H-Benzimidazole-l-acetamide, 2-(2-chloro-5-nitrophenyl)-5-{[[3-dimethylamino]propyljamino]carbonyl]- (9CI) (CA INDEX NAME)

569357-17-1 CAPLUS
1H-Benzimidazole-l-acetamide, 2-{4-chloro-3-nitrophenyl}-5-[[[2-{1-piperidinyl}ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 569357-22-8 CAPLUS LH-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569357-23-9 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569357-25-1 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]emino|carbonyl]- (9CI) (CA INDEX NAME)

569357-26-2 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569357-18-2 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569357-20-6 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569357-21-7 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-{1-piperidinyl}ethyl]amino]carbonyl)- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569358-38-9 CAPLUS
IH-Benzimidazole-l-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-(2-oxo-1-pyrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

S69358-39-0 CAPLUS IN-Benzimidacole-1-hexanamide, 2-{2-chloro-6-nitropheny1}-5-{{{[3-(2-oxo-1-pyrrolidiny1)propy1]amino}carbony1]- (901) (CA INDEX NAME)

569358-40-3 CAPLUS IH-Benzimidacio-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-{[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-41-4 CAPLUS

### Andrew Freistein 10/630896

### 05/24/2005

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- [9CI) (CA INDEX NAME)

569358-42-5 CAPLUS
1H-Benzimidazole-1-hexanamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2-(4-chloro-3-nitrophenyl)- (9CI) (CA INDEX NAME)

569358-43-6 CAPLUS
1H-Benzimidazole-1-hexanamide, 5-{{[2-(acetylamino)ethyl]amino]carbonyl]-2-(2-chloro-6-nitrophenyl)- (9C1) (CA INDEX NAME)

569358-45-9 CAPLUS 1H-Benzimidazole-1-hexanamide, 5-[[[2-{acetylamino}ethyl]amino]carbonyl]-2-(5-chloro-2-nitrophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569358-46-9 CAPLUS
1H-Benzimidazole-1-hexanamide, 5-{[[2-(acetylamino)ethyl}amino]carbonyl]-2-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

569358-47-0 CAPLUS
1H-Benzimidszole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569358-48-1 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569358-50-5 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-{{[[2-{1-methyl-2-pyrrolidinyl}ethyl]amino]carbonyl}- (9CI) (CA INDEX NAME)

569358-51-6 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (SCI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STM (Continued) IH-Benzimidazole-l-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino|carbonyl]- [9CI) (CA INDEX NAME)

569358-55-0 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl)amino|carbonyl)- (9CI) (CA INDEX NAME)

569358-56-1 CRPLUS

HH-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl)amino|carbonyl]- (9CI) (CA INDEX NAME)

569358-52-7 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569358-53-8 CAPLUS

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

569358-57-2 CAPLUS
1H-Benzimindazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[3-(2-oxo-1-pytrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569358-58-3 CAPLUS

### 05/24/2005

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1H-Benzimidazole-1-acetamide, 5-{[{2-(acetylamino)ethyl]amino}carbonyl]-2-(4-chloro-3-nitrophenyl)- (9CI) (CA INDEX NAME)

569358-59-4 CAPLUS
IH-Benzimidazole-l-acetamide, 5-[([2-(acetylamino)ethyl]amino]carbonyl]-2-(2-chloro-6-nitrophenyl)- (9CI) (CA INDEX NAME)

569358-61-8 CAPLUS |H-Benzimidazole-1-acetamide, 5-{{[2-(acetylamino)ethyl}amino]carbonyl}-2-(5-chloro-2-nitrophenyl)- (9CI) (CA INDEX NAME)

569358-62-9 CAPLUS
1H-Benzimidazole-l-acetamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569358-67-4 CAPLUS
1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-{{{2-(1-methyl-2-pyrrolidinyl)ethyl}amino}carbonyl}- (9CI) (CA INDEX NAME)

569358-68-5 CAPLUS
1H-Benzimidazole-l-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(4-morphoinyl)ethyl]amino|carbonyl]- (9CI) (CA INDEX NAME)

569358-69-6 CAPLUS
1H-Benzimidazole-l-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino|carbonyl]- (9CI) (CA INDEX NAME)

569358-71-0 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[{{2-(4-

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

S69358-63-0 CAPLUS
IH-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(1-methyl-2-pyrolidinyl)ethyl]amino]carbonyl)- (9CI) (CA INDEX NAME)

569358-64-1 CAPLUS 1H-Benzimidascole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino|carbonyl]- (9CI) (CA INDEX NAME)

569358-66-3 CAPLUS
1H-Benzimidasole-l-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[([2-(1-methyl-2-pyrrolidinyl)ethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN {
morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME) (Continued)

569358-72-1 CAPLUS
1H-Benzimida201e-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(4-morphoinyl)ethyl]amino|carbonyl]- (9CI) (CA INDEX NAME)

569355-42-6P 569355-46-0P 569355-48-2P
569355-33-9P 569355-50-6P 569355-51-7P
569355-31-9P 569355-50-1P 569355-60-2P
569355-61-9P 569355-61-1P 569355-60-2P
569355-61-9P 569355-70-0P 569355-71-1P
569355-73-3P 569355-70-6P 569355-71-1P
869355-73-3P 569355-74-4P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant) or reagent)
(solid-phase synthesis of benzimidazole libraries biased for RNA binding using Wang resin or Rink amide resin)
569355-42-6 CAPLUS
1H-Benzimidazole-3-carboxylic acid, 2-(3-nitrophenyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

569355-46-0 CAPLUS 1H-BenzimidazOle-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-(3-pyridinylmethyl)- (9C1) (CA INDEX NAME)

Page 41

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-48-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 569355-49-3 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 569355-50-6 CAPIUS CN IH-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-{2-(1-piperidinyl)ethyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 569355-61-9 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl)- (9CI) (CA INDEX NAME)

RN 569355-63-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 569355-64-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl)- (9CI) (CA INDEX NAME)

RN 569355-65-3 CAPLUS
CN IN-Benzimidazole-5-carboxylic acid, 1-[2-(4-morpholinyl)ethyl)-2-(3-nitrophenyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 569355-51-7 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 569355-53-9 CAPLUS
CN ||H-Bersimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 569355-54-0 CAPLUS
CN HH-Benzindazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(1-piperidinyl)-thyl]- (9CI) (CA INDEX NAME)

RN 569355-60-8 CAPLUS
CN | H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN . (Continued)

RN 569355-66-4 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-{2-(4-morpholinyl)ethyl}- (9CI) (CA INDEX NAME)

RN 569355-68-6 CAPLUS
CN IH-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

N 569355-69-7 CAPLUS
N IH-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(2-(4-morpholinyl)ethyl) (SCI) (CA INDEX NAME)

RN 569355-70-0 CAPLUS CN IH-Benzimidazole-5-carboxylic acid, 1-[2-(dimethylamino)ethyl]-2-(3nitrophenyl)- (9CI) (CA INDEX NAME) ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569355-71-1 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

569355-73-3 CAPLUS HH-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

569355-74-4 CAPLUS
IH-Benzimidazõle-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(dimethylamino)ethyl]- (9CI) (CA.INDEX NAME)

REFERENCE COUNT:

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 32 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Title compds. I [A = alkyl, alkylen-aryl (sic), mono or bicyclic ring; X = CR8R9, C(OR10)R11, O, etc.; R8, R9, R10, R11 = H, alkyl; D = N, CR41; E = N, CR42; G = N, CR43; L = N, CR44; R1, R2, R3, R41, R42, R43, R44 = H, halo, OH, etc.; B = O, NR24; R24 = H, alkyl; R5 = H, alkyl; W = N, CR25; R25 = H, alkyl; W = N, CR25; R25 = H, alkyl; Ayl, bond to Y; Y = N, CR26; R26 = H, alkyl; N = N, CR25; S, SO, etc.; R6, R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, three component coupling of 1-dimethylaminoethyl-5-aminoindole, carbonyldimidazol and 4-aminodiphenylether provided indolylurea II. In Thuman melanin-concentrating hormone receptor assays, 41-specific examples of component and their component and their component and their component and their component acceptor assays, 41-specific examples of component and their compo

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 28 Feb 2003

ACCESSION NUMBER: 2003:154238 CAPLUS

DOCUMENT TYPE: 2003:154238 CAPLUS

138:204941

138:204941

Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes

Schwink, Lothar: Stengelin, Siegfried: Gossel, Matthias

Aventis Pharma Deutschland G.m.b.H., Germany

POCUMENT TYPE: Patent

LANGUAGE: German

LANGUAGE: FAMILY ACC. NUM. COUNT:

PAT	ENT I										LICAT				D	ATE	
															-		
WO											2002-						
	W:										, BG,						
											, EE,						
											, KG,						
											, MW,						
											, SL,						
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	RW:										, TZ,						
											, GB,						
		PT,	SE,	SK,	TR,	BF,	BJ,	CF,	CG,	CI	, CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
		NE,	SN,	TD,	TG												
DE	1013	9416			A1		2003	0306		DΕ	2001- 2002-	1013	9416		2	0010	817
CA	2457	037			AA		2003	0227		CA	2002-	2457	037		2	0020	803
EP	1418	906			A1		2004	0519		EΡ	2002-	7744	98		2	0020	803
	R:										, IT,						PT,
		IE.	SI,	LT,	LV,	FI,	, RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	SK		
BR	2002	0119	89		А		2004	0928		BR	2002-	1198	9		2	0020	803
JP	2005	5055	30		T2		2005	0224		JP	2003-	5207	28		2	0020	803
US	2003	2120	70		Al		2003	1113		US	2002-	2160	34		2	0020	814
EE	2004	0005	5		A		2004	0415		EΕ	2004- 2003-	55			2	0030	803
US	2004	2491	65		Al		2004	1209		US	2003~	4799	46		2	0031	204
	2004				A1		2004	0930		US	2004-	8207	06		2	0040	409
US	2004	1987	31		A1		2004	1007		US	2004-	8207	03		2	0040	409
US	2004	1987	32		A1		2004	1007		US	2004-	8207	36		2	0040	409
	2004							1007		US	2004-	8208	83		2	0040	409
RIORITY	APP	LN.	INFO	. :							2001-					0010	817
										DE	2001-	1013	9126		A 2	0010	809
										WO	2002-	EP86	86		W 2	0020	803
											2002-					0020	814
THER SO	URCE	(S):			MAR	PAT	138:	20494									

L4 ANSWER 33 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 21 Feb 2003
ACCESSION NUMBER: 2003:133024 CAPLUS
DOCUMENT NUMBER: 138:163576
Nethod for prevention or suppression of symptoms of paychosis
INVENTOR(S): Richtand, Neil
PATENT ASSIGNEE(S): Richtand, Neil
The United States of America as Represented by Department of Veterans Affairs, USA
PCT Int. Appl., 30 pp.
COOR: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.			KIN	2	DATE				CAT				Di	ATE		
	WO	2003	01350	07		Al		2003	0220	,	7O 2	001-U	JS24	891		21	00108	909	
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
								DK,											
								IN,											
								MD,											
								SĮ,									UG,	us,	
								AM,											
		RW:						MZ,											
								GB,										BF,	
								GΑ,											
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	dis	cose	d. 1	The i	ne th	od i	nclu	ides :	dete	rmin	ing	wheti	her	a pa	tien	t is	at :	risk for	
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							c ir	an	amou	nt s	uffi	cien	t to	pre	vent	or	supp	ress	
		uptom																	
ΙT		917-																	
								tivi		THU	(Th	erap	euti	c us	e); ]	BIOL			
	(Bi							ises)											
							on c	r re	duci	ng o	ccur	renc	e of	psy	chos:	15 5	λωb r	oms)	
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CN								-2-b						[4-[	3-(1	-			
	pip	erid	inyl	) pro	роху	] phe	nyl	- (9	CI)	(CA	IND	EX N	AME)						

Double bond geometry as shown.

L4 ANSWER 33 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 34 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkyl, cycloalkyl, etc.; R2 = R1; R3, R4, R6, R7 = H, X, alkenyl, cycloalkyl; R5 = H, alkyl, alkenyl, etc.; X = halo, CN, perfluoroalkyl, etc.; Which are inhibitors of Janus protein tyrosine kinases (Jak), and as such are useful as immunosuppressants, and in the treatment of diseases including asthma, allergies, autoimmune diseases, were prepd. and formulated. E.g., a 5-step synthesis of II, starting from 2-fluoro-4-methylpyridine and Et 4-fluorobenzoate, was given. 495603-76-09
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazo[4,5-f]isoquinolinones as inhibitors of Janus protein tyrosine kinases (Jak))
496803-76-0 CAPLUS
7H-Benz[h]imidazo[4,5-f]isoquinolin-7-one, 1-[2-(dimethylamino)ethyl]-9-fluoro-1,6-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 14 Feb 2003
ACCESSION NUMBER: 2003:117620 CAPLUS
DOCUMENT NUMBER: 138:153536
TITLE: Preparation of benzimidazo(4,5-f)isoquinolinones as inhibitors of Janus protein tyrosine kinases (Jak)
INVENTOR(S): Goulet, Joung L.: Mong, Xingfang: Sinclair, Peter J.: Thompson, James E.: Cubbon, Rose M.: Cummings, Richard T.

PATENT ASSIGNEE(5): SOURCE:

T.

Merck & Co., Inc., USA
PCT Int. Appl., 78 pp.
CODEN: PIXXD2
Patent
English
1 DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT:

							DATE								D.	ATE		
															-			
WO	2003	0112	85		Al		2003	0213		WO 2	002-	US23	B76		2	0020	726	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	
		LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NO,	NZ,	OM,	PH,	PL,	
		PT.	RO.	RU.	SD.	SE.	SG,	SI.	SK,	SL.	TJ.	TM.	TN,	TR,	TT,	TZ,	UA,	
							ZA,											TM
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	••••						EE,											
							BJ,											
			SN,															
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	1414																	
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TD	2005															0020	726	
	2004																	
110	6852	777	••				2005	0209		-	•••	100.			-			
PRIORIT	00JZ	121	TMEO		ΒZ		2003	0200		110 7	001-	3093	64 D		D 2	0010	801	
PRIORII	I APP	Lav.	INFO									US23						
	an				MED		120.	1626		<b>#</b> O 2	002-	0323	0 / 0			0020	120	
OTHER S	OURCE	(5):			MAX	PAT	138:	1333	30									

The title compds. I [Q = N, C; R1 is attached to the N atom and = H,

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 17 Jan 2003 ACCESSION NUMBER: 2003:42104 CAPLUS DOCUMENT NUMBER: 138:106697 Freparation of 1-alkyl-2-arylber

138:106697
Preparation of 1-alkyl-2-arylbenzimidazole derivatives for treatment of diseases linked to the activation of microglia
Blume, Thorsten: Halfbrodt, Wolfgang; Kuhnke, Joachim; Moenning, Ursula; Elger, Bernd; Schneider, Herbert Schering Aktiengesellschaft, Germany PCT Int. Appl.. 87 pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT .				KINI		DATE				ICAT					ATE	
	2003					_										0020	706
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DK,	DM,	DZ,	ĒC,	EΕ,	ES,	FI,	GB,	GD,	GΕ,	GH,	GΜ,
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	ом,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,
		UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM
	RW:	GH,	GΜ,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR.
				TD,													
	1013															0010	706
US	2003	0550	57		A1		2003	0320		US 2	002-	1891	79		2	0020	705
	6855																
EP	1404	321			AI		2004	0407		EP 2	002-	7623	33		<del>- 2</del>	0020	706
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT
											BG,						
JP	2004	5307	31		T2		2004	1007		JP 2	003-	5100	34		2	0020	706
IORIT	Y APP	LN.	INFO	.:						DE 2	001-	1013	4775		A 2	0010	706
										US 2	002-	3472	42 P		P 2	0020	114
										wn 2	002-	EP75	97		₩ 2	0020	706

MARPAT 138:106697 OTHER SOURCE(S):

$$\begin{array}{c} R^{3} \\ \\ B-A-Y \\ \end{array}$$

$$\begin{array}{c} N \\ \\ R^{2} \\ \end{array}$$

$$\begin{array}{c} I \\ \\ \end{array}$$

$$\begin{array}{c} N \\ \\ \end{array}$$

Title compds. I (wherein R1 = (un) substituted (hetero) aryl, especially

05/24/2005

### Andrew Freistein 10/630896

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) benzothienyl or indolyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, hydroxyalkyl, aminoalkyl, carbamoylalkyl, Ph, etc.: R3 = H, F, Cl, Br, OH, CN, NO2, or (un)substituted acrbamoyl(oxyl, sulfamoyl, amino, ureido, etc.: A = (un)substituted alkanedlyl, alkenedlyl, or alkynedlyl, cycloalkyl ring, heterocyclyl ring, etc.: B = CO2H, carboxy ester, carbamoyl, etc.: Y = 0, NH, (un)substituted ureido, sulfamoyl, etc.) were prepd. as microglia activation inhibitors. For example, a multi-step synthesis starting from 3-fluoro-4-nitrophenol, 3-methoxypropylamine, Me 6-bromohexanoate, and tri-Me orthobenzoate produced 6-[15-(methoxycarbonyl)pentyl]oxyl-1-(3-methoxypropyl)-2-phenylbenzimidazole (II). The latter inhibited AB-activation of microglia in vitro with an ICSO of 0.65 µH. Thus, I are useful for the prophylaxis and treatment of diseases linked to the activation of microglia, such as inflammation, allergy, infection, autoimmune disease, and stroke (no data).

treatment of diseases linked to the activation of microglia, such as inflammation, allergy, infection, autoimmune disease, and stroke (no data).

486418-06-0P, 6-[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-[3-(morpholin-4-yl)propyl)benzimidazole

486418-08-0P, 6-[[5-(Methoxycarbonyl)pentyl)oxy]-2-(4methoxyphenyl)-1-[3-(-phenyl)peratin-1-yl)propyl)benzimidazole

486418-12-6P, 1-[3-(N,N-Dlethylaminolpropyl)-6-[[5(methoxycarbonyl)pentyl)oxy]-2-(4-methoxyphenyl)benzimidazole

486418-14-0P, 6-[5-(Methoxycarbonyl)pentyl)oxy]-2-(4methoxyphenyl)-1-[3-[4-(pyrid-2-yl)piperazin-1-yl)propyl)benzimidazole

486418-14-0P, 6-[5-(Methoxycarbonyl)pentyl)oxy]-2-(4methoxyphenyl)-1-[3-[4-(pyrid-2-yl)piperazin-1-yl)propyl)-6-[[5(methoxycarbonyl)pentyl)oxy]-2-(4-methoxyphenyl)benzimidazole

486418-18-0P, 6-[6-[6-(Methoxycarbonyl)pentyl)oxy]-2-(4methoxyphenyl)-1-[3-[N-methyl-N-(rifluoromethyl)aminolpropyl)benzimidazole

486418-20-6P, 6-[6-(Methoxycarbonyl)pentyl)oxy]-2-(4methoxyphenyl)-1-[3-(N-methyl-N-(rifluoromethyl)carbonyl)aminolpropyl)benzimidazole

486418-3-2P, 6-[6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropyl)benzimidazole

486418-3-2P, 6-[6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-3-9P, 6-[6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-3-9P, 6-[6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-9-9P, 6-[6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-9-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-9-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486418-3-9-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486419-3-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486419-3-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486419-3-9P, 6-[6-(5-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

486419-3-9P, 6-[6-(6-(Methoxycarbonyl)pentyl)carbonyl)aminolpropylbenzimidazole

4864

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

486418-14-8 CAPLUS

Hexanoic acid, 6-[{2-(4-methoxyphenyl)-1-[3-[4-(2-pyridinyl)-1-piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA TNDEX NAME)

486418-16-0 CAPLUS wsoqis-ib-U CAPLUS
Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[4-(2-pyrimidinyl)-1piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI)
INDEX\_NAME)

486418-18-2 CAPLUS Hexanoic acid, 6-[[1-{3-[4-{2-hydroxyethyl}-1-piperazinyl]propyl]-2-{4-methoxyphenyl}-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN {Continued}
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(4-methylphperazin-1-yl)propyl]benzimidazole 486419-45-8p,
6-[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[4-(imidazol-1-yl)propyl]benzimidazole 486419-47-0p,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[4-(fur-2-yl)carbonyl)piperazin-1-yl]propyl]benzimidazole 486419-47-0p,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[(2-hydroxyethyl)mino]propyl]benzimidazole 486419-51-6p,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(imidazol-1-yl)propyl]benzimidazole 486419-53-6p,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(imidazol-1-yl)propyl]benzimidazole 486419-53-0p,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(dimethylmethylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(dimethylmethylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(pytid-3-ylcarbonyl)pentyl)ploxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl

(Uses)
(microglia activation inhibitor; prepn. of (alkyl){aryl}benzimidazolea as microglia activation inhibitors for treatment of inflammation, allergy, infection, autoimmune disease, and stroke)
486418-06-8 CAPLUS
Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-(4-morpholinyl)propyl]-1H-benzimidazol-6-yl]oxyj-, methyl ester (9CI) (CA INDEX NAME)

486418-08-0 CAPLUS

Hexanoic acid, 6-[(2-(4-methoxyphenyl)-1-[3-(4-phenyl-1piperazinyl)propyl]-1H-benzimidazol-6-yl)oxy]-, methyl ester (9CI) (CA
INDEX NAME)

486418-12-6 CAPLUS Hexanoic acid. 6-[[1-[3-(diethylamino)propyl)-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

486418-20-6 CAPLUS Hexanoic acid, 6-[[1-[3-(acetylmethylamino)propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

486418-22-8 CAPLUS

Hexanolc acid, 6-[[2-(4-methoxyphenyl)-1-[3-[methyl(trifluoroacetyl)amino]
propyl]-HH-benzimidazol-6-ylloxy]-, methyl ester (9CI) (CA INDEX NAME)

486418-26-2 CAPLUS
Hexanoic acid, 6-[[2-{4-methoxyphenyl}-1-[3-{methyl(3-{methylthio}-1-oxopropyl]amino]propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

486418-28-4 CAPLUS veowine-ze-a CAPLNS
Hexanoic acid, 6-[[1-[3-[(2,2-dimethyl-1-exopropyl)methylamino|propyl]-2(d-methoxyphenyl)-1H-benzimidazol-6-yl)oxy]-, methyl ester (9CI) (CA ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

486418-30-8 CAPLUS

Hexanoic acid, 6-[[1-{3-[(2-furanylcarbonyl)methylamino]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy)-, methyl ester (9Cl) (CA INDEX NAME)

486418-31-9 CAPLUS

Hexanoic acid, 6-[[1-{3-{(methoxyacetyl)methylamino}propyl]-2-{4-methoxyphenyl}-1H-benzimidazol-6-yl]oxyl-, methyl ester (9CI) (CA INDEX NAME)

486418-56-8 CAPLUS
Hexanoic acid, 6-[[1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-1H-benzimidazol-5-yl]oxyj-, methyl ester (9CI) (CA INDEX NAME)

486418-58-0 CAPLUS
Hexanoic acid, 6-[(1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-lH-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

486419-12-9 CAPLUS Hexanolc acid, 6-[[1-{2-(diethylamino)-2-oxoethyl]-2-phenyl-1H-benzimidagol-5-yl]oxy]- (9CI) (CA INDEX NAME)

486419-37-8 CAPLUS
Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-{3-(1-pyrrolidinyl)propyl}-1H-benzimidazol-6-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

486419-39-0 CAPLUS Haxanolc acid, 6-f[2-(4-methoxyphenyl)-1-[3-(1-piperidinyl)propyl]-1H-benzimidazol-6-ylloxyl-, methyl ester (9CI) (CA INDEX NAME)

486419-41-4 CAPLUS

Hexanoic acid, 6-[[1-[3-[bis(2-methoxyethyl)amino]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Page 46

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

486418-76-2 CAPLUS
Hexanoic acid, 6-{{1-[2-(diethylamino)-2-oxoethyl}-2-phenyl-lH-benzimidazol-5-yl]oxy}-, methyl ester (9CI) (CA INDEX NAME)

486418-78-4 CAPLUS Hexanoic acid, 6-{{1-{2-(diethylamino)-2-oxoethyl}-2-phenyl-1H-benzimidazol-6-yl]oxy|-, methyl ester (9CI) (CA INDEX NAME)

486419-06-1 CAPLUS
Hexanoic acid, 6-[{1-{2-(dimethylamino)-2-oxoethyl}-2-phenyl-1H-benzimidazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

486419-08-3 CAPLUS
Hexanolc acid, 6-{[1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-lH-benzimidazol-6-yl]oxyl- (9CI) (CA INDEX NAME)

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

486419-43-6 CAPLUS

Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-(4-methyl-1-piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA

486419-45-8 CAPLUS

Hexanoic acid, 6-[[1-[3-[[3-(1H-imidazol-1-yl)propyl]amino]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxyl-, methyl ester (9CI) (CA INDEX NAME)

4864]9-47-0 CAPLUS
Hexanoic acid, 6-[[3-[3-[4-(2-furanylcarbonyl)-1-piperazinyl]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAMY)

486419-49-2 CAPLUS
Hexanoic acid, 6-[(1-{3-[(2-hydroxyethyl)amino]propyl)-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

486419-51-6 CAPLUS
Hexanoic acid, 6-[(1-[3-(1H-imidazol-1-y1)propy1]-2-(4-methoxypheny1)-1H-benzimidazol-6-y1]oxy]-, methyl ester (9C1) (CA INDEX NAME)

486419-53-8 CAPLUS

Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-{methyl(1-oxopropyl)amino]propyl}-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI)
(CA INDEX NAME)

486419-55-0 CAPLUS
Hexanoic acid, 6-{[2-{4-methoxyphenyl}-1-{3-{methyl(2-methyl-1-oxopropyl}amino]propyl}-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

486419-57-2 CAPLUS

Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[methyl(3-pyridinylcarbonyl]-mino]propyl]-1H-benzimida201-6-yl]oxy]-, methyl ester

(9CI) (CA INDEX NAME)

PATENT INFORMATION:	•			
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003004203	A1	20030102	US 2001-983054	20011016
US 6271390	Bl	20010807	US 1999-316870	19990521
US 6303645	B1	20011016	US 1999-422397	19991021
US 2005075343	A1	20050407	US 2004-951515	20040928
PRIORITY APPLN. INFO.:			US 1998-86494P	P 19980522
			US 1999-316870	A2 19990521
			US 1999-422397	A2 19991021
			US 2001-983054	A1 20011016
OTHER SOURCE (S) .	MARRAT	138-55965		

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 36 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) any diseases where IgE is pathogenic.
473074-59-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of diacylbenzimidazole derivs. as modulators of IgE)
479074-59-4 CAPLUS
Benzamide, N-[2-[4-acetylamino)phenyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

Title compds. I [X, Y = H, alkyl, alkoxy, aryl, aryl, hydroxy, halogen, amino, alkylamino, nitro, cyano, CF3, OCF3, CONH2, CONHR, NHCOR1: R = H, CH3, C2H5, C3H7, C4H9, CH2Ph, 4-F-C6H4-CH2? R1, R2 = H, aryl, aryl, cycloaryl, multi-ring cycloaryl, benzyl, alkyl, cycloalkyl, multi-ring cycloaryl, benzyl, alkyl, cyclobetyl, cycloperyl, cyclobutyl, cycloperyl, cyclohetyl, bicyclonetyl, bicyclonoryl, etc. and at least one of R1 and R2 are aromatic groups] are prepared Over 20 examples are claimed, e.g., II. I are able to suppress IGE with ICSO in the range of 1 pM and are useful in the treatment of allergy, asthma or

L4 ANSWER 37 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 26 Dec 2002
ACCESSION NUMBER: 2002:973360 CAPLUS
DOCUMENT NUMBER: 138:232052
TITLE: Effects of the dopamine antagonist PD 152255 on juvenile rats' responses to dorsal stimulation, the transport response, and related behaviors
AUTHOR(S): Wilson, Christopher: Pulldo, Marisa
CORPORATE SOURCE: Sam Houston State University, USA
SOURCE: Behavioral Neuroscience (2002), 116(6), 1098-1102
CODEN: BENEDJ; ISSN: 0733-7044
PUBLISHER: American Psychological Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors gave 23 - and 40-day-old rats doses of the dopamine D3
antagonist PD 152255 and tested them on transport response intensity,
vertical cling catalepsy duration, and dorsal immobility duration.
Administration of PD 152255 resulted in dose-dependent increases in
transport response intensity in 40-day-old rats but was without effect on vertical cling catalepsy. Caused increases in dorsal
immobility durations in both 23 - and 40-day-old subjects. The drug was
without effect on vertical cling catalepsy. Results are discussed with
respect to the role of D3 receptors in the transport response and the
nature of D2-D3 receptor interactions.

IT 164917-23-1, PD 152255
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(dopamine antagonist PD 152255 effect on juvenile rat responses to
dorsal stimulation and transport response and related behaviors)

RN 164917-23-1 CAPLUS
CN 1H-Benzimidazole, 1,1'-(28)-2-butene-1,4-diylbis[2-[4-[3-[1piperidiny]]propoxy]phenyl]- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 24 Oct 2002 ACCESSION NUMBER: 2002:808818 CAPLUS DOCUMENT NUMBER: 138:378538 TITLE: CAPDOD COMMENT AUGUST ACCESSION ACCESSION NUMBER: 138:378538 CAPDOD COMMENT AUGUST ACCESSION 138:378538
Capped dipeptide o-ketoacid inhibitors of the HCV NS3 protease
Nizi, Emanuela: Koch, Uwe: Ponzi, Simona: Matassa, Victor G.: Gardelli, Cristina
Dept. of Chemistry, IRBM, Rome, 00040, Italy
Bloorganic & Medicinal Chemistry Letters (2002), 12(22), 3325-3328
CODEN: BMCLES: ISSN: 0960-894X
Elsevier Science Ltd.
Journal AUTHOR (S): CORPORATE SOURCE: SOURCE: PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): AB The N-termi

MENT TYPE: Journal
UAGE: English
R SOURCE(s): CASREACT 138:378538
The N-terminal amino acid of \(\alpha\)-ketotripeptide inhibitors of the hepatitis C virus NS3 protease can be replaced with an \(\alpha\)-hydroxy
acid, leading to capped dipeptide inhibitors such as 20 with an IC50 value
of 3.0 uM. The importance of the lipophilic side chain interactions at
S3 of the protease and the requirement of the capping residue with R
S25508-52-1
S25508-52-1
S25508-52-1

525605-52-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (capped dipeptide a-ketoacid inhibitors of the HCV NS3 protease) 525605-52-1 CAPLUS Pentanoic acid, 5,5-difluoro-3-{[(2S)-4-methyl-1-oxo-2-[[(2-phenyl-1H-benzimidazol-1-yl)acetyl}amino]pentyl]amino]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 18 Sep 2002
ACCESSION NUMBER: 2002:708801 CAPLUS
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LAUSGINGE (S): 5000CE: 1000CE 1000

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2002265455
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A2 20020918 JP 2001-64854 JP 2001-64854 20010308 MARPAT 137:232652

The title compds. I [A = (un) substituted aromatic ring: ring B = (un) substituted benzene ring: D = (un) substituted alkylene: E = single bond, (un) substituted alkylene: X = 0, etc.: m = 0 or 1: Rl, R2 = H, alkyl, etc.; R3 = H, alkyl, nitro, etc.) are prepared The osteoclast differentiation induction inhibiting activity of 5 compds. of this invention was demonstrated. Formulations are given. 459428-43-39 459428-43-44-59 459428-43-98 459428-43-98 459428-43-98 459428-43-99 459428-43-99 459428-43-99 459428-43-99 459428-43-99 459428-43-99 459428-53-99 459428-53-99 459428-53-99 459428-53-99 459428-53-99 459428-53-99 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-61-97 459428-73-97 459428-7

(preparation of benzimidazole derivs. as osteoclast differentiation induction inhibitors)
459428-42-3 CAPLUS
1H-Benzimidazole-1-butanamide, N-{aminoiminomethyl}-2-(5-chloro-2-

ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (ethoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME) (Continued)

459428-43-4 CAPLUS
1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[2-ethoxy-5-[(methylamino)sulfonyl]phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-(5-chloro-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

459428-45-6 CAPLUS 1H-Benzimidazole-1-butanamide, N-(aminoiminomethy1)-2-(5-chloro-2-ethoxypheny1)- (9CI) (CA INDEX NAME) L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-46-7 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 459428-47-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 459428-48-9 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-6-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 459428-52-5 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-{aminoiminomethy1}-2-(3-chloropheny1)-5-(trifluoromethy1)- {9CI} (CA INDEX NAME)

RN 459428-53-6 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-(5-chloro-2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-54-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(2-ethoxy-5-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459428-55-8 CAPLUS

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 459428-49-0 CAPLUS

(N IH-Benzimidazole-1-butanamide, N-{aminoiminomethyl}-2-[5[(dimethylamino)sulfonyl]-2-ethoxyphenyl]-5-(trifluoromethyl)- [9CI] (CA INDEX NAME)

RN 459428-50-3 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-51-4 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-{5-{(dimethylamino)sulfonyl}-2-ethoxyphenyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-propanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-56-9 CAPLUS
CN 1H-Benzimidazole-1-propanamide, N-(aminoiminomethyl)-6-chloro-2-(5-chloro-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-57-0 CAPLUS
(N | H-Benzimidarole-1-butanamide, N-(aminolminomethyl)-6-chloro-2-(2-ethoxy-5-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459428-58-1 CAPLUS CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-[5-[(dimethylaminolsulfonyl)-2-ethoxyphenyl)- (9CI) (CA INDEX NAME) L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-59-2 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-methyl- (9C1) (CA INDEX NAME)

RN 459428-60-5 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-{aminoiminomethyl}-2-(2-ethoxy-5-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 459428-61-6 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-{aminoiminomethyl)-2-[5[[dimethylamino]sulfonyl]-2-ethoxyphenyl]-5-methyl- [9CI] (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 459428-65-0 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(1,3-benzodioxol-5yl)-5-(trifluoromethyl)- (9Cl) (CA INDEX NAME)

RN 459428-66-1 CAPLUS

(N H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(3-chloro-4-methoxyphenyl)-5-(trifluoromethyl)- (9C1) (CA INDEX NAME)

F<sub>3</sub>C OMe

| OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME | OME |

RN 459428-67-2 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-68-3 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(4-chlorophenyl)-5(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-62-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(2-ethoxy-5-methylphenyl)-5-fluoro- (9CI) (CA INDEX NAMZ)

RN 459428-63-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethy1)-2-(5-chloro-2-methoxypheny1)-5-fluoro- (9CI) (CA INDEX NAME)

RN 459428-64-9 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$F_3C \xrightarrow{\qquad \qquad 0 \qquad \qquad NH \qquad \qquad 0 \qquad \qquad NH \qquad$$

RN 459428-69-4 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(3, 4-dimethoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-70-7 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-(methylsulfonyl)-2[3-(trifluoromethyl)phenyl]- (SCI) (CA INDEX NAME)

RN 459428-71-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(3-chloro-4,5-dimethoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-72-9 CAPLUS
CN IH-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[3-chloro-5-methoxy-4-(1-methylethoxy)phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

- с- ин- с- ин<sub>2</sub>

459428-73-0 CAPLUS
1H-Benzimidazole-1-butanamide, N-{aminoiminomethyl}-2-{2,5-dimethoxyphenyl}-5-{trifluoromethyl}- {9CI} (CA INDEX NAME)

(CH2) 3

459428-76-3 CAPLUS
1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(4-methoxy-3-(1-methylethoxy)phenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

O NH || || (CH<sub>2</sub>)<sub>3</sub>-C-NH-C-NH<sub>2</sub>

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[3-(cyclopentyloxy)-4-methoxyphenyl}-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459428-78-5 CAPLUS
1H-Benzimidaz01e-1-pentanamide, N-(aminoiminomethy1)-2-(5-chloro-2-methoxypheny1)-5-(trifluoromethy1)- (9CI) (CA INDEX NAME)

459428-79-6 CAPLUS
1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2-ethoxyphenyl)- (9C1) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>

L4 ANSWER 40 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 05 Sep 2002
ACCESSION NUMBER: 2002:668620 CAPLUS
DOCUMENT NUMBER: 138:314313
TITLE: Reevaluation of PNU-99194A discriminative stimulus effects. Potentiation by both a D2 antagonist and a D3/D2 agonist
AUTHOR(S): Baker, Lisa E.: Prus, Adam J.
CORPORATE SOURCE: Department of Psychology, Western Michigan University, Kalamazoo, MI, 49008, USA
SOURCE: Pharmacology, Biochemistry and Behavior (2002), 73(4), 753-758
CODEN: PBBHAU; ISSN: 0091-3057
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study evaluated the relative importance of D3 receptor antagonism in the discriminative stimulus effects of the putative D3 receptor antagonist PNU-99194A. Eight male Sprague-Dawley rats were trained to discriminate PNU-99194A. Eight male Sprague-Dawley rats were trained to discriminate PNU-99194A D3 antagonists PD 152255 and S14297 were examined for stimulus generalization. The D2 antagonist haloperidol and the D2/D3 receptor agonist (+)-7-0H-DPAT were also assessed for antagonism of PNU-99194A discrimination. PD 152255 (1.0-3.0 mg/kg) engendered no generalization to PNU-99194A but to its markedly rate-suppressive effects, PD 152255 could not be tested at higher doses. S-14297 produced partial substitution (568) for PNU-99194A but to its markedly rate-suppressive effects, PD 152255 could not be tested at higher doses. S-14297 produced partial substitution (668) for PNU-99194A both 3.0 and 8.0 mg/kg. Neither haloperidol nor (+)-7-0H-DPAT bear the stream of PNU-99194A and, surprisingly, actually appeared to potentiate its effects. These data, along with other recent findings, suggest that the discriminative stimulus effects of PNU-99194A and, surprisingly, actually appeared to potentiate its effects. These data, along with other recent findings, suggest that the discriminative stimulus effects of PNU-99194A papear to involve complex pharmacol, actions and are not solely mediated by D3 receptor antagonism.

IT 164917-23-1. PD 1522

Double bond geometry as shown.

ANSWER 40 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

### Andrew Freistein 10/630896

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 19 Jul 2002
ACCESSION NUMBER: 2002:536587 CAPLUS
137:232595

AUTHOR(S): Number: 137:232595

AUTHOR(S): ARAMACTSU, Hisashi; Fukase, Koichi; Kusumoto, Shoichi
Department of Chemistry Graduate School of Science, Osaka University, Osaka, 560-0043, Japan
Journal of Combinatorial Chemistry (2002), 4(5), 475-483
CODEN: JCCHFF; ISSN: 1520-4766
American Chemical Society
Journal
English
English

LANGUAGE: OTHER SOURCE(S): English CASREACT 137:232595

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Benzimidazoleacetamides such as I (R = H, Me, Cl, O2N, CO2H; Rl = H, Me, Cl; R2 = H, Me; R3 = EtcH2, Me2CH, PhcH2; R3 = 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 2,4-Me2C6H3, 4-Me2CH4, 4-Me3CC6H4, 4-Me3CC6H4, 3-F3CC6H4, 4-Phc6H4, 4-(2-pyridyl)C6H4, 3-ClC6H4, 2-Cl-6-Fc6H3, 2-O2N-5-ClC6H3, 4-AP6C6H4, 4-Me2NC6H4, 2,3-(Me0)ZC6H4, 3-F3CC6H4, 2-ClC6H4, 2,3-ClC6H2, 3-ClC6H4, 2-Cl-6-Fc6H3, 2-O2N-5-ClC6H3, 3-(ACNH)C6H4, 4-Me2NC6H4, 2,3-(Me0)ZC6H3, 2-pyridyl, 3-pyridyl, 2-furyl, 2-pyridyl, 3-pyridyl, 2-furyl, 2-pyridyl, 3-pyridyl, 3-pyridyl, 2-furyl, 2-pyridyl, 3-clc6H4, 2-az and 5-azebenzimidazoles), and purineacetamides IV (R5 = 3-O2NC6H4, Ph, 4-MeOC6H4) containing peptoid linkers were prepared by a solid-phase synthesis from bromoacetic acid, primary amines, 1,2-benzenediamines, and aryl aldehydes. Deprotection of an Fmoc-amino resin with piperidine followed by acylation with bromoacetic acid and disopropyl carbodimide, nucleophilic substitution of the bromine with propylamine, isopropylamine, and benzylamine, and acylation of the secondary amine with bromoacetic acid and disopropyl carbodimide gives a resin-bound o-bromoamide PNHCOCHINECOCH2H2 (P = polymer support; R = EtCH2, Me2CH, PhCH2). Addition of 1,2-benzenediamines to the resin-bound o-bromoamide PNHCOCHINECOCH2H2 (P = polymer support; R = EtCH2, Me2CH, PhCH2). Addition of anyl aldehydes and heating in toluene at 30° and cleavage from the resin with trifluoroacetic acid give I. If 2,3-pyridinediamine, 3,4-pyridinediamine, or 4,5-pyrimidinediamine are used instead of 1,2-benzenediamines, fused azabenzimidazoles II and III, and purineacetamides IV are obtained.

4-Nitro-1,2-benzenediamine and 3,4-diaminobenzoic acid undergo regioselective cyclocondensations on solid-phase to give 6-substituted benzimidazolesctamides While 4-chioro-1,2-benzenediamine and 4-methyl-1,2-benzenediamine and 3,4-diaminobenzoic acid undergo regioselective cyclocondensations on solid-phase to give 6-substituted benzimidazolesctamides while 4-chioro-1,2-benzenediamine and 4-methyl-1,2-benzenediamine

(Continued) ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

459437-72-0 CAPLUS - 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459437-77-5 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-(3-methylphenyl)- (9CI) (CA INDEX NAME)

459437-82-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{3-methylphenyl}-N-{phenylmethyl}- (9CI) (CA INDEX NAME)

 $459437-87-7 \quad \text{CAPLUS} \\ 1\text{H-Benzimidazole-1-acetamide}, \quad \text{N-}(2-\text{amino-2-oxoethy1})-2-(4-\text{methylpheny1})-\text{N-}(2-\text{methylpheny1})-\text{N-}($ 

459437-62-8 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-(2-methylphenyl)- (9C1) (CA INDEX NAME)

459437-68-4 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN propyl- (9C1) (CA INDEX NAME) (Continued)

CAPLUS HB-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethy1)-N-(1-methylethy1)-2-(4-methylpheny1)- (9CI) (CA INDEX NAME)

459437-97-9 CAPLUS
1H-Benzimidas20e-l-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methylphenyl)-N-(phenylmethyl)- (GCI INDEX NAME)

459438-01-8 CAPLUS 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethy1}-2-{2,4-dimethylpheny1}-N-propyl- (9CI) (CA INDEX NAME)

459438-05-2 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,4-dimethylphenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

o Pr-i CH2- C- N- CH2- C- NH2

459438-09-6 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,4-dimethyl)henyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

459438-12-1 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3,4-dimethylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459438-16-5 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3,4-dimethylphenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-[4-(2-methylpropyl)phenyl}-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

459430-35-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-{4-{1,1-dimethylethyl}phenyl}-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

459438-38-1 CAPLUS
1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{4-{1,1-dimethylethyl}phenyl}-N-{phenylmethyl}- (9CI) (CA INDEX NAME)

CH2-Ph CH2-

459438-42-7 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Page 53

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

459438-19-8 CAPLUS
IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3,4-dimethyl)phenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

CH2-C-N-CH2-C-NH2

459438-23-4 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(2-methylpropyl)phenyl)-N-propyl- (9CI) (CA INDEX NAME)

N-Pr-n

459438-27-8 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2[4-(2-methylpropyl)phenyl)- (9CI) (CA INDEX NAME)

459438-31-4 CAPLUS

ANSMER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 459438-45-0 CAPLUS | H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-N-(1-methylethyl)-2-[3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

459438-48-3 CAPLUS

IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4yl-N-propyl- (9C1) (CA INDEX NAME)

459438-51-8 CAPLUS
1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-[1,1'-biphenyl]-4-yl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

459438-54-1 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-{1,1'-biphenyl}-4-yl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

CH2-Ph

459438-56-3 CAPLUS HB-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-(4-(2-pyridinyl)phenyl)- (9CI) (CA INDEX NAME) L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-58-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2[4-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459438-61-0 CAPLUS CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(phenylmethyl)-2-{4-(2-pyridinyl)phenyl)- (SCI) (CA INDEX NAME)

RN 459438-64-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-chlorophenyl)-Npropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 459438-76-7 CAPLUS
CN 1H-Benzimidazolel-lacetamide, N-(2-amino-2-oxoethyl)-2-(5-chloro-2nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-78-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-(5-chloro-2-nitrophenyl)-N-{1-methylethyl}- {9CI} {CA INDEX NAME}

RN 45943B-B1-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-[acetylamino]phenyl]-N-[2-amino-2-oxoethyl]-N-propyl- [9CI] (CA INDEX NAME)

RN 459438-84-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-86-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl)-N-(2-amino-2-

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 459438-67-6 CAPLUS CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-chlorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-70-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{2-chloro-6-fluorophenyl}-N-propyl- {9CI} (CA INDEX NAME)

RN 459438-73-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chloro-6-fluorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) oxoethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-88-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4(dimethylamino)phenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-90-5 CAPLUS
CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyi)-2-[4-(dimethylamino)phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-92-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{4-{dimethylaminolyhenyl}-N-{phenylmethyl}- {9C1} (CA INDEX NAME)

RN 459438-94-9 CAPLUS
CN | H-Benzindarole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-fluorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-96-1 CAPLUS
CN H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-fluorophenyl)-N-(1-methylethyl)-(5CI) (CA INDEX NAME)

RN 459438-98-3 CAPLUS
CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-fluorophenyl)-N(phenyl)methyl)- (9CI) (CA INDEX NAME)

RN 459439-00-0 CAPLUS
CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2(3-nltrophenyl)-(9C1) (CA INDEX NAME)

RN 459439-02-2 CAPLUS
CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-nitrophenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chlorophenyl)-N(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459439-12-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chlorophenyl)-N(phenylmethyl)- (9C1) (CA INDEX NAME)

RN 459439-14-6 CAPLUS
CN 1H-Benzimdazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459439-16-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-(1-methylethyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459439-04-4 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459439-06-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2{4-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

RN 459439-08-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

RN 459439-10-2 CAPLUS

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459439-18-0 CAPLUS - CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459439-20-4 CAPLUS

(N H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 459439-22-6 CAPLUS
CN IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-phenyl-N(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459439-24-8 CAPLUS

### 05/24/2005

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

459439-26-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-N-(phenylmehyl)- (9CI) (CA INDEX NAME)

459439-28-2 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dimethoxyphenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

459439-70-4 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-[4-(1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459440-14-3 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-[4-{1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

459440-16-5 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-18-7 CAPLUS HH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-20-1 CAPLUS

IH-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-phenyl-N-propyl-(9C1) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459439-72-6 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

459439-74-8 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-phenyl-N-propyl- (9CI) (CA INDEX NAME)

459439-76-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-(4-methoxyphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-12-1 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[4-(1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 459440-22-3 CAPLUS H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-6-chloro-2-phenyl-N-propyl- (9CI) (CA INDEX NAME)

459440-24-5 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-(4-methoxyphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-26-7 CAPLUS
1H-Benrimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-(4-methoxyphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-28-9 CAPLUS
1H-BenzimidarJol-l-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-(4-methylphenyl)-M-propyl- (9CI) (CA INDEX NAME)

459440-30-3 CAPLUS
1H-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-(4-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459440-32-5 CAPLUS
1H-Benrimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(1,1-dimethylethyl)phenyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-35-8 CAPLUS 1H-Benrimidacyle-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(1,1-dimethylethyl)phenyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-37-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4-yl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-39-2 CAPLUS 499440-39-2 CAPLOS |H-Benzimidazole-|-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4-yl-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459440-49-4 CAPLUS

IH-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-N-propyl-2-[4-(trifluoromethyl]phenyl]- (9CI) (CA INDEX NAME)

459440-51-8 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-N-propyl-2[4-(trifluoromethyl]phenyl]- (9Cl) (CA INDEX NAME)

459440-53-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-phenyl-N-propyl-(9C1) (CA INDEX NAME)

459440-55-2 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-phenyl-N-propyl- (9C1) (CA INDEX NAME)

1.4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459440-41-6 CAPLUS
1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl}-N-(2-amino-2-oxoethyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-43-8 CAPLUS
1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-45-0 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

459440-47-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459440-57-4 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

459440-60-9 CAPLUS IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-6-methyl-N-propyl- (9C1) (CA INDEX NAME)

459440-91-6P 459440-93-8P 459440-95-0P
459440-07-2P 459440-99-4P 459441-01-1P
459441-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted benzimidazoles with shortened linkers on solid phase by the condensation of aryl diamines with resin-bound o-bromoactamide followed by cyclocondensation with aryl aldehydes and resin cleavage)
459440-91-6 CAPLUS
HH-Benzimidazole-1-acetamide, 4-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

459440-93-8 CAPLUS
1H-Benzimidazole-1-acetamide, 6-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

### Andrew Freistein 10/630896

#### ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-

### 459440-95-0 CAPLUS 1H-Benzimidazole-1-acetamide, 5-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

## 459440-97-2 CAPLUS 1H-Benzimidazole-6-carboxylic acid, 1-(2-amino-2-oxoethyl)-2-(4-methylphenyl)- (9Cl) (CA INDEX NAME)

## 459440-99-4 CAPLUS 1H-Benzimidazole-S-carboxylic acid, 1-(2-amino-2-oxoethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

## 459441-01-1 CAPLUS IH-Benzimidazole-1-acetamide, 6-chloro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

#### ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

## 459439-82-8 CAPLUS 1M-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-[1,1'-biphenyl]-4-yl-6-nitro-N-propyl- (9C1) (CA INDEX NAME)

CH2- C- NH2

## 459439-84-0 CAPLUS 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

O CH2-C-NH2 CH2- C- N- Pr-n

## 459439-86-2 CAPLUS IH-Benzimidarole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-2-(3-nitrophenyl)-M-propyl- (9CI) (CA INDEX NAME)

NO2 021 CH2-C-NH2

# 459439-88-4 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-N-propyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

### 05/24/2005

#### L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

### 459441-03-3 CAPLUS 1H-Benzimidazole-1-acetamide, 5-chloro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

IT

459439-78-2P 459439-80-6P 459439-82-8P 459439-82-8P 459439-84-0P 459439-86-2P 459439-86-4P 459439-90-8P 459439-92-0P 459439-86-4P 459439-90-8P 459439-92-0P 459440-02-9P 459440-04-1P 45940-06-3P 459440-075-6P 459440-69-P 59440-73-9P 59440-73-6P 459440-78-9P 459440-81-4P 459440-81-7P 459440-81-0P 459440-81-4P 459440-81-0P 459440-81-4P 459440-81-0P 459440-8

459439-80-6 CAPLUS |H-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(1,1-dimethylethyl)phenyl)-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

### ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

459439-90-8 CAPLUS
IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-2-phenyl-N-propyl- (9C1) (CA INDEX NAME)

459439-92-0 CAPLUS IH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

O2N

459439-96-4 CAPLUS 439439-90-4 CARDUS HH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethy1)-4-methy1-2-(4-methylpheny1)-N-propy1- (9CI) (CA INDEX NAME)

CH2-C-NH2 CH2-C-

459439-98-6 CAPLUS
1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-{1,1-dimethylethyl}phenyl]-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-00-7 CAPLUS
CN | H-Berizinidazole-1-acetamide, N-{2-amino-2-oxoethy1}-2-{1,1'-bipheny1}-4y1-4-methy1-N-propy1- [9C1] (CA INDEX NAME)

RN 459440-02-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-2-(3-nitrophenyl)-N-pzopyl- (9CI) (CA INDEX NAME)

RN 459440-04-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-N-propyl-2[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459440-06-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-2-phenyl-N-

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-78-9 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-{2-{(2-amino-2-oxoethyl)propylamino}2-oxoethyl)-2-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 459440-81-4 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]-2-oxoethyl]-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

CF3

CH2-C-

RN 459440-84-7 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]-2-oxoethyl]-2-phenyl- (9CI) (CA INDEX NAME)

RN 459440-87-0 CAPLUS
CN IH-Benzimidazole-6-carboxylic acid, 1-{2-{(2-amino-2-oxoethyl)propylamino}-2-oxoethyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) propyl- (9CI) (CA INDEX NAME)

RN 459440-08-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-4methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-69-8 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]-2-oxoethyl]-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459440-72-3 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]2-oxoethyl]-2-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459440-75-6 CAPLUS
CN | H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]2-oxoethyl]-2-[(,1'-biphenyl]-4-yl- (9Cl) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSMER 42 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STM: 05 Jul 2002
ACCESSION NUMBER: 2002:504618 CAPLUS
DOCUMENT NUMBER: 137:63244
Preparation of 5-[4-(2-benzimidazoly1)phenyl]methylene-2, 4-dioxochiazolidines as telomerase inhibitors
Akama, Tautomu; Holcomb, Ryan; Tolman, Richard L.
Geron Corporation, USA; Kyowa Hakko Kogyo Co., Ltd.
POCUMENT TYPE: Patent
LANGUAGE: PTXKD2
PATENT ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.	KIND	DATE	APPLIC	CATION NO.	DATE
WO 2002	051409	Al	20020704	WO 200	D1-US48779	20011217
W:	AE, AG, AL,	AM, AT	, AU, AZ,	BA, BB, I	BG, BR, BY,	BZ, CA, CH, CN,
	CO, CR, CU,	CZ, DE	, DK, DM,	DZ, EC, E	EE, ES, FI,	GB, GD, GE, GH,
	GM, HR, HU,	ID. IL	, IN, IS,	JP, KE, I	KG, KP, KR,	KZ, LC, LK, LR,
	LS, LT, LU,	LV, MA	, MD, MG,	MK, MN, I	W, MX, MZ,	NO, NZ, PL, PT,
	RO, RU, SD,	SE, SG	, SI, SK,	SL, TJ, 1	TM, TR, TT,	TZ, UA, UG, US,
	UZ, VN, YU,	ZA, ZW	, AM, AZ,	BY, KG, I	KZ, MD, RU,	TJ, TM
RW:	GH, GM, KE,	LS, MW	, MZ, SD,	SL, SZ, 1	rz, UG, 2M,	ZW, AT, BE, CH,
	CY, DE, DK,	ES, FI	, FR, GB,	GR, IE,	IT, LU, MC,	NL, PT, SE, TR,
						NE, SN, TD, TG
US 2002	120144	Al	20020829	US 200	00-748622	20001222
US 6452	014	B1	20020917			
PRIORITY APP	LN. INFO.:			US 200	00-748622	A 20001222
OTHER SOURCE GI	(S):	MARPAT	137:6324	4		

Title compds. were prepared Thus, 4-{(MeO)2HC]C6H4CHO was condensed with 2,4-thiazolidinedione and the deprotected product cyclocondensed with 2-(H2N)C6H4NH2 to give title compound I. Data for biol. activity of title compds. were given. 439814-24-19 439814-29-6P 439814-30-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of 5-[4-(2-benzimidazoly1)pheny1]methylene-2,4dioxochiazolidines as telomerase inhibitors)
439814-24-1 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[5,6-dichloro-1-[2-(dimethylamino)ethyl}-1Hbenzimidazol-2-y1]pheny1]methylene)- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 25 Apr 2002 ACCESSION NUMBER: 2002:312035 CAPLUS DOCUMENT NUMBER: 136:318381 Cyclic bis-benzimidazole ligaed.

136:318381
Cyclic bis-benzimidazole ligands and metal complexes thereof
Chan, Michael K.; Kwok, Wai H.; Zhang, Huichang; Duan, Maosheng
The Ohio State University, USA
U.S., 33 pp.
CODEN: USXXAM
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 6376664
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI B1 20020423 US 2000-528273 20000317 US 1999-124906P P 19990317 CASREACT 136:318381; MARPAT 136:318381

Cyclic bis benzimidazole ligands I are formed by contacting a (2-aminophenyl)benzimidazole-4-carboxaldehyde ethylene acetal or a (2-nitrophenyl)benzimidazole-4-carboxaldehyde with an acid optionally in the presence of a metal or a metal salt, wherein R1 and R2 may be the same or different and are selected from H, an alkyl having 1 to 10 C atoms, a benzyl group, a substituted 2-ethylphenyl group, a carbonyl group, a Ph substituted, a toayl group, and an alkylsulfonate group: R3 and R4 may be the same or different and are selected from H, Me, and Et: and R5, R6, R7, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, and R18 may be the same or different and are selected from H, alkyl having 1 to 10 C atoms, fluoride, chloride, bromide, iodide, nitro, amino, a carboxylate, an ester, and a Ph group. For example, [MnLCllCl (t = I (R1 = R2 = Me: R3-18 = H)) was prepared from 4-hydroxymethyl-2,1,3-benzothiadiazole and 2-nitrophenyl-1-carboxaldehyde in a multi-step process and its crystal structure and reversible oxidation potential determined The complex exhibits antiferromagnetic coupling and characterized by ESR and UV spectra. 412008-74-39 412008-75-49 412008-75-59
412008-78-79 412008-75-49 212008-75-59
412008-78-79 412008-75-89 Winthetic preparation); PREP (Preparation); RACT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

1.4 ANSWER 42 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

439814-29-6 CAPLUS

43981--23-0 CARDUS 2,4-Thiazolidinedione, 5-[[4-[5,6-dichloro-1-(2-quinolinylmethyl)-1H-benzimidazol-2-yl]phenyl]methylene]- (9CI) (CA INDEX NAME)

439814-30-9 CAPLUS 2.4-Thiazolidinedione, 5-([4-{5,6-dichloro-l-(4-thiazolylmethyl)-lH-benzimidazol-Z-yljphenyl]methylene]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (prepn. and reactant for prepn. of transition metal diminotetrabenzotetraazacyclohexadecine complexes) (Continued)

qizuus-/4-3 CAPLUS
lH-Berzimidazole, 4-methyl-1-[[(25)-1-methyl-2-pyrrolidinyl)methyl]-2-{2nitrophenyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

412008-75-4 CAPLUS
IH-Benzimidazole, 4-(dibromomethyl)-1-[{(2S)-1-methyl-2-pyrrolidinyl|methyl)-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HI-Benzimidazole-4-carboxaldehyde, 1-[[(2S)-1-methyl-2-pyrrolidinyl]methyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

412008-78-7 CAPLUS
1H-Benzimidarole, 4-(1,3-dioxolan-2-y1)-1-[[(2S)-1-methy1-2pyrrolidinyl]methyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. Rotation (-).

412008-79-8 CAPLUS
Benzenamine, 2-[4-(1,3-dioxolan-2-yl)-1-[[(25)-1-methyl-2-pytrolidinyl]methyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 44 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) substituted benzimidazoles, effective in the inhibition of human immunodeficiency virus (HIV) RT, are provided. The claimed compds. include 1 and II [X = H, Me, Et C.N., OMe, NOZ, NHZ, NHMC, NHMe, NMe2, CHCM2, CHCCH, CHCM2, RT, CHCM2, CHCCH, CHCM2, RT, CHCM2, CHCCH, CHCM2, RT, CHCM2, CHCCH, CHCCH

(Uses)
(preparation of substituted benzimidazoles as non-nucleoside inhibitors of reverse transcriptase)
19594-77-9 CAPLUS
1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 11 Apr 2002
ACCESSION NUMBER: 2002:272007 CAPLUS
DOCUMENT NUMBER: 136:294830
TITLE: Substituted benzimidatola.

Lab:ZywsJU
Substituted benzimidazoles as non-nucleoside
inhibitors of reverse transcriptase
Michejda, Christopher J.; Morningstar, Marshall; Roth,
Thomas INVENTOR (S):

Thomas
Thomas
The United States of America as Represented by the
Department of Health and Human Services, USA
U.S., 60 pp., Cont.-in-part of Appl. No.
PCT/US98/03588.
CODEN: USXXAM
Patent
English
2
2 PATENT ASSIGNEE (5):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	•	DATE		1	APPL:	CAT	ION	١٥.		D	ATE	
						-									-		
US	6369	235			В1		2002	0409	1	JS 2	000-	3801	71		2	0000	201
WO	9837	1072			A1		1998	0827	1	WO 1	998-1	US 35	88		1	9980	224
	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	Cυ,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW,	ΗU,	ID,	IL,	IS,	JP,	ΚE,	KG,
		KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
							RU,										
		UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	υG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,
		FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		GΑ,	GN,	ML,	MR,	NE,	SN,	TD,	TG								
US	2003	31911	60		A1		2003	1009	1	US 2	002-	1196	34		2	0020	409
US	6894	1068			B2		2005	0517									
DRITE	APE	LN.	INFO	. :						US 1	997-	3850	9 P			9970	
									1	WO 1	998-1	US 35	88		A2 1	9980	224
										US 2	000-	3801	71		A1 2	0000	201

OTHER SOURCE(S): MARPAT 136:294830

The invention provides compns. and methods for the treatment of HIV infection. In particular, the invention provides non-nucleoside inhibitors of reverse transcriptase (RT), as well as methods to treat HIV infection using them. In preferred embodiments, a novel class of

L4 ANSWER 45 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Feb 2002 ACCESSION NUMBER: 2002:143285 CAPLUS DOCUMENT NUMBER: 136:200107

DOCUMENT NUMBER

136:200107
Preparation of indoles and azaindoles as tachykinin antagonists
Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John: Shaw, Duncan Edward
Merck Sharp & Dohme Ltd., UK
U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE KIND DATE 20010711 US 2001-903108 US 2002022624 US 6476045 20020221 20021105 A1 B2 GB 2000-17256 CASREACT 136:200107; MARPAT 136:200107 20000713 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; Het = II-VI (wherein the dotted line represents an optional double bond: A completes a fused pyridine ring; and B completes a fused pyridine ring; and B completes a fused benzene or pyridine ring; X = 0, S, H2. iNH. iN(alkyl); Y = alkylene, alkenylene, alkynylene; Z = CRSR6, NR7; R1a, R1b = H. alkyl, alkoxy, etc.; R2 = H, alkyl, rluoroalkyl, etc.; R3 = [unraustituted Ph, biphenyl, naphthyl, etc.; R4 = H, alkyl, C, etc.; R5, R6 = H, halo, alkyl, etc.; R7 = alkyl, cycloalkyl, naphthyl, etc.; R7 = lakyl, cycloalkyl, naphthyl, etc.; R8, R6 = H, halo, alkyl, etc.; R7 = alkyl, cycloalkyl, naphthyl, etc.; M1 = lakyl, etc.; R7 = alkyl, cycloalkyl, naphthyl, etc.; R5, R6 = H, halo, alkyl, etc.; R7 = complete repeated Thus, treating Me 5-chloro-2-(4-chlorophenyl)-1-methyl-1H-pyrrolo[2,3-b]pyridine-3-propanate (preparation given) with LiOH in MeOH/THF/H2O followed by reaction of the resulting acid with 4-(phenylmethyl)-4-piperidinol in the presence of 1-hydroxybenzotriazole, EtsN and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide.HCl in THF afforded 531 1-[3:(5-chloro-2-(4-chlorophenyl)-1-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-oxopropyl)-4-(phenylmethyl)-4-piperidinol.

RDC (Phatmacological activity); SPN (Synthetic preparation); THU

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indoles and azaindoles as tachykinin antagonists) 400776-93-4 CAPLUS

ANSWER 45 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

400776~96-7 CAPLUS Piperazine, 1-(2-methoxyphenyl)-4-(3-(6-methyl-2-(4-methylphenyl)-1H-benzimidazol-1-yl]-1-oxopropyl}- (9CI) (CA INDEX NAME)

Answer 46 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) antagonists, clozapine and the D3 preferring antagonist PNU-99194A: an anal. of possible mechanisms) 164917-23-1 CAPLUS 1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-{4-[3-(1-piperidinyl)propoxy]phenyl}- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 14 Nov 2001 ACCESSION MUMBER: 2001:826500 CAPLUS DOCUMENT MUMBER: 137:41573 TITLE: Common disciple

137:41573
Common discriminative stimulus properties in rats of muscarinic antagonists, clozapine and the D3 preferring antagonist PRUD-99194A: an analysis of possible mechanisms
Goudie, A. J.: Baker, L. E.; Smith, J. A.; Prus, A.
J.: Svensson, K. A.; Cortes-Burgos, L. A.; Wong, E. H.
F.; Haadama-Svensson, S.
Psychology Department, Liverpool University,
Liverpool. UK
Behavioural Pharmacology (2001), 12(5), 303-315
CODEN: BRHAEL: ISSN: 0955-8310
Lippincott Williams & Wilkins
Journal

AUTHOR (5):

CORPORATE SOURCE: SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

LISHER: Lippincott Williams & Wilkins
UMENT TYPE: Journal
UNAGE: English
Dopamine D3 receptors have been implicated in the etiol. of schizophrenia and the actions of antipsychotic drugs. The initial studies reported here assessed the involvement of such receptors in the in vivo actions of the atypical sntipsychotic clorapine and the putative D3-preferring antagonist PMU-991940 in drug discrimination assays. Rats trained to discriminate clorapine consistently generalized to PNU-99194A in two seps studies. However, four other putative D3-preferring antagonists (PD 15225). (+)-514297, nafadotride and (\*)-AJ 761 did not induce generalization to clorapine. In rats trained to discriminate PMU-99194A, which has been suggested to induce a stimulus mediated specifically by D3 antagonism, the D3-preferring antagonist (\*)-UH 232 and clorapine both induced full generalization. However, the PMU-99194A-trained animals also generalized fully to the muscarinic antagonists scopolamine and trithexyphenidyl. A possible explanation for the sym. generalization observed between clorapine and PNU-99194A is that these drugs have common muscarinic antagonist actions, since muscarinic antagonists have been reported to substitute for clorapine in numerous prior studies. However, in vitro receptor binding studies with M1-M5 receptors indicated that (with the possible exception of the M4 receptor), no muscarinic receptor subtype had high affinity for both clorapine, PNU-99194A and scopolamine. In addition, other binding studies indicated that whereas clorapine and PNU-99194A and muscarinic antagonists may be mediated by common effects 'downstream' from either muscarinic or D3 receptors; (2) D3 antagonism does not play a critical role in the clorapine stimulus (since D3-preferring antagonists did not consistently induce generalization to clorapine; (3) although D3 antagonism plays a role in the PNU-9194A stimulus, since the D3-preferring antagonists with that induced by muscarinic antagonists and clorapine. The in vivo difference observed b

L4 ANSWER 47 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 09 Nov 2001
ACCESSION NUMBER: 2001:816656 CAPLUS
DOCUMENT NUMBER: 135:357932

TITLE: PREPARATION of heterocyclic pharmaceutical compositions as muscarinic agonists
Andersson, Carl-magnus A.; Friberg, Bo Lennart M.;
SYJacrback, Niels; Spalding, Tracy; Uldam, Allan K.
Acadia Pharmaceuticals, Inc., USA
POT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	INFOR	MATI	ON:														
PA'	TENT :	NO.			KIN	D	DATE			APP:	LICAT	ION I	NO.		D.	ATE	
WO	2001	0834	72		A1		2001	1108	1	WO :	2001-	US13	561		2	0010	427
	W:	ΑE,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG	, BR,	BY,	CA,	CH,	CN,	co,	CR,
		CU,	cz.	DE,	DK.	DM,	EE,	ES,	FI,	GB	, GD,	GE,	GH,	GM,	HR,	ΗU,	ID,
		IL.	IN.	15,	JP,	KE,	KG.	KP,	KR,	KZ	, LC,	LK,	LR,	LS,	LT,	LU,	LV,
		MA.	MD.	MG.	MK.	MN.	MW.	MX.	NO.	NZ	, PL,	PT.	RO,	RU,	SD,	SE,	SG,
											, UG,						
							MD,										
	RW:										, TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
											, LU,						
											, MR,						
CA	2407																427
115	2002	0378	86		A1		2002	0328		US :	2001-	8446	85		2	0010	427
us	6627	645	• •		B2		2003	0930									
	1278									EP :	2001-	9326	82		2	0010	427
EP	1278	741			B1		2005	0302							_		-
										GR	, IT,	LÍ.	LU.	NL.	SE.	MC.	PT.
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B.P.	2001	0104	20.	,	4	,	2003	0701	,	RR.	2001-	1042	0		2	0010	427
.10	2003	5319	01		Tr 2		2003	1028		JP	2001-	5809	00		2	0010	427
N7	5219	78	••		Ď.		2004	1029		N 7.	2001-	5219	78		2	0010	427
PT	5219 2900 2002	00			-		2005	0315		ΔТ	2001-	9326	82		2	0010	427
7.0	2002	0085	nα		Ã		2004	0122		7.D	2002-	8504			2	0021	021
NO.	2002	0053	15		<u> </u>		2002	1219		NO	2002-	5115			2	0021	024
PRIORIT					-					us	2000-	2007	91 P		P 2	0000	42B
FUTORII	APP		11.10	• •							2001-						
OTHER S	OURCE	101.			MAD	рат	135.	3579									
GI	CORCE	(3):					133.	5519	-								
Gi																	

ANSWER 47 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Heterocyclic pharmaceutical compns. I (21-24 = N or carbon substituted with H. NH2. OH, halo, alkyl, alkenyl, heteroalkyl, haloalkyl. CN, CC3, etc. and no more than two of 21-24 = N; W1 = O, S, N; W2 and W3 = N or CR6 or CG where R6 = H. alkyl, CHO, cycloalkyl, (un)substituted aryl; Y = O, S, CHOM, NHC(O), C(O)NM, C(O), OC(O), CHO Nor absent: p = 1-5; Z (un)substituted carbon or absent: n = 1-3; R10 = R11 = H, straight/branched (un)substituted alkyl, alkenyl, alkynyl, alkylidene, alkoxy, alkylthio, etc.) or pharmaceutically acceptable salt, ester or prodrug were prepared for treating disease conditions where modification of cholinergic, especially muscarinic M1. M4, or both M1 and M4, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepared from for cholinergic, especially muscarinic M1, M4, or both M1 and M4, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepared from for ocular hypotensive effect in glaucomatous monkeys and had a -29.2½ IOP change in 6 h. Data is provided for the screening of test compds. I demonstrating the selective agonist activity using muscarinic receptor subtypes M1, M2, M3, M4 and M5.
372197-15-49
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, INCASSIFICAL); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors)
372197-15-4 CAPLUS
1H-Benzimidazole, 1-[3-(4-butyl-1-piperidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) cycloalkyl, fused-ring aliph., cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexyl, bicyclohexyl, bicyclohexyl, bicyclohexyl, bicyclohexyl, bicyclohexyl, bicyclohexyl, etc., and at least one of R1 and R2 are arom. groups) were prepd. Over 300 examples were disclosed. E.g., 4-nitro-1,2-phenylenediamine was reacted with 4-aminobenzoic acid (POC13, refux, 18 h) to give 2-(4-aminobenyl)-4-nitrobenzimidazole. This intermediate was N-acylated (pyridine, acyl chloride), reduced (MeOH, H2 - 10% Pd/C) and N-acylated to give II. I were able to suppress Ig& with ICSO = 100 pM to 1 nM and are useful in the treatment of allergy, asthma or any diseases where IgE is pathogenic.
366012-44-4P 366012-45-5P 366012-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; synthesis of diacylbenzimidazole derivs. as modulators of IgE) 366012-44-4 CAPLUS Benzamide, N-[4-[5-(benzoylamino)-1-[2-(dimethylamino)ethyl]-lh-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN CN

366012-45-5 CAPLUS
BENZARIGE, N=475-(benzoylemino)-1-[3-(dimethylamino)propyl)-1Hbenzimidazol-2-yljphenyl)- (901) (CA INDEX NAME)

366012-50-2 CAPLUS
Benzamide, N-[2-[4-(acetylamino)phenyl]-1-[3-(dimethylamino)propyl]-1H-benzimidazol-5-yl]- (9C1) (CA INDEX NAME)

L4 ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 17 Oct 2001
ACCESSION NUMBER: 2001:757818 CAPLUS
DOCUMENT NUMBER: 135:303891
TITLE: Synthesis of diacylbenzimidazolo

2001:757818 CAPLUS
135:303891
Synthesis of diacylbenzimidazole derivatives as modulators of 1gE
Sircer, Jagadish C.; Richards, Mark L.; Campbell, Michael G.; Major, Michael W. Avanir Pharmaceuticals, USA
U.S., 157 pp., Cont.-in-part of U.S. 6,271,390.
CODEN: USXXAM
Patent
English
8 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6303645	B1	20011016	US 1999-422397	19991021
US 6271390	B1	20010807	US 1999-316870	19990521
US 2002010343	A1	20020124	US 2001-882340	20010614
US 6451829	B2	20020917		
US 2003004203	A1	20030102	US 2001-983054	20011016
US 2005075343	Al	20050407	US 2004-951515	20040928
PRIORITY APPLN. INFO.:			US 1998-86494P	P 19980522
			US 1999-316870	A2 19990521
			US 1999-422397	A2 19991021
			US 2001-983054	A1 20011016

OTHER SOURCE(S): MARPAT 135:303891

Title compds. I [X, Y = H, alkyl, alkoxy, aryl, aryl, hydroxy, halogen, amino, alkylamino, nitro, cyano, CF3, OCF3, CONH2, CONHR, NHCOR1; R = H, CH3, C2H5, C3H7, C4H9, CH2Ph, 4-F-C6H4-CH2: Rl, R2 = H, aryl, aryl, cycloaryl, multi-ring cycloaryl, benzyl, alkyl, cycloakyl, multi-ring

ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
RENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

L4 ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 14 Sep 2001 ACCESSION NUMBER: 2001:676266 CAPLUS DOCUMENT NUMBER: 135:226997

Preparation of benzimidazolyl- or imidazopyridinyl-substituted phenyl dimethylpropionates as elastase inhibitors

inhibitors
Statkow, Pierre: Straumann, Danielle: Chatterjee,
Shyam: Alvarez-builla, Gomez Julio; Sunkel, Letelier
Carlos: Fau, De Casa-juana Munoz Miguel; Minguez,
Ortega Jose M.; Paz, Matia Martin M.
Cermol S.A., Switz.
Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20000308 EP 1132381 R: AT, Al 20010912 EP 2000-104916 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI MARPAT 135:226997

The title esters [I: X, X1 = H, alkyl, halo, NO2: Y, Y1 = H, alkyl, alkoxy, halo, dialkylamino: Z = H, dialkylaminoalkyl, piperidinylalkyl: V, W = CH, (un)substituted N] and their pharmacol. acceptable salts having inhibitory activity of elastase [biol. data given], were prepared Thus, reacting 2-(4-hydroxyphenyl)benzimidazole with 2,2-dimethylpropionyl chloride in the presence of ETSN in CH2C12 afforded 85% I (X, X1, Y, Y1 = H; V, W = CH; Z = H; the ester function is attached to Ph ring at

para-position). 359771-98-59 359771-99-69 359772-01-39 359772-02-49 359772-03-59 359772-04-69

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2 CM CRN 144-62-7 CMF C2 H2 O4

1 1

359772-02-4 CAPLUS
Propanoic acid, 2,2-dimethyl-, 4-[1-[2-[bis(1-methylethyl)amino]ethyl]-1H-benzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

CH2-CH2-N(Pr-i)2

359772-03-5 CAPLUS
Propanoic acid, 2,2-dimethyl-, 4-[5,6-dichloro-1-[2-(dimethylamino)ethyl]H-benzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

СH2- СH2- NMe2

359772-04-6 CAPLUS
Propanoic ecid, 2,2-dimethyl-, 4-{5,6-dimethyl-1-{2-(1-piperidinyl)ethyl}-1H-benzimidazol-2-yl|phenyl ester [9CI] (CA INDEX NAME)

сн<sub>2</sub>-сн<sub>2</sub>

359772-05-7 CAPLUS Propanoic acid, 2,2-dimethyl-, 4-[5,6-dimethyl-1-[2-(1-piperidinyl)ethyl]-

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
359772-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazoly1- or imidazopyridiny1-substituted Ph
dimethylpropionates as elastase inhibitors)
359771-98-5 CAPLUS
Propanoic acid, 2,2-dimethy1-, 4-[1-[2-(dimethylamino)ethyl]-lhbenzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

359771-99-6 CAPLUS Propanoic acid, 2,2-dimethyl-, 2-bromo-4-[1-[2-(dimethylamino)ethyl]-lH-benzimidagol-2-yl]phenyl ester (SCI) (CA INDEX NAME)

359772-01-3 CAPLUS
Propanoic acid, 2,2-dimethyl-, 4-[1-[3-(dimethylamino)propyl]-1Hbenzimidazol-2-yl]phenyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-benzimidazol-2-yl}-2-fluorophenyl ester (9CI) (CA INDEX NAME)

359772-74-0 359772-75-1 359772-76-2
359772-77-3 359772-79-4 359772-79-5
359772-80-8
RI: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazolyl- or imidazopyridinyl-substituted Ph dimethylpropionates as elastase inhibitors)
359772-74-0 CAPLUS
Phenol, 4 -[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

359772-75-1 CAPLUS
Phenol, 2-bromo-4-[1-[2-(dimethylamino)ethyl]-lH-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

CH2-CH2-NMe2

359772-76-2 CAPLUS Phenol, 4-[1-[3-[dimethylamino]propyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub> - NMe<sub>2</sub>

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

359772-77-3 CAPLUS Phenol, 4-{1-[2-[bis(1-methylethyl)amino]ethyl]-1H-benzimidazol-2-yl]-(9C1) (CA INDEX NAME)

CH2-CH2-N(Pr-1)2

359772-78-4 CAPLUS
Phenol, 4-[5,6-dichloro-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl][9C1] (CA INDEX NAME)

359772-79-5 CAPLUS Phenol, 4-[5,6-dimethyl-1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

359772-80-8 CAPLUS Phenol, 4-{5,6-dimethyl-1-{2-(1-piperidinyl)ethyl}-1H-benzimidazol-2-yl}-2-fluoro-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 50 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 11 Jul 2001 ACCESSION NUMBER: 2001:498884 CAPLUS DOCUMENT NUMBER: 135:331409 MCC/SNAr methodology. Part 1: Novel access to a range of heterocyclic cores
Tempest, P.; Ma, V.; Kelly, M. G.; Jones, W.; Hulme, AUTHOR (S): C. Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA Tetrahedron Letters (2001), 42(30), 4963-4968 CODEN: TELEAY; ISSN: 0040-4039 Elsevier Science Ltd. CORPORATE SOURCE: SOURCE: PUBLISHER: DOCUMENT TYPE: Journal DANGUAGE:

Reglish
OTHER SOURCE(S):
CASREACT 135:331409

AB The novel solution-phase syntheses of arrays of biol. relevant indazolinones, benzazepines and benzoxazepines, utilizing multi-component condensation (MCC)/SNAr methodol. is reported. Reaction of com. available 2-fluoro-5-nitrobenzoic acid with an aldehyde, isonitrile and a primary amine tethered to a Boc-protected internal amino or hydroxyl nucleophile, affords the Ugi product in good yield. Subsequent acid treatment followed by proton scavenging using polymer-supported reagents promotes cyclization of internal amino nucleophiles to a variety of ring sizes. Base treatment alone is sufficient to generate benzoxazepines. Interestingly, this method also introduces a highly efficient two-step route to benzimidazoles.

TI 370059-27-59

RL: SPN (Synthetic preparation): PREP (Preparation) LANGUAGE: English CASREACT 135:331409

RL: SPN (Synthetic preparation): PREP (Preparation)
(solution-phase preparation of heterocyclic compds. by multi-component condensation using polymer-supported reagents)
370069-27-5 CAPLUS 3/005-2/-3 CAPLOS 1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)-2-(2-fluoro-5-nitrophenyl)-α(2-methylpropyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 11 Jul 2001 ACCESSION NUMBER: 2001:498883 CAPLUS 2001:498883 CAPLUS 135:344419 DOCUMENT NUMBER: TITLE: Two-step solution-phase synthesis of novel benzimidazoles utilizing a UDC (Ugi/de-Boc/cyclize) strategy Tempest, P.; Ma, V.; Thomas, S.; Hua, Z.; Kelly, M. AUTHOR (S): Tempest, P.: Ma, V.: Thomas, S.: Hua, Z.: Keily, M G.: Hulme, C. Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA Tetrahedron Letters (2001), 42(30), 4959-4962 CODEN: TELEAY; ISSN. 0040-4039 Elsevier Science Ltd. CORPORATE SOURCE: SOURCE: PUBLISHER: MENT TYPE: Journal

MROT TYPE: Journal

MROT TYPE: Journal

RE SOURCE(S): CASREACT 135:344419

The novel solution-phase synthesis of an array of biol. relevant

benzimidazoles in a simple two-step procedure is revealed.

Transformations are carried out in excellent yield by condensation of

mono-Boc protected ortho-phenylenediamine and supporting Ugi reagents.

Subsequent acid treatment and evaporation affords benzimidazoles in good to

excellent yield. The described protocol represents a highly attractive

solution-phase procedure for the rapid generation of benzimidazole libraries.

370058-27-59 371158-13-39 371158-13-99

371158-35-99 371158-23-69 371158-33-79

371158-39-99 371158-41-09

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of benzimidazoles by Ugi multi-component condensation
cyclization strategy)

370068-27-5 CAPRUS

1H-Benzimidazole-1-acetamide, N-{1,1-dimethylethyl}-2-{2-fluoro-5
nitrophenyl}-a-{2-methylpropyl}-{9CI} (CA INDEX NAME) LANGUAGE: OTHER SOURCE(S):

371158-13-3 CAPLUS 1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)-5,6-dimethyl-2-phenyl-α-propyl- (9CI) (CA INDEX NAME)

### Andrew Freistein 10/630896

### 05/24/2005

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Me Ph Pr-n CH-Pr-n C-NHBu-t

RN 371158-19-9 CAPLUS CN 1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)- $\alpha$ -(6-methyl-2-pyridinyl)-2-phenyl- (9CI) (CA INDEX NAME)

Ph N CH C-NHBu-t

RN 371158-27-9 CAPLUS CN 1H-Benzimidazole-1-acetamide,  $\alpha$ -cyclohexyl-N-[2-(4-morpholinyl)ethyl]-2-phenyl- [9CI] (CA INDEX NAME)

Ph O CH-C-NH-CH<sub>2</sub>-CH<sub>2</sub>-N

RN 371158-32-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2-[(2,6-dimethylphenyl)amino]-2-oxo-1-(2-phenyl-1H-benzimidazol-1-yl)ethyl}-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

N Ph CH-Et 0 | C-NH-CH2-P-OEt | O OEt

RN 371158-41-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(1,3-benzodioxol-5-yl)-\u03c3-ethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

CH-Et

RN 371158-43-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, a-(6-methyl-2-pyridinyl)-2-phenyl-N(phenylmethyl)- (9CI) (CA INDEX NAME)

Ph Me CH CH CH2- Ph

RN 371158-44-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-cyclohexyl-α-[2-(methylthio)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ph O C OEt

RN 371158-33-7 CAPLUS
CN Phosphonic acid, [[[[1,1'-biphenyl]-4-yl(2-phenyl-lH-benzimidazol-l-yl)acetyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 371158-35-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(3,4-dichlorophenyl)-N-(1-methylethyl)α-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

CH CH2 - CH2 - SME

RN 371158-36-0 CAPLUS
CN Phosphonic acid, [[[1-oxo-2-(2-phenyl-lH-benzimidazol-l-yl]butyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

### 05/24/2005

L4 ANSWER 52 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 11 Jul 2001 ACCESSION NUMBER: 2001:498766 CAPLUS DOCUMENT NUMBER: 135:339147

DOCUMENT NUMBER:

AUTHOR (S):

CORPORATE SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

SOURCE:

CUMENT NUMBER: 2001:498766 CAPLUS

135:339147

LE: Dependence of the antioxidant effect of imidazole derivatives on the concentration and the scheme of administration

HOR(S): Pavilla N. N. Kuznetsova, O. A.: Dadali, V. A.: Abyahev, A. Z.: Sokolova, E. A.

PORATE SOURCE: Dep. Biochemistry, Mechnikov State Medical Acad., St. Petersburg, 195067, Russia

RCE: Ekaperimental naya i Klinicheskaya Farmakologiya (2001), 64(3), 50-52

CODEN: EKFAES; ISSN: 0869-2092

LISHER: Jedstel'stvo Folium

JMENT TYPE: Journal

ZHAGE: Russian

The exptl. study of the antioxidant properties of imidazole derivs. showed evidence of a nonlinear dose-effect relationship as manifested by chemiluminescence in liposomes. In the in vivo expts., using a thiophenol intoxication model, the antioxidant effect observed for a "large dose - short time" acheme was more favorable than that for a "small dose - long time" administration schedule.

320039-92-5

RL: ADV (Adverse effect, including toxicity), Rec. (1)

324045-92-5
RI: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Useas) (antioxidant effect of imidazole derivs. dependence on concentration and administration mode) 324049-92-5 CAPUS |
H-Benzimidazole-1-ethanol, 2-(3-chlorophenyl)-a-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)

ANSWER 53 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

22

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 25 Apr 2001
ACCESSION NUMBER: 2001:293416 CAPLUS
DOCUMENT NUMBER: 135:102451
TITLE: 4Antagonism of the discriminative

ED Entered STN: 25 Apr 2001

ACCESSION NUMBER: 2001:293416 CAPLUS

DOCUMENT NUMBER: 133:102451

TITLE: Antagonism of the discriminative stimulus effects of (+)-7-OH-DPAT by remoxipride but not PNU-99194A

AUTHOR(S): Christian, A. J.: Goodwin, A. K.: Baker, L. E.

CORPORATE SOURCE: Department of Psychology, Western Michigan University, Kalamazoo, MI, 49008, USA

Pharmacology, Biochemistry and Behavior (2001), 68(3), 171-377

CODEN: PBBRAU; ISSN: 0091-3057

PUBLISHER: Elsevier Science Inc.

DOUTHAIN (7-OH-DPAT) has been used extensively as a tool to investigate the role of DA D3 receptors in the reinforcing and discriminative stimulus properties of psychostimulant drugs. The present study examined the relative importance of D3 vs. D2 receptor actions in the discriminative stimulus properties of psychostimulant drugs. The present study examined the relative water-reinforced operant procedure under a FR 20 schedule. Stimulus generalization and antagonism tests were conducted with occaine and with various selective D2 and D3 receptor ligands. In contrast to previous findings that (+)-7-OH-DPAT substitutes for cocaine, the present results demonstrated that cocaine does not produce stimulus generalization to the training drug, two highly selective D3 antagonists. PD-128907 and pramipexole, produced complete stimulus generalization to the training drug, two highly selective D3 antagonists (PNU-99194A, PD 152255) failed to block the discriminative stimulus generalization to the training drug, two highly selective D3 antagonists (PNU-99194A, PD 152255) failed to block the discriminative stimulus interpretations that PNU-99194A is not entirely D3 receptor selective should also be considered.

IT 164917-23-1, PD 152255

RL: Bac (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antagonism of the discriminative stimulus effects of (+)-7-OH-DPAT by remover, alternative interpretations that PNU-99194A)

Noull bond geometry as shown.

Double bond geometry as shown.

L4 ANSWER 54 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 05 Apr 2001 ACCESSION NUMBER: 2001:241749 CAPLUS DOCUMENT NUMBER: 134:266310

English 1

134:266310
Preparation of 2-aryl-benzimidazoles for treating neoplasia
Sperl, Gerhard; Ixkes, Ulrich; Pamukcu, Rifat; Pia
Gary A.
Cell Pathways, Inc., USA
U.S., 12 pp.
CODEN: USXXAM
Patent
Facelia INVENTOR (S): Gerhard; Ixkes, Ulrich; Pamukcu, Rifat; Piazza,

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211177	B1	20010403	US 1998-200378	19981124
PRIORITY APPLN. INFO.:			US 1998-200378	19981124
OTHER SOURCE(S):	MARPAT	134:266310		
GI				

The title compds. [I; R1 = H, alkyl, (un)substituted CH2Ph, etc.: R2 = (un)substituted Ph, CH2Ph, pyridyl, etc.: R2 = halo, alkoxy, alkyl, etc.: n = 0-2], useful for inhibiting neoplasia, particularly cancerous and precancerous lesions (no data), were prepared Thus, reacting 1,2-phenylenediamine with 3,4,5-trimethoxybenzaldehyde in the presence of 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in MeCN afforded 14% I [R1, R3 = H; R2 = 3,4,5-(MeO)3C6H2].
332015-21-1P 332015-24-4P

332015-21-1P 332015-24-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aryl-benzimidazoles for treating neoplasia)
332015-21-1 CAPLUS

H-Benzimidazole-1-acetamide, 5-methoxy-N-(phenylmethy1)-2-(3,4,5-trimethoxypheny1)- (9CI) (CA INDEX NAME)

5-24-4 CAPLUS nzimidazole-1-acetamide, 5-fluoro-N-(phenylmethyl)-2-(3,4,5-

ANSWER 54 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN trimethoxyphenyl) - (9CI) (CA INDEX NAME) (Continued)

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) phenylalkyl, CO2H, amino, heterocyclyl, etc.; R6 = -D-W-E-, wherein W = bond, (un]aubatituted phenylene, cycloalkylene, arylene, heterocyclene, etc.; D = (un]subatituted (cyclo/phenyl)alk(en/yn)lylene, phenylene, NH, etc.; E = bond, groups given for D; R7, R8 = H, resin, (un)subatituted alkyl, Ph, heterocyclyl, cycloalk(en)yl, sulfonyl or carbonyl deriva:, with provisos requiring that one of R1-R4 = (un)substituted COMHZ when R6 = CH2]. The invention further relates to combinatorial libraries contg. two or more such compds., as well as methods of prepg, them. The compds. are potentially useful due to biol. activity. For instance, a library of 36,288 such benzimidazole deriva. was prepd, from 3 arrays of: 48 arom. of heteroarom. aldehydes: 27 amino acids or diamines; and 28 amines. The synthetic method involved: (1) coupling of an N-protected amino acid component to an amine resin, or a coupling of a diamine component using CDI; (2) deprotection: (3) N-arylation of the supported amine with 4-fluoro-3-nitrobenzoic acid; (4) amidation of the supported acid with an amine component; 55 SnCl2 redn. of the nitro group to an amine; (6) cyclocondensation of the supported diamine with A-fluoro-3-nitrobenzoic acid and: BOC-glycine, 2-methyl-l-(3-methyl-phenyl)piperazine, and 4-pytidinecarboxaldehyde. Three bioassays useful for I are described; a melanocortin receptor assay, an antimicrobial screen, and a penile erection assay in rats (vs. HP 228 as control).
318477-78-00, 2-(4-chloro-2-nitrophenyl)-5-{{(H-indazol-6-

useful for I are described; a melanocortin receptor assay, an antimicrobial screen, and a penile erection assay in rats (vs. HP 228 as control).

318477-78-09, 2-(4-Chloro-2-nitrophenyl)-5-[{(|H-indazol-6-yl)amino|carbonyl]-1H-benzimidazole-1-acetamide 318524-16-2P 318525-26-79, 2-(2-Encomplenyl)-5-[(cyclohexylamino)carbonyl]-1H-benzimidazole-1-butanamide 318525-48-3P, 2-(2-Chloro-3,4-dimethoxyphenyl)-5-[(cyclohexylamino)carbonyl]-1H-benzimidazole-1-butanamide 331818-91-8P, 2-(2-Nitro-4,5-dimethoxyphenyl)-5-[([4-methyphenyl) amino|carbonyl]-1H-benzimidazole-1-butanamide 331818-95-2P 331819-00-2P, (R)-a-[2-(Methylthio)ethyl)-2-(2-fluorophenyl)-5-[(cyclooctylamino)carbonyl]-1H-benzimidazole-1-acetamide 331819-03-5P, (R)-a-[2-(Methylthio)ethyl)-2-(2,3-dichlorophenyl)-5-[(4-(thoxycarbonyl)piperidin-1-yl)carbonyl]-1H-benzimidazole-1-acetamide 331819-03-5P, (R)-a-[2-(Methylthio)ethyl)-2-(2,3-dichlorophenyl)-5-[(4-(thoxycarbonyl)piperidin-1-yl)carbonyl)-1H-benzimidazole-1-acetamide 331819-03-5P, (R)-a-[2-(Methylthio)ethyl]-2-(4-chloro-2-nitrophenyl)-5-[(4-(thoxycarbonyl)piperidin-1-yl)carbonyl)-1H-benzimidazole-1-acetamide 331819-03-5P, (S)-a-Phenyl-2-(4-chloro-2-nitrophenyl)-5-[(4-(thoxycarbonyl)piperidin-1-yl)carbonyl)-1H-benzimidazole-1-acetamide 331819-32-32 331819-34-2P 331819-32-7P 331819-32-7P 331819-32-7P 331819-32-7P 331819-32-7P 331819-32-7P 331819-32-7P 331819-32-7P 331819-31-7P 331

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 30 Mar 2001
ACCESSION NUMBER: 2001:228903 CAPLUS DOCUMENT NUMBER: 134:266308
TITLE: BENZIMINATOR 134:266308
Benzimidazole derivatives and combinatorial libraries
thereof, and their biological activity
Lang, Hengyuan: Pei, Yazhong
Trega Biosciences, Inc., USA
PCT Int. Appl., 135 pp.
CODEN: PIXXD2

INVENTOR (5): PATENT ASSIGNEE (5):

SOURCE:

Patent English 5 DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 2001021634 A1 20010329 WO 2000-US20942 20000801
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE
EP 1214330 A1 20020619 PATENT NO. KIND DATE APPLICATION NO. DATE

EP 1214330 A1 20020619 EP 2000-950920 20000801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 134:266308

The invention relates to novel benzimidazole derivs. I (R1-R4 = H, halo, (protected) OH, cyano, (unisubstituted alkyl(en/yn)yl, alkoxy, aryl, heterocyclyl, carbamoyl, etc.; R5 = H, (un)substituted alkyl, Ph.

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN L4

318524-16-2 CAPLUS
1H-Benzimidazole-1-propanamide, 2-(4-chloro-3-fluorophenyl)-5-([3-methyl-4-(3-methylhenyl)-1-piperazinyl|carbonyl]- (9CI) (CA INDEX NAME)

318525-26-7 CAPLUS 1H-Benzimidazole-1-butanamide, 2-(2-bromophenyl)-5-[(cyclohexylamino)carbonyl]- (9CI) (CA INDEX NAME)

318525-48-3 CAPLUS
TH-Benzimidazole-1-butanamide, 2-{2-chloro-3,4-dimethoxyphenyl}-5-{cyclohexylaminojcarbonyl}- (9CI) (CA INDEX NAME)

331818-91-8 CAPLUS 1H-Benzimidazole-1-butanamide, 2-(4,5-dimethoxy-2-nitrophenyl)-5-[{(4-methylphenyl)amino|carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

331818-95-2 CAPLUS

1H-Benzimidarole-1-acetamide, 5-[[[1-ethyl-2pyrrolidinyl)methyl]amino|carbonyl]-a-{(1R)-1-methylpropyl]-2-(4phenoxyphenyl)-, (aS)- {9CI) (CA INDEX NAME)

331819-00-2 CAPLUS lH-Benzimidazole-l-acetamide, 5-{(cyclooctylamino)carbonyl}-2-(2-fluorophenyl)- $\alpha$ -[2-(methylthio)ethyl]-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

331819-19-3 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-(3-phenoxyphenyl)-5-[[(3,3,5-trimethylcyclohexyl)amino]carbonyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

331819-21-7 CAPLUS 331017-217 CAPUS H-Benzimidazole-1-acetamide,  $\alpha$ -[3-{(aminoiminomethyl)amino}propyl}-2-(3-cyanophenyl)-5-[{(3,3,5-trimethylcyclohexyl)amino}carbonyl}-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

331819-22-8 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-((aminoiminomethyl)amino)propyl]-5-[(cyclohexylamino)carbonyl]-2-(4-nitrophenyl)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
331819-02-4 CAPLUS
4-Piperidinecarboxylic acid, 1-{[1-{(1R)-1-(aminocarbonyl)-3-(methylthio)propyl]-2-{2,3-dichlorophenyl)-1H-benzimidazol-5-yl}carbonyl}-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

331819-03-5 CAPLUS
1H-Benzimidazole-1-acetamide, 2-{2,3-dichloropheny1}-5-{{{4-methylphenyl}amino]carbonyl}-a-{2-{methylphenyl}amino]carbonyl}-a-{2-{methylthio}ethyl}-, (aR}-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

331819-09-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[[1-[(1S)-2-amino-2-oxo-1-phenylethyl]-2-[4-chloro-2-nitrophenyl]-1H-benzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

331819-25-1 CAPLUS
Benz[de]imidazo[4,5-g]isoquinoline-10(4H)-hexanamide, 9-(2-fluorophenyl)-5,6-dihydro-6-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

331819-26-2 CAPLUS
1H-Benzimidasole-1-acetamide, 2-(2-bromophenyl)-5-((butylamino)carbonyl)a-([(15)-1-methylpropyl]-, (a5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

331819-31-9 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -(cyclohexylmethyl)-5- {cycloydynamio)carbonyl}-2-(2-fluorophenyl)-, { $\alpha$ R}- {9CI} (CA INDEX NAME)

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry.

331819-32-0 CAPLUS H-Benzimidazole-1-acetamide, 2-(2,5-difluorophenyl)-a-(1H-indol-3-ylmethyl)-5-[(3,3,5-trimethylcyclohexyl)amino]carbonyl]-, (aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 56 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 02 Mar 2001
ACCESSION NUMBER: 2001:152653 CAPLUS
DOCUMENT NUMBER: 134:193435

IIVENTOR(S): Preparation of substituted 2-{2,6-difluorophenyl]benzimidazoles as non-nucleoside inhibitors of HIV-1 reverse transcriptase Michejda, Christopher J.; Morningstar, Marshall: Roth, Thomas

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA PCT Int. Appl., 149 pp.
CODEN: PIXXDZ

DOCUMENT TYPE: PROPERTION: PIXED PATENT INCOMPATION: English
FAMILU ACC. NUM. COUNT: English
FAMILU ACC. NUM. COUNT: PIXED PATENT INCOMPATION: 1

PATENT NO. KIND DATE APPLICATION NO. DATE

W0 2001014343 A1 20010301 W0 2000-US23449 20000825

W: AE, AG, AL, AH, AT, AU, AE, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, E1, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HA, MD, MG, MK, NN, MM, MX, MX, NO, NZ, EL, PT, RO, KU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, KS, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000070766 A5 20010319 AU 2000-70766 20000825

ER: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPIN: INFO::

W0 2000-US23449 W 20000825 PATENT NO. APPLICATION NO.

A 19990826 W 20000825

PRIORITY APPLN: INFO::

WS 1999-380171 A 19990825

OTHER SOURCE(S): MARPAT 134:193435

All 1-R'-2-(2,6-difluorophenyl)-4-X''-benzimidazole derivs. (I; e.g.
1-(2,6-difluorobenzyl)-2-(2,6-difluorophenyl)-d-methoxybenzimidazole) and
pharmaceutical compns. containing them are HIV-1 reverse transcriptase
inhibitors useful in treatment of HIV-1 infections. In I, X'' = H, Me,
Et, cyano, methoxy, nitro, amino, acetamido, methylamino, dimethylamino,
iso-Fr, isopropenyl, Br and Cl; and R'' = 2,6-difluorobenzyl, benzyl,
ethylbenzyl, 2,6-dichlorobenzyl, 2,3,4,5,6-pentafluorobenzyl, benzyl,
pyridylmethyl, benzenesulfonyl, 2,6-difluorobenzoyl, and
3,3-dimethylallyl. Although the methods of preparation are not claimed, >100
example prepns. are included. Biol. activity data are presented for some
of the claimed compds.; the methoxy and N-methylacetamido compds. were
found to possess the best overall biol. profile of the compds. tested.

IT 199594-77-99, 1-(3-Pyridylmethyl)-2-(2,6-Difluorophenyl)-4Methylbenzimidazole
RL: BAC (Biological activity or effector, except adverse): BSU (Biological
study, unclassified): SPN (Synthetic preparation); USES (Uses)
(preparation of substituted 2-(2,6-difluorophenyl)benzimidazoles as
non-nucleoside inhibitors of HIV-1 reverse transcriptase)

RN 199594-77-9 CAPIUS
CN 1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)(9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

331619-39-7DP, 5-(Aminocarbonyl)-2-phenyl-1H-benzimidazole-1-propanamide, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of benzimidazole derivs. and their combinatorial libraries) 331619-39-7 CAPLUS
H-Benzimidazole-1-propanamide, 5-(aminocarbonyl)-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued)

ANSWER 56 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 26 Jan 2001 ACCESSION NUMBER: 2001:63828 CAPLUS DOCUMENT NUMBER: 134:116238 Helanocotts

MATIONS

134:116238

Melanocortin receptor-3 ligands to treat sexual dysfunction pines, Kevin C.; Gahman, Timothy C.; Girten, Beverly E.; Hitchin, Douglas L.; Holme, Kevin R.; Lang, Hengyuan; Slivka, Sandra R.; Watson-Straughan, Karen J.; Tuttle, Ronald R.; Pei, Yazhong Trega Biosciences, Inc., USA PCT Int. Appl., 64 pp. CODEN: PIXXD2 Patent English

5 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN											ATE	
						-											
WO	2001	0054	01		A1		2001	0125	1	WO 2	000-	US 19	408		2	0000	713
	W:	AE.	AG.	AL.	AM,	AT,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,
		CN.	CR.	cu.	cz.	CZ.	DE,	DE.	DK.	DK.	DM.	DZ.	EE.	EE.	ES.	FI.	GB.
							HU,										
							LT.										
							RU,										
							UZ.										
			TJ.			,											
	RW:	GH,			LS,	MW.	MZ.	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY
							GB.										
							GN.										
US	6284						2001								1	9990	716
IORITY			INFO	. :						US 1	999-	3563	86		A Ī	9990	716
										US 1	999-	364B	25		A 1	9990	730
										US 1							
										US 1							
										US 1							
										US 1					A2 1		

US 1999-301391 Al 19990428

OTHER SOURCE(S): MARPAT 134:116238

Methods for treating sexual dysfunction, such as erectile dysfunction or sexual arousal disorder, with a compound having the generic formula X1-X2-D-Phe-Arg-D-Trp-X3 [X1 = RIRZNCHR3CY1Y2, Ac, H, or absent, where R1 = R2, COPh, COZBU-t, COZCHZPH, CHCO-(polyethylene glycol) or A which is N,O-(un) substituted 3-amino-4,5,6-trihydroxytetrahydro-Z-pyranyl; RZ = H, Ac, Et, PhCHZ; R3 = alkyl, cycloalkyl; Y1, Y2 = H or together form carbonyl or thiocarbonyl; X2 = NRICHR4CY1Y2-H1S, H1S, Ac, or H, where R4 = (CH2)mCONH2, (CH2)mCONHA1, or (CH2)CCONHA (m = 1-3); X3 = NRICHR6(CH2)nCY1YZR5 or R5, where R5 = OH, OR3, NH2, SH, NHMe, NHCH2PH, or A; R6 = H or R3, n = 0-3]. A particularly useful compound is HP-Z28, which has the formula AC-H1e-Gln-H1s-D-Phe-Arg-D-Trp-Gly-NH2. The invention also provides methods for selecting melanocortin receptor-3 ligands by determining whether a compound modulates the activity of MC-3 as an agonist or antagonist. These methods can be used to screen compound libraries, including benzimidazoles, for ligands to treat MC-3-associated conditions. Such conditions include sexual dysfunction, including erectile dysfunction and sexual arousal disorder (data given).

17 22180-43-2 23130-45-4 231180-53-4 321180-49-8 321180-57-8 321180-57-8 321180-59-0

ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); TMU (Therapeutic use): BIOL (Biological study); USES (Uses) [

(Uses)
[melanocortin receptor-3 ligands to treat sexual dysfunction)
321180-43-2 CAPLUS
1H-Benzimidazole-1-acetamide, a-[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[((2-phenylethyl)(phenylmethyl)amino]car
bonyl]-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-45-4 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-(4-butoxyphenyl)-5-[[(1,2-diphenylethyl)amino]carbonyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-47-6 CAPLUS 1H-Benzimidazole-l-acetamide,  $\alpha$ -[3-{(aminoiminomethyl)amino}propyl]-5-{(1,2-diphenylethyl)amino]carbonyl}-2-[4-(1-methylethyl)phenyl}-, (aS)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-49-8 CAPLUS IH-Benzimidazole-l-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1-methylethyl)phenyl]-5-[((2-phenylethyl)(phenylmethyl)amino]carbony 1)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-51-2 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[[(1,2-diphenylethyl)amino]carbonyl]-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-53-4 CAPLUS lH-Benzimidazole-1-acetamide,  $\alpha$ -[3-{(aminoiminomethyl)amino}propyl}-5-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]carbonyl}-2-[4-(1,1-dimethylethyl)phenyl}-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-55-6 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[[(2-phenylethyl)(3-pyridinylmethyl)amino]carbonyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-57-8 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-{(aminoiminomethyl)amino]propyl}-2-(4-butoxyphenyl)-5-[{(2-phenylethyl)(3-pyridinylmethyl)amino]carbonyl}-,  $\alpha$ 5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-59-0 CAPLUS

IH-Benzimidazole-1-acetamide, a-[3-[{aminoiminomethyl}amino]propyl]-2-(4-pentylphenyl)-5-[[(2-phenylethyl)(phenylmethyl)amino]carbonyl]-,
[aS]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 24 Nov 2000
ACCESSION NUMBER: 2000:823172 CAPLUS
DOCUMENT NUMBER: 133:367673
Organic electroluminescent devic
Ohama, Toru; Himeshima, Yoshio.
FORENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: JPN Kokai Tokkyo Koho, 11 pp. 133:367673
Organic electroluminescent devices
Ohama, Toru; Himeshima, Yoshio: Tominaga, Takeshi
Toray Industries, Inc., Japan
Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
Patent
Japanese 1
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. KIND DATE DATE JP 2000323278
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI JP 1999-133909 JP 1999-133909 A2 20001124 19990514 19990514

MARPAT 133:367673

The devices comprise a phosphor or an electron transport layer containing an imidazole derivative I (R1 = H, alkyl, cycloalkyl, aralkyl, alkenyl, cycloalkenyl, alkynyl, OH, mercapto, alkoxy, alkylthio, arylether, arylthioether, aryl, heterocyclic, halo, haloalkane, haloalkenyl, haloalkane, cyano, aldehyde, carbonyl, ester, carbamoyl, amino, nitro, silyl, cyclothanyl; X = (substituted) ammatic, (substituted) heterocyclic, (substituted) (un)saturated aliphatic; (substituted) isngle bond; Y1 = single bond, (cycloalkyl, chain, alkylene chain, aryl chain, heterocyclic chain, ether chain; Ar = (substituted) aromatic ring, (substituted) heterocyclic ring, (substituted) aromatic and heterocyclic ring, (substituted) heterocyclic ring, (substituted) aromatic and heterocyclic ring).

306944-29-6 CAPLUS
306944-29-6 CAPLUS

. IN-Benzimidazole, 1,1'-{1,2-ethanediyl}bis{2-{1,1'-biphenyl}-4-yl- (9CI) (CA INDEX NAME)

ANSWER 58 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 11 Oct 2000 ACCESSION NUMBER: 2000:718249 CAPLUS DOCUMENT NUMBER: 133:281781

DOCUMENT NUMBER: TITLE:

INVENTOR (S):

133:281781
Preparation of benzodioxolylbenzimidazoles and related compounds as phosphodiesterase inhibitors.
Huang, Horng-Chih; Chamberlain, Timothy S.; Settle,
Steven Lynn; Joy, William Dean; Siegel, Ned R.; Bell,
Leslie D.

Monsanto Co., USA U.S., 28 pp. CODEN: USXXAM Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

PATENT NO.

US 6130333
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI APPLICATION NO. A US 1998-200863 US 1998-200863 19981127 19981127 20001010

MARPAT 133:281781

I

Title compds. e.g., [I; m = 0-6; n = 1-3; Rl = (substituted) alkyl, alkoxyalkyl, carboxyalkyl, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; T, U, V, W = N, CR3; ≥1 of T, U, V, W = CR3; R3 = H, OH, halo, NO2, alkyl, alkylsulfonyl, alkoxy, alkenyl, alkynyl, amino; with specific exceptions], were prepared Thus, piperonal was refluxed 12 h with 1,2-phenylenedlamine in PhNO2 to give 491 2-(1,3-benzodioxol-5-yl)lenzimidazole. The latter in DMF was treated with NOCKe3 and then with Et 4-bromobutanoate to give 74% Et 2-(1,3-benzodioxol-5-yl)-1H-benzimidazole-1-butanoate. Tested I inhibited cGMF PDE with ICSO = 0.003-0.024 µM.
300546-50-59 300546-61-69 300546-76-3P
300546-77-4P 300553-89-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (preparation of benzodioxolylbenzimidazoles and related compds. as phosphodiesterase inhibitors) 300546-60-5 CAPLUS

HH-Isoindole-1,3(2H)-dione, 2-{3-{2-(1,3-benzodioxol-5-yl)-1H-benzimidazol-1-yl]propyl]- (9CI) (CA INDEX NAME)

05/24/2005

ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

300546-61-6 CAPLUS

1H-Benzimidazole-1-propanamine, 2-(1,3-benzodioxol-5-yl)- (9CI) (CA INDEX

300546-76-3 CAPLUS
1H-Benzimidazole, 2-(1,3-benzodioxol-5-yl)-1-(4-pyridinylmethyl)~ (9CI) (CA INDEX NAME)

300546-77-4 CAPLUS
1H-Benzimidazole, 2-{1,3-benzodioxol-5-yl)-1-(3-pyridinylmethyl)- (9CI)
(CA INDEX NAME)

300553-89-3 CAPLUS 1H-Benzimidazole, 2-(1,3-benzodioxol-5-yl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 60 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 27 Jul 2000
ACCESSION NUMBER: 2000:508655 CAPLUS
DOCUMENT NUMBER: 133:232366
STUTLE: Studies on the novel anti-staphylococcal compound nematophin

AUTHOR(S): Kennedy, G.: Viziano, M.: Winders, J. A.; Cavallini, P.; Gevi, M.: Michell, F.; Rodegher, P.; Seneci, P.; Zumerle, A.

CORPORATE SOURCE: Via Fleming 4, Medicines Research Centre, GlaxoWellcome SpA, Verona, 37100, Italy
Bioorganic 4 Medicinal Chemistry Letters (2000), 10(15), 1751-1754
CODEN: BMCLE8: ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A number of analogs of the recently described compound nematophin were prepared and studied for antibacterial activity. The 2-Ph derivative was found to exhibit exceptional activity against methicillin resistant Staphylococcus acrive.

I 29420-91-69

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified): PRP (Promerius) PCF (Postation) PCF

294210-91-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (novel anti-staphylococcal compound nematophin)
294210-91-6 CAPLUS
Pentanamide, 3-methyl-2-oxo-N-(2-(2-phenyl-1H-benzimidazol-1-yl)ethyl]-(9CI) (CA INDEX NAME)

O O Me || || | | CH<sub>2</sub>- CH<sub>2</sub>- NH- C- C- CH- Et

294210-93-BP

RE. BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(novel anti-staphylococcal compound nematophin)
294210-93-8 CAPLUS
HB-Benzimidazolium, 1-methyl-3-[2-[(3-methyl-1,2-dioxopentyl)amino]ethyl]2-phenyl-, iodide (9CI) (CA INDEX NAME)

ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 17

ANSWER 60 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• 1-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE IT 294210-89-2P

294210-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (novel anti-staphy)ecoccal compound nematophin) 294210-89-2 CAPLUS 
1H-Isoindole-1,3(2M)-dione, 2-[2-(2-phenyl-1H-benzimidazol-1-yl)ethyl}-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 14

L4 ANSWER 61 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Jun 2000
ACCESSION NUMBER: 2000:414757 CAPLUS
DOCUMENT NUMBER: 133:253103
TITLE: Polymers for nonlinear optical applications. Second harmonic generation of corona-poled thin films
AUTHOR(S): Samyn, C.; Van Den Broeck, K.; Van Beylen, M.;
Verbiest, T.; Persoons, A.
CORPORATE SOURCE: Laboratory of Macromolecular and Physical Organic Chemistry, University of Leuven, Louvain, B-3001, Belg. Chemistry, Oniverse., Belg. MCLC SaT, Section B: Nonlinear Optics (1999), 22(1-4), SOURCE: CE: MCLC SAT, Section B: Nonlinear Optics (1999), 22(1-4), 83-86

STATE CODEN: MCLOEB: ISSN: 1058-7268

ISHER: Gordon & Breach Science Publishers

Journal

UAGE: Brajlish

Second harmonic generation measurements of several series of (NLO-dye methacrylate)-Me methacrylate copolymers were investigated. Heterocyclic groups incorporated in the chromophore give rise to an enhanced effect. Some of the poled films do not show a significant decay in the second harmonic signal due to relaxation. Extremely high stability was obtained for azo chromophore functionalized poly(maleimide-4-Ph styrenes), showing \$89\$ of remaining NLO-intensity, when heated at 125° for PUBLISHER: DOCUMENT TYPE: LANGUAGE: 1000 h. 296262-39-0 298262-39-0
RL: PRP (Properties)
(second harmonic generation of corona-poled thin film
polymethylmethacrylate-azo dye polymers for nonlinear optical
applications.)
296262-39-0 CAPLUS
1H-Pyrrole-2,5-dione, 1-[2-[4-[[4-[methyl(phenylmethyl)amino]phenyl]azo
]phenyl]-5-nitro-1H-benzimidazol-1-yl]ethyl]-, polymer with
4-ethenyl-1,1'-biphenyl (9CI) (CA INDEX NAME) CM 1 CRN 296262-38-9 CMF C33 H27 N7 O4 1- CH2- Ph CM 2

L4 ANSWER 62 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 06 Jun 2000
ACCESSION NUMBER: 2000:374461 CAPLUS
COCUMENT NUMBER: 133:105452
TITLE: High glass transition chromophore functionalized poly (malelmide-styrene)s for second-order nonlinear optical applications
AUTHOR(S): Samyn, C.; Verbiest, T.; Kesters, E.; Van den Broeck, K.; Van Beylen, M.; Persoons, A.
CORPORATE SOURCE: Laboratory of Macromolecular and Physical Organic Chemistry, University of Leuven, Louvain, B-3001, Belg. CORPORATE SOURCE:

Laboratory of Macromolecular and Physical Organic Chemistry, University of Leuven, Louvain, B-3001, Belg.

SOURCE:

Polymer (2000), 41(16), 6049-6054

CODEN: POLMAG; ISSN: 0032-3861

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Nonlinear optical polymers with high glass transition temperature were prepared by polymer analogous reaction of maleic anhydride copolymers, with aminoalkyl-functionalized azo- and stilbene chromophores. The glass transition temperature of the products was 178-228°. Poled films of the polymers were characterized by second-harmonic generation and showed a nonlinear optical response that is stable at elevated temps.

1 28405-88-1 Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Ramine-chromophore intermediate; preparation and second-harmonic generation coefficient of high glass transition azo and stilbene chromophore functionalized poly(maleimide-styrene)s)

RN 28405-88-1 CAPPUS

NN 18-Benzimidazole-1-ethanamine, 2-[4-[[4-[methyl(phenylmethyl)amino]phenyl] azo|phenyl]- (SCI) (CA INDEX NAME) L4 ANSWER 61 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 62 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

-CH2-Ph

CRN 2350-89-2 CMF C14 H12

IT 284045-88-1DP, reaction products with maleic anhydride-substituted styrene copolymers
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) preparation and second-harmonic generation coefficient of high glass transition
aro and stilbene chromophore functionalized poly(maleimide-styrene)s)
RN 284045-88-1 CAPLUS
CN 1H-Benrimidazole-1-ethAnamine, 2-[4-[{4-[methyl(phenylmethyl)amino]phenyl]azo]phenyl]- (9CI) (CA INDEX NAME)

CH2-Ph

L4 ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 15 May 2000
ACCESSION NUMBER: 2000:314677 CAPLUS
DOCUMENT NUMBER: 132:321860
TITLE: Preparation of 2-phenylbenzimidazoles as poly(ADP-ribose) polymerase inhibitors.

INNENTOR(S): Lubisch, Wilfried; Kock, Michael: Hoger, Thomas PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PA'	TENT	NO.			KIN	D	DATE			APE	LIC	:AT	ION	NO.		1	DATE	
WO.	2000	0261	92		Δ1	-	2000	0511		wn	190	19-	EDA1	69			19991	028
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																	ID,	
																	LV.	
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	RW:											JG.	ZW.	AT.	BE,	CH	CY,	DE,
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CA	2349 9915	227			AA		2000	0511		CA	199	9-	2349	227			19991	02B
BR	9915	013			Α		2001	0807		BR	199	9-	1501	3			19991	028
EP	1127	052			A1		2001	0829		EΡ	199	9-	9558	94			19991	028
EP	1127	052			B1		2004	1208										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	ι, 1	Т,	LÎ,	LU,	NL,	SE	, MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO											
TR	2001	0123	9		T2		2001	1121		TR	200	1-	2001	0123	•		19991	028
TR	2002	0097	2		Т2		2002	0722		TR	200	12-	2002	0097	2		19991	028
JP	2002	5285	31		T2		2002	0903		J₽	200	0-	5795	81			19991	028
AU	7652 1391	24			B2		2003	0911		ΑU	200	0-	1266	5			19991	028
EP	1391	457			Al		2004	0225		ΕP	200	3-	2489	9			19991	028
	R:							FR,	GB,	GF	₹, 1	T,	LI,	LU,	NL,	SE	, мс,	PT,
		IE,	SI,	FI,	RO,	CÅ												
AŤ	2843	92			E		2004	1215		AT	199	99-	9558	94			19991	028
ИО	2001	0021	58		A		2001	0626		МО	200	)1-	2158				20010	502
ZA	2001	0035	58		A		2002	0503		ZA	200	"-	3558				20010	503
BG	1055	15			А		2001	1231		BG	200	11-	1055	15		_	20010	516
PRIORIT	Y APP	LN.	INFO	.:						DE	195	, u -	1985	0709			19981	103
AT NO ZA BG PRIORIT										DE	199	, a -	1382	2801		A	12221	110
										DE	193	,,,	1220	0/33		A.	10001	201
										EP.	193	,,,-	9000	74 60		M3	19991	028
										WO	197	,,,-	FLAI	07		-	12221	026

ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-4-carboxamide, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[3-(1-pyrcolidinyl)propyl]-, dhydrochloride (9CI) (CA INDEX NAME)

MARPAT 132:321860

266993-22-0 CAPLUS
1H-Benzimidazole-4-carboxamide, 2-[4-[3-[methyl(phenylmethyl)amino]propoxy
]phenyl]-1-[3-[methyl(phenylmethyl)amino]propyl}-, dihydrochloride (9CI)
(CA INDEX NAME)

●2 HC1

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

Title compds. [I, II; Rl = H, (substituted) alkyl: R2 = H, Cl, Br, iodo, F, CF3, NO2, acylamino, amino, OH, alkoxy, phenylalkoxy, (substituted) Ph, etc.; n = 0-2: R3 = D(Fl)pEq(F2):F0, EDu(F2):SGV, etc.; R4 = H, Cl, F, Br, iodo, alkyl, OH, NO2, CF3, cyano, amino, acylamino, alkoxy; D = S, O; E = Ph, imidazolyl, pyrrolyl, thienyl, pyridyl, isoxazolyl, etc.; F1, F2 = (substituted) Cl-8 chain; p, q, r, s, u, v = 0, 1; G = amino, (substituted) Cl-8 chain; p, q, r, s, u, v = 0, 1; G = amino, (substituted) pyrrolidinyl, piperidinyl, piperazinyl, azepinyl, diazepinyl, morpholinol, were prepared as drugs (no data). Thus, Et 2,3-dlaminobenzoate and NOAc in MeOH were treated with 4-(N,N-diethylaminoeth-1-yloxy)benzaldehyde (preparation given) in MeOH over 30 min.; CuOAc in H2O was added and the mixture was refluxed 20 min. to to give Et 2-(4-[2-(N,N-diethylamino)eth-1-yloxy)phenyl)benzimidazole-4-carboxylate. This was refluxed 10 h with N2H4 in EtCH to give the hydrazide, which was heated with Raney Ni in DMF/HZO to give 2-[4-[2-(N,N-diethylamino)eth-1-yloxy)phenyl)benzimidazole-4-carboxamide. 265993-18-4P 265993-20-BP 265993-20-DP RIBBAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); JTNU (Therapeutic use); BIOL (Biological activity or effector, except adverse); Clessi (preparation of 2-phenylbenzimidazoles as PARP inhibitors) 265993-18-4 CAPLUS (Preparation); USC (USC) (USC) (Preparation of 2-phenylbenzimidazoles as PARP inhibitors)

200933-10-4 CAPLUS
[H-Benzimidazole-4-carboxamide, 2-{4-{3-(diethylamino)propoxy]phenyl}-1-{3-(diethylamino)propyl}-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

266993-20-8 CAPLUS

L4 ANSWER 64 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 13 Jan 2000
ACCESSION NUMBER: 2000:30832 CAPLUS
DOCUMENT NUMBER: 132:194321
TITLE: Traceless synthesis of benzimidazoles on solid support
AUTHOR(S): Mazurov, Anatoly
CORPORATE SOURCE: NanoSyn, Inc., Tucson, AZ, 85747, USA
SOURCE: Bioorganic 4 Medicinal Chemistry Letters (2000), 10(1), 67-70
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:194321
AB Traceless solid-phase syntheses of benzimidazoles and 5-(benzimidazol-zylbenzimidazoles on 2-(4-formyl-3-methoxyphenoxy)ethyl polystyrene are described. No auxiliary functional groups are left in the products after ultimate cleavage and cyclization.
IT 259734-89-9F
RL: SPN (Synthetic preparation): PREP (Preparation)
[traceless solid-phase synthesis of benzimidazoles and benzimidazole, 2-(3-chlorophenyl)-1-{3-(4-methyl-1-piperazinyl)propyl]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 23 Aug 1999
ACCESSION NUMBER: 1999:523277 CAPLUS
131:286447
SOLICE-SOURCE: SOLICE-SOURCE: Affymax Research Institute, Palo Alto, CA, 94304, USA
CORPORATE SOURCE: CASPEARCH 1999; 40(34), 6185-6188
CODEN: TLEAY; ISSN: 0040-4039
Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: CASPEARCT 131:286447
AB A solid-phase synthesis of substituted benzimidazoles
131:28647
Solid-phase and Solid-phase synthesis of substituted on the aromatic rin

OTHER SOURCE(S): AB A solid-pha R SOURCE(S):

CASREAGT 131:286447

A solid-phase synthesis of benrimindazoles, substituted on the aromatic ring by a variety of groups or atoms, is described. An intermediate derived from the acquiation of a resin-bound secondary amine with FMDC-glycine was elaborated via nucleophilic displacement with substituted o-halonitroarenes. Careful optimization of the subsequent nitro-group reduction and cyclization with aldehydes, followed by acidolysis gave the title computs. in good yields and purities.

246019-91-09 246019-92-1P 246019-93-2P
246019-97-67 246019-99-7P 246019-99-8P
246020-01-97

246019-92-1 CAPLUS
1H-Benzimidazole-1-acetamide, 5-bromo-2-(3-chlorophenyl)-N-propyl- (9CI)
(CA INDEX NAME)

246019-93-2 CAPLUS
1H-Benzimidazole-1-acetamide, N-cyclohexyl-6-fluoro-2-(4-hydroxyphenyl)-(9CI) (CA INDEX NAME)

ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

246019-97-6 CAPLUS
1H-Benzimidazole-l-acetamide, 5-fluoro-2-(4-methoxyphenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

246019-98-7 CAPLUS H-Benzimidazole-1-acetamide, 5,6-dichloro-2-phenyl-N-(3-phenylpropyl)-(9C1) (CA INDEX NAME)

246019-99-8 CAPLUS
1H-Benzimidazole-1-acetamide, N-[(2,5-difluorophenyl)methyl]-5(methylsulfonyl)-2-phenyl- (9CI) (CA INDEX NAME)

246020-01-9 CAPLUS 1H-Benzimidazole-1-acetamide, N-(1,3-benzodioxol-5-ylmethyl)-2-phenyl-

L4 ANSWER 66 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Nar 1999
ACCESSION NUMBER: 1999:184240 CAPLUS
DOCUMENT NUMBER: 130:209707
TITLE: Preparation of 2-substituted phenyl-benzimidazole antibacterial agents
Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton
Ortho-McNeil Pharmaceutical, Inc., USA
CODEN: TYXPE: CODEN: PTXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Endlish

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

Benzimidazoles I [R] = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NIRF9): NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepared These compds are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3, 4-diaminobenzimidate, prepared from 3,4-diaminobenzonitrile, was treated with NH3/EDD, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5-carboximidamide. AB carboximidamide. 220955-59-9P

220955-59-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological atudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenylbenzimidazoles as antibacterial agents) 220955-59-9 CAPLUS
H-Benzimidazole-1-ethanimidamide, 6-(aminoiminomethyl)-2-[4-(diphenylamino)phenyl]- (9CI) (CA INDEX NAME)

1.4 ANSWER 66 OF 142 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 67 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Sep 1998
ACCESSION NUMBER: 1998:604910 CAPLUS
DOCUMENT NUMBER: 129:216616
SUBSTITUTE: Substituted benzimidazoles as non-nucleoside inhibitors of reverse transcriptase
INVENTOR(S): Michejda, Christopher J.: Morningstar, Marshall: Roth,

Thomas United States Dept. of Health and Human Services, USA PCT Int. Appl., 116 pp.
CODEN: PIXXD2
Patent
English
2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 1980827 W0 1998-US3588 19980224
A1 1980827 W0 1998-US3588 19980224
AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, C2, DE, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MM, MM, MM, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UZ, VM, VU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, MR, NE, SN, TD, TG
A1 19880309 AU 1998-63371 19980224
B1 20031206
A1 19991215 EP 1998-907608 19980224
B1 20030502
I, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, WO 9837072 WO 9837072

W: AL, AM, AT, DK, EE, ES, KP, KR, KZ, NO, NZ, PL, UA, UG, US, FR, GB, GR, GB, ML, AU 9863371
AU 741772
EP 963371
EP 963371
R: AT, BE, CH,

EP 963371 B1 20030502 R, R; RT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2001513084 T2 20010828 JP 1998-536983 19980224

AT 218998 E 20030515 AT 1998-201608 19980224

CA 2281927 C 20040127 CA 1998-2281927 19980224

CA 2281927 AA 19980827

US 6169235 B1 20020409 US 2000-380171 20000201

US 2003191160 A1 20031009 US 2002-119634 20020409

US 6894068 B2 20050517 US 1997-38509P P 19970225 JP 2001513084
AT 238998
CA 2281927
CA 2281927
US 6369235
US 2003191160
US 6894068
PRIORITY APPLN. INFO.:

US 1997-38509P WO 1998-US3588 US 2000-380171 19970225 P 19970225 W 19980224 A1 20000201

OTHER SOURCE(S): MARPAT 129:216616

$$\begin{array}{c|c} X & F & X \\ \hline X & F & X \\ \hline X & Y & Y \\ X & Y & Y \\ \hline X & Y & Y \\ X & Y & Y \\ \hline X & Y & Y \\ X & Y & Y \\ \hline X & Y & Y \\ X$$

ANSWER 67 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The invention provides compns. and methods for the treatment of HIV infection. In particular, the invention provides non-nucleoside inhibitors of reverse transcriptase (RT), as well as methods to treat HIV infection using them. In preferred embodiments, a novel class of substituted benzimidazoles, effective in the inhibition of human immunodeficiency virus (HIV) RT, are provided. The claimed compds. include I and II [K = H, Me, Et, cyano, OMe, NO2, NH2, NHAC, NIMe, NMe2, CMe2OH, CC:CK21Me, Br. Cl; Rl = 2,6-difluorobenzyl, CH2Ph, 2.6-dichlorobenzyl, pyridylmethyl, So2Ph, CH2CH:CMe2, etc.; R2 = Ph, CHO, iso-Pr, H, Me, cyclopropyl, CH2OH, Cl; CHCHCHCMe2, etc.; R2 = Ph, CHO, iso-Pr, H, Me, cyclopropyl, CH2OH, 2,6-difluorophenyl, methylphenyl, pyridylmethyl, So2Ph, CH2CH:CHCMe2, etc.; R2 = Ph, CHO, iso-Pr, H, Me, cyclopropyl, CH2OH, 2,6-difluorophenzyl chloride (92s), hydrazinolysis of one acyl group (96i), reduction of the nitro group with Pe powder with concomitant cyclization to give a benzimidazole (86s), and N-alkylation with 2,6-difluoro-a-bromotoluene (91s), to give I [X = OMe, Rl = 2,6-difluorobenzyl]. This compound gave 85% inhibition of RT at 1 Mt n vttro; it was also 100-fold more potent than T2B and T1BO and comparable to 8-chloro-T1BO and nevirapine in potency.
199584-77-9p, 1-(3-Pyridylmethyl)-2-(2,6-difluorophenyl)-4-methylbenzimidazole (85N), SPN (Synthetic preparation); THU (Therapeutic use); BIO, (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted benzimidazoles as non-nucleoside inhibitors of reverse transcriptase)
1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 68 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 03 Aug 1998
ACCESSION NUMBER: 1998:480082 CAPLUS
DOCUMENT NUMBER: 129:136518
Synthesis and nonlinear optical properties of high
glass transition poly(maleimide-4-phenylstyrene)s
AUTHOR(S): Verbiest, Thierry: Samyn, Celest; Van Beylen, Marcel;
Persoons, Andre
CORPORATE SOURCE: Laboratory Chemical Biological Dynamics, University
Leuven, Louvain, B-3001, Belg.
SOURCE: Macromolecular Rapid Communications (1998), 19(7),
349-352
CODEN MRCOE3; ISSN: 1022-1336
PUBLISHER: Huethig 4 Wepf Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Nonlinear optical polymers with high Tg were prepared by polymer analogous
reaction of maleic anhydride copolymers with aminoalkyl-functionalized azo
chromophores. Poled films of the polymers show a good nonlinear optical
response that is stable at ≤125\*.

710528-79-3P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(chromophore; preparation of aminoalkyl azo chromophores and
functionalization of poly (maleimide-phenylstyrene)s)
RN 210528-79-3 CAPLUS

NN 11-Benzimidazole-1-ethanamine, 2-(4-[(4-[methyl(phenylmethyl)amino)phenyl)
azo|phenyl|5-nitro- (SCI) (CA INDEX NAME)

210528-78-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkyl azo chromophores and functionalization of poly(maleimide-phenylstyrene)s)
210528-78-2 CAPLUS
1H-Isoindole-1,3(2H)-dione, 2-[2-[2-[4-[4-(methyl (phenylmethyl) amino]phenyl]azo]phenyl]-5-nitro-1H-benzimidazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

### 05/24/2005

ANSWER 68 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

210528-79-3DP, reaction products with poly(maleimide-phenylatyrene)
RL: RRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminoalkyl azo chromophores and nonlinear optical properties of functionalized poly(maleimide-phenylatyrene))
210528-79-3 CAPLUS
1H-Benzimidazole-1-ethanamine, 2-[4-[[4-[methyl(phenylmethyl)amino]phenyl) azo]phenyl]-5-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 69 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 07 May 1998
ACCESSION NUMBER: 1998:258521 CAPLUS
DOCUMENT NUMBER: 1299:12650

AUTHOR(S): Comparison of D2 and D3 dopamine receptor affinity of dopaminergic compounds in rat brain

Flietatra, Rebecca J.; Levant, Beth
Department of Pharmacology, Toxicology, and
Therapeutics, University of Kanasa Medical Center,
Kanasa City, KS, 66160-7417, USA

SOURCE: Life Sciences (1998), 62 (20), 1825-1831
CODDEN LIFSAK; ISSN: 0024-3205
Elsevier Science Inc.
Journal

DOCUMENT TYPE: LANGUAGE:

CODEN: LIFSAK; 15SN: 0024-3205
LISHER: Elsevier Science Inc.
MENT TYPE: Journal
UNGE: English
This study used quant. autoradiog. to simultaneously evaluate the relative
affinities of dopaminergic compds. for dopamine D2 and D3 receptors in rat
brain. PD 152255, PD 129907, and L-nafadotride exhibited significantly
higher affinity for cerebellar dopamine D3 sites than (3H)quinpirolelabeled sites in caudate/putamen (6.3-, 6.0-, and 2.3-fold, resp.). In
contrast, chiorpromazine, risperidone, and domperidone were more potent at
striatal dopamine D2 receptors (3.8-, 31-, and 40-fold, resp.). Dopamine,
quinelozane, (+)-UH 232, and R5-trans-7-OH-PIPAT exhibited relatively
little D2/D3 selectivity.
164917-23-1, PD 152255
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(comparison of D2 and D3 dopamine receptor affinity of dopaminergic
compds. in rat brain)
164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-{4-{3-(1-piperidinyl)propoxy|phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

L4 ANSWER 69 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 28 Feb 1998
ACCESSION NUMBER: 1998:122843 CAPLUS

DOCUMENT NUMBER: 128:252832
Synthesis and activities of 5-substituted-2-(p-substituted phenyl)-1-dislkylaminomethylbenzimidazole

TITLE:

Synthesis and activities of 5-substituted-2-(p-substituted phenyl)-1-dialkylaminomethylbenzimidazole derivatives

Uzunoglu, S.; Tosun, A. U.; Ozden, T.; Yesilada, E.; Berkem, R.

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Gazi University, Ankara, 06330, Turk.

Farmaco (1997), 52(10), 619-623

COODE: FARCES ISSN: 0014-827X

PUBLISHER:
Societa Chimica Italiana
DOCUMENT TYPE:
JOURNAL
LANGUAGE:

English
AB Nine 1,2,5-trisubstituted benzimidazole derivs. were prepared and their structure were elucidated by IR, NMR spectral data and elemental analyses. Analgesic activity of the compds. prepared was studied in mice by modified KOSTER test. Antiinflammatory activity of these compds. was studied by a carrageenan-induced hind paw edema model in mice. Their antibacterial activities were examined against S. aureus, E. faccalis, E. coli, P. aeruginosa, and antifungal activity against three kinds of yeast-like fungi (C. albicans, C. parapsilosis, C. stellatoidea).

17 19460-66-58 po5245-52-67P
205245-27-PP 205245-28-9P 205245-26-7P
205245-30-3P 205245-31-90
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205245-31-90
20524

205245-25-6 CAPLUS
1H-Benzimidazole, 2-(4-chlorophenyl)-5-methyl-1-(1-piperidinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

#### 05/24/2005

ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

205245-26-7 CAPLUS
1H-Benzimidazole, 5-methyl-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

205245-27-8 CAPLUS
1H-Benzimidazole, 5-methyl-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

205245-28-9 CAPLUS 1H-Benzimidazole, 5-chloro-2-(4-chlorophenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

205245-32-5 CAPLUS
1H-Benzimidazole, 5-chloro-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HC1

32\_

REFERENCE COUNT:

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

205245-29-0 CAPLUS 1H-Benzimidazole-1-methanamine, 5-chloro-N,N-diethyl-2-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

205245-30-3 CAPLUS
1H-Benzimidazole-1-methanamine, 5-chloro-N,N-diethyl-2-(4-methylphenyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

205245-31-4 CAPLUS 1H-Benzimidazole-1-methanamine, 5-chloro-N,N-diethyl-2-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 71 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 04 Feb 1998 ACCESSION NUMBER: 1998:64815 CAPLUS DOCUMENT NUMBER: 128:213195

128:213195
Pharmacological characterization of PD 152255, a novel dimeric benzimidazole dopamine D3 antagonist Corbin, Ann E.; Pugaley, Thomas A.; Akunne, Hyacinth C.; Whetzel, Steven Z.; Zoski, Kim T.; Georgic, Lynn M.; Nelson, Carrie B.; Wright, Jon L.; Wise, Lawrence D.; Heffner, Thomas G. Psychiatric Disorders Therapeutics, Division of Warner-Lambett Company, Ann Arbor, MI, 48105, USA Pharmacology, Biochemistry and Behavior (1998), 59(2), 487-493 AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

CODEN: PBBHAU; ISSN: 0091-3057 Elsevier Science Inc.

PUBLISHER

PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB PD 152255 (E-1,1'-(2-butene-1,4-diyl)bis[2-[4-[3-(1-piperidinyl)propoxy]phenyl]-1H-benzimidazole] exhibited high affinity (Ki = 12.7 nM) for
human dopamine (DA) D3 receptors expressed in CHO K1 cells but not for DA
D2L receptors (Ki = 565 nM), DA D4.2 or DA D1 receptors (Ki > 3 µM) and
a number of other neurotransmitter receptors. Affinity for human muscarinic
receptors was seen in vitro but no functional muscarinic agonist and/or
antagonist action was observed in vivo. Antagonist activity at DA D3
receptors was demonstrated by blockade of quinpirole-stimulated
[3H]-thymidine uptake in D3 transfected cells, an effect that was 2B-fold
more potent than in D2-transfected cells. Unlike classical DA D2
antagonists, PD 152255 did not increase rat brain DA synthesis and it
increased locomotion in habituated rats. However, like antipsychotics, PD
152255 reduced locomotor activity in mice and reduced spontaneous and
amphetamine-stimulated locomotion in nonhabituated rats. These results
demonstrate that PD 152255 is a DA D3 antagonist that may have
antipsychotic activity.

RI: BAC (Biological activity or effector, except adverse); BPR (Biological

164917-23-1

RE: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (pharmacol. characterization of PD 152255, a novel dimeric henzimidazole dopamine D3 antagonist)

164917-23-1 CAPLUS

164917-23-1 CAPLUS
HH-Benzimidazole, 1,1'-{2E}-2-butene-1,4-diylbis{2-[4-[3-(1-piperidinyl)propoxy]phenyl}- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

14 ANSWER 71 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

36

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued)

L4 ANSWER 72 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STM: 20 Jan 1998

ACCESSION NUMBER: 1998:31649 CAPLUS

DOCUMENT NUMBER: 128:30038

Synthesis and Biological Activity of Novel

Nonnucleoside Inhibitors of HIV-1 Reverse

Transcriptase. 2-Aryl-Substituted Benzimidazoles. 1

ROTH. Thomas: Norningstar, Marshall L.: Boyer, Paul

L.: Hughes, Stephen H.: Buckheit, Robert W., Jr.:

Michejda, Christopher J.

CORPORATE SOURCE: Holecular Aspects of Drug Design Section ABL-Basic

Research and Development Program, National Cancer

Institute-Frederick Cancer Research and Development

Center, Frederick, MD, 21702, USA

Journal of Medicinal Chemistry (1997), 40(26),
4199-4207

CODEN: JMCNAR: ISSN: 0022-2623

American Chemical Society

Journal

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

American Chemical Society

JOHENT TYPE:

Journal

JUNGE:

English

The development of new nonnucleoside inhibitors of human immunodeficiency
virus type-1 (HIV-1) reverse transcriptase (RT) active sgainst the
drug-induced mutations in RT continues to be a very important goal of AIDS
research. We used the known inhibitor of HIV-1 RT, 1-(2,6-diffluorophenyl)HH, 3H-thiazolo(3,4-a)benzimidazole (TZB), as the lead structure for drug
design with the objective of making more potent inhibitors against both
wild-type and variant RTs. A series of structurally related
1,2-substituted benzimidazoles was synthesized and evaluated for their
ability to inhibit in vitro polymerization by HIV-1 RT. A structure-activity
study was carried out for the series of compds. to determine the optimum groups
for substitution of the benzimidazole ring at the N1 and C2 positions.
The best inhibitor, 1-(2,6-diffluorobenzyl)-2-(2,6-diffluorophenyl)-4methylbenzimidazole, has an ICSO = 200 mH against HIV-1 RT in an in vitro
enzyme assay. Cyto-protection assays utilizing HIV-infected MT-4 cells
revealed that 35 had strong antiviral activity (ECSO = 440 mM) against
Mild-type virus while retaining broad activity against many clin. observed
HIV-1 strains resistant to nonnucleoside inhibitors.

199594-77-99
RI: BAC (Biological activity or effector, except advanced to the structure of the structur

199594-77-99
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of novel aryl-substituted benzimidazole nonnucleoside inhibitors of HIV reverse transcriptase)
199594-77-9 CAPLUS
HH-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 72 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 AMSWER 73 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Nov 1997
ACCESSION NUMBER: 1997:736648 CAPLUS
DOCUMENT NUMBER: 128:70650

TITLE: Effects of the dopamine D3 antagonist PD 58491 and its interaction with the dopamine D3 agonist PD 128907 on brain dopamine synthesis in rat

AUTHOR(S): Whetrel, S. Z.: Shih, Y. H.; Georgic, L. M.; Akunne, H. C.; Pugalay, T. A.

CORPORATE SOURCE: Psychiatric Disorders, Therapeutics, Parke-Davis Pharnaceutical Research Division, Warner-Lambert Co., Ann Arbor, MI, USA

SOURCE: Journal of Neurochemistry (1997), 69(6), 2363-2368

PUBLISHER: Lippincott-Raven Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The dopamine (DA) D3 receptor antagonist PD 58491 (3-[4-[1-[4-[2-[4-[3-diethylaminopropoxy]phenyl]-benzoimidazol-1-y1-butyl]-1H-benzoimidazol-2-y1]-phenoxylpropyl]diethylamine) bound with high affinity and selectivity to recombinant human DA DA 3v. DZ1 and D4.2 receptors transfected into Chinese hamster overy cells: Ki values of 19.5 nM vs. 2,362 and >3,000 nM, resp. In contrast, the putative DA D3 receptor antagonist (+)-AJ76

displayed low affinity and selectivity for D3 vs. DZ1 and D4.2 receptors (91 nM vs. 253 and 193 nM, resp.). In vitro, PD 58491 (1 nM-1 µM)
exhibited D3 receptor antagonist activity, reversing the quinpirole (10 nM)-induced stimulation of (3H)thymdine uptake in D3 ChOpro-5 cells, but did not have any significant intrinsic activity by itself in this assay. PD 58491 did not decrease the y-butyrolactone-induced increase in DA synthesis (L-3,4-dihydroxyphenylalanine accumulation) in rat striatum, indicating that the compound possessed no in vivo DA D2/D3 receptor agonist action at DA autoreceptors. PD 58491 (3-30 mg/kg, i.p.) generally did not alter DA or serotonin synthesis in either the striatum or mesolimbic region of rat brain. The D3-preferring agonist PD 72937 decreased DA synthesis in striatum and mesolimbic regions, and this effect was attenuated by prefreatment with PD 58491

RI: BAC (Biological activity or effector, except advers

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 73 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 29 Sep 1997
ACCESSION MUMBER: 1997:623154 CAPLUS
COCUMENT MUMBER: 127:293221

METHOD of treating or preventing interstitial cysticis using substituted benzimidazoles
INVENTOR(S): 4 Cysticis using substituted benzimidazoles
INVENTOR(S): 4 Lyengar, Smriti; Muhlhauser, Mark A.; Thor, Karl B.

SOURCE: 4 Li Lilly and Company, USA; Tyengar, Smriti;
Muhlhauser, Mark A.; Thor, Karl B.

POT Int. Appl., 121 pp.
COODN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: 4 English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: 4 English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. DATE KIND DATE WO 9733873 Al 19970318 WO 1997-US3895 19970307

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LV, MD, MG,
HK, MN, MH, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR,
TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH
RW: GH, KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG
CA 2248013 AA 19970918 CA 1997-2248013 19970307
JP 2000506529 TZ 20000310 JP 1997-522805 19970307
JP 2000506529 TZ 20000215 US 1998-125956 19980825
PRIORITY APPLN. INFO::

US 1996-13129P P 19960311
WO 1997-US3895 P 19960311 CA 1997-2248013 AU 1997-22078 JP 1997-532805 US 1998-125956 US 1996-13129P WO 1997-US3895

OTHER SOURCE(S): MARPAT 127:293221

The invention provides methods for the treatment or prevention of interstitial cystitis or urethral syndrome using substituted benzimidazoles I [RI, R2 = H, alkyl, alkoxy, (un)substituted Ph, cycloalkyl, naphthyl, heterocyclyl, phenylalkyl, heterocyclylalkoxy, etc.;

ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R3 = H, NO2, CF3, halo, alkanoyl, amino, alkyl, alkoxy, alkylthio,
cycloalkyl, (un)substituted heterocyclyl, amino, aminoalkoxy, aminoalkyl,
heterocyclylalkyl, heterocyclylalkoxy, etc.: onlyl or R1 and R2 may be H]
or their pharmaceutically acceptable salts or solvates. Approx. 170
synthetic examples of I are given, with the products serving as target
compds. and/or intermediates. Use of specific preferred compds. contg.
cyclic or acyclic amine sidechains is also claimed. For instance,
etherification of 1-benzyl-2-(3,4,5-trimethoxyphenyl)-6hydroxybenzimidarole-HC1 (prepn. given) with 4-(2-chloroethyl)morpholineHC1 in acctone in the presence of K2CO3 gave preferred title compd. II.
Methods for the bloassay and clin. evaluation of I are described (no
data).

Methods for the bloassay and clin. evaluation of I are described (modata).

14339-08-19, 1-{2-(Piperidin-1-y1)ethy1}-2-phenylbenzimidazole dihydrochloride 14339-09-49, 1-{2-(Piperidin-1-y1)ethy1}-2-phenylbenzimidazole 14339-10-79, 1-{2-(Morpholin-4-y1)ethy1}-2-phenylbenzimidazole dihydrochloride 175712-48-19, 1-{2-(Morpholin-4-y1)ethy1}-2-phenylbenzimidazole dihydrochloride 175714-49-59, 1-{2-(Dimethy1]-2-phenylbenzimidazole dihydrochloride 175714-49-59, 1-{2-(Dimethy1]-min-10-y1-2-phenylbenzimidazole dihydrochloride 175714-49-19, 1-{2-(Dimethy1]-2-phenylbenzimidazole dihydrochloride 175714-49-19, 1-{2-(Dimethy1]-2-phenylbenzimidazole dihydrochloride 175714-49-19, 1-{2-(Dimethy1]-3-phenylbenzimidazole BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROT (Reactant or reagent); USES (Uses) (product and/or intermediate; preparation of benzimidazole derivs. for treatment of interstitial cystitis) 14339-09-3 CAPLUS (1439-09-3 CAPLUS 1439-09-3 CAPLUS (1439-09-3 CAPLUS 1439-09-3 CAPLUS (1439-09-3 CA

●2 HC1

14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

175712-81-9 CAPLUS
1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

175714-49-5 CAPLUS
1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX
NAME)

L4 ANSWER 75 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 18 Sep 1997 ACCESSION NUMBER: 1997:595035 CAPLUS DOCUMENT NUMBER: 127:191188

TITLE: Derivatives of 5

127:191188
Derivatives of 5-amino-2-(p-aminophenyl)benzimidazole
as monomers for synthesis of high-strength thermally
stable anion exchangers
Gitis, Semen S.: Atroshchenko, Yurij M.; Shakhkeldyan,
Irina V.; Gradov, Viktor A.; Subbotin, Vladimir A.;
Fedotov, Yurij A.; Kirsh, Yurij E.; Timashov, Sergej INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

Russ. From: Izobreteniya 1997, (6), 161. CODEN: RUXXE7

DOCUMENT TYPE: Patent Russian l

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE RN 2074182 C1 19970227 RU 1992-8969 19921127

PRIORITY APPEN. INFO.: RU 1992-8969 19921127

AB Title only translated. RU 1992-8969 19921127

194298-83-69 194298-86-7P 194298-87-0P
194298-83-99 RL: SPN (Synthetic preparation): TEM (Technical or engineered material use): PREP (Preparation): USES (Uses)

(monomers for synthesis of high-strength thermally stable anion exchangers)

RN 194298-85-6 CAPLUS

CN 1H-Benzimidazole-1-ethanamine, 5-amino-2-(4-aminophenyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

194298-86-7 CAPLUS 1H-Benzimidazole-1-ethanamine, 5-amino-2-(4-aminophenyl)-N,N-diethyl-(SCI) (CA INDEX NAME)

194298-87-8 CAPLUS

L4 ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 17 Sep 1997
ACCESSION NUMBER: 1997:594631 CAPLUS
DOCUMENT NUMBER: 127:262677

TITLE: Methods of treating or preventing sleep apnea using di- and trisubstituted benzimidazoles

INVENTOR(S): Gitter, Bruce D.: Jyengar, Smriti

PATENT ASSIGNEE(S): Eil Lilly and Co., USA: Gitter, Bruce D.: Jyengar, Smriti

Smriti PCT Int. Appl., 117 pp. CODEN: PIXXD2 Patent English SOURCE:

DOCUMENT TYPE:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9731635 A1 19970904 WO 1997-US3113 19970226

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LU, LV, MD, MG, MK, MM, MM, KN, NO, NZ, LP, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, MM, RM, RE, SN, TD, TG

AU 9721390 A1 19970916 AU 1997-21390 19970226

US 6030992 A 20000229 US 1988-142026

PRIORITY APPLN. INFO:

OTHER SOURCE(S):

$$R^3$$
 $R^3$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 

This invention provides methods for the treatment or prevention of sleep apnea (no data) using substituted benzimidazoles I [RI, R2 = H, alkyl, alkoxy, (un)substituted heterocyclyl, phenylalkoxy, phenylalkylidenyl, heterocyclylalkoxy, etc.: R3 = H, NOZ, alkanoyl, alkyl, alkoxy, halo, (un)substituted amino, heterocyclyl, heterocyclylalkoxy, hydroxyalkyl, etc.; provided that both of R1 and R2 cannot be H] and their pharmaceutically acceptable salts or solvates. Examples include 174 syntheses of I, including both the preferred amine-containing target compds.,

ANSMER 75 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-1-ethanaminium, 5-amino-2-(4-aminophenyl)-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

194298-88-9 CAPLUS 1H-Benzimidazole-1-ethanaminium, 5-amino-2-(4-aminophenyl)-N,N-diethyl-N-methyl-, iodide (9CI) (CA INDEX NAME)

ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) and other compds. I serving primarily as intermediates. Eleven pharmaceutical formulations are also given. For instance, the intermediate compd. I.KCl (Rl = 3,4,5-trimethoxyphenyl; R2 = CH2Ph; R3 = 6-0H) (prepd. in 3 steps from 4-amino-3-nitrophenol) was etherified with 4-(2-chloroethyl)morpholine-HCl using K2CO3 in acetone to give a preferred title compd., II.
5322-96-3P 14339-09-19 14339-09-4P
14339-10-7P 145712-81-9P 175714-49-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent; USES (Uses) (drug and/or intermediate; preparation of benzimidazoles for treatment or prevention of sleep apnea)
5322-96-3 CAPLUS
1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl)-2-phenyl- (9CI) (CA INDEX NAME)

14339-08-3 CAPLUS
1H-Benzimidazole, 2-phenyi-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

14339-09-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

14339-10-7 CAPLUS

HH-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

●2 HC1

1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME) 175712-81-9

●2 HC1

175714-49-5 CAPLUS
1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX

ANSWER 77 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

This invention provides a series of benzimidazoles, substituted in the 1-position by a variety of groups, substituted in the 2-position by certain carbocycle-containing groups, and optionally substituted in positions 4-7. The compds. are useful in treating or preventing conditions associated with an excess of neuropeptide Y. The invention also provides methods employing the compds., as well as pharmaceutical formulations comprising one or more of them as active ingredients. Many of the compds. are said to show significant activity as neuropeptide Y receptor antagonists, with Ki of 10 µM to 0.1 nM (no addnl. data). Over 360 synthetic examples are given, in which the invention compds. serve as both intermediates and/or final products. Addnl. prepns. of non-invention compds are also provided. For instance, 2-[(4-chlorophenoxy)methyl]-4-methylbenzimidazole underwent N-alkylation by BrcMcZMCZMCMCGZCET using NSH in DMF (981), and the product underwent a sequence of saponification (941), amidation with 4-phenylpiperidine using DCC and HOBt (561), and amide reduction using BH3.THF (721), to give title compound I. 198624-0-3-P193627-03-IP RL: BBC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant): SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (USes) (invention compound; preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)
193626-40-3 CAPLUS

193627-03-1 CAPLUS |H-Benzimidazole, 2-(4-chlorophenyl)-1-[3-(3-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 01 Sep 1997
ACCESSION NUMBER: 1997:556107 CAPLUS

DOCUMENT NUMBER: 1297:161824

Benzimidazolyl neuropeptide Y receptor antagonists

Arnold, Macklin B.: Britton, Thomas C.: Bruns, Robert F., Jr.: Cantrell, Buddy E.: Happ, Anne M.: Hipskind, Philip A.; Howbert, James J.; Lobb, Karen L.: Nixon, James A.: Ornstein, Paul L.: Smith, Edward C.: Zarrimayeh, Hamideh: Zimmerman, Dennis M.

Eli Lilly and Co., USA

POCUMENT TYPE: Patent Anguage: Pixxoz

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: 1

English

FAMILY ACC. NUM. COUNT: 1

English

	ENT																	
						-												
WO	9725	041			A1		1997	0717	1	10 1	997-1	US 5 1	1		1	9970	109	
	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DΕ,	
		DK.	EE,	ES,	FI,	GB,	GE,	HU,	IL.	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
					LT,													
					SE,													
					KZ.													
	RW:	KE.	LS.	MW.	SD.	SZ.	UG.	AT.	BE.	CH.	DE.	DK.	ES.	FI.	FR.	GB.	GR.	
		IE.	IT.	LU.	MC,	NL.	PT.	SE.	BF.	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	
					TD.								-					
CA	2242	579			AA		1997	0717		CA 1	997-	2242	579		1	9970	109	
ΑU	9722	421			Al		1997	0801		AU 1	997-	2242	1		1	9970	109	
EP	8714	42			Al		1998	1021		EP 1	997-	9055	73		1	9970	109	
	R:	AT.	BE.	CH,	DE,	DK.	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	F)
JР	2000																	
US	6255	494			В1		2001	0703		US 1	997-	7755	38		1	9970	109	
	9704																	
US	2002	0070	71		A1		2002	0117		US 2	000-	7262	76		2	0001	130	
	APP														A 1	9960	109	
										US 1	996-	2163	6P		P 1	9960	712	
										US 1	997-	7755	38		A3 1	9970	109	
										WO 1	997-	US51	1		W 1	9970	109	

OTHER SOURCE(S): MARPAT 127:161824

L4 ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 07 Aug 1997
ACCESSION NUMBER: 1997:499703 CAPLUS
DOCUMENT NUMBER: 127:199623
Studies on analgesic and anti-inflammatory activities of 1-dialkylaminomethyl-2-(p-substituted phenyl)-5-substituted benzimidazole derivatives phenyl)-5-substituted benzimidazole derivatives resulted. Fac. Pharmacy, Gazi University, Ankara, 06330, Turk. SOURCE: Fac. Pharmacy, Gazi University, Ankara, 06330, Turk. Arznelmittel-Forschung (1997), 47(7), 834-836 CODEN: ARZNAD: ISSN: 0004-4172
Cantor DOCUMENT TYPE: Journal English

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Cantor
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The analgesic and anti-inflammatory activity of 1,2,5-trisubstituted

benzimidazole derivs. have been examined Analgesic activities of these compds. were investigated by using the modified Koster test. Among the compds. synthesized especially compound

1-ddiethylaminomethyl)-2-[p-chlorophenyl]5-nitro benzimidazole hydrochloride (1) showed higher activity than acetylsalicylic acid (ASA) and indomethacin. Compds. 1(diethylaminomethyl)-2-(p-thylaminomethyl)-2-[p-tolyl)-5-nitro benzimidazole hydrochloride, 1-(diethylaminomethyl)-2-(p-tolyl)-5-nitro benzimidazole hydrochloride, and 1-[plpenridinomethyl)-2-(p-tolyl)-5-nitro benzimidazole hydrochloride, so the state of th

190439-25-9 CAPLUS
1H-Benzimidazole, 5-methoxy-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

190439-26-0 CAPLUS
1H-Benzimidazole, 5-methoxy-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

CAPLUS

Benzimidazole-1-methanamine, N.N-diethyl-2-(4-methoxyphenyl)-5-nitro-, nohydrochloride (9CI) (CA INDEX NAME)

• HC1

190439-28-2 CAPLUS

IH-Benzimidazole-1-methanamine, N,N-diethyl-2-{4-methylphenyl}-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

194604-66-5 CAPLUS
1H-Benzimidazole, 2-(4-methoxyphenyl)-5-methyl-1-(4-morpholinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

05/24/2005

(Continued)

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-NEt2

• HC1

190439-31-7 CAPLUS 1H-Benzimidazole-1-methanamine, 2-(4-chlorophenyl)-N,N-diethyl-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

190439-32-8 CAPLUS
1H-Benzimidazole-1-methanamine, N,N-diethyl-5-nitro-2-(4-nitrophenyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HC1

190439-34-0 CAPLUS
1H-Benzimidacole, 2-(4-methoxyphenyl)-5-nitro-1-(1-piperidinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 79 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 04 Aug 1997 ACCESSION NUMBER: 1997:484079 CAPLUS DOCUMENT NUMBER: 127:205518

DOCUMENT NUMBER:

127:205518

Rapid in-plate generation of benzimidazole libraries and amide formation using EEDQ

Thomas, James B.; Fall, Michael J.; Cooper, Julie B.; Burgess, Jason P.; Carroll, F. Ivy

Chem. and Life Sciences, Research Triangle Inst., Research Trianlge Park, NC, 27709, USA

Tetrahedron Letters (1997), 38(29), 5099-5102

CODEN: TELEAY; ISSN: 0040-4039

Elsevier

Journal AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: JOURNAL
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:205518

AB A solution phase method for the preparation of etonitazene-related
benzimidazoles
and a general method for the preparation of amide derivs. in 96-well format
have been developed for the generation of libraries of compds. in
parallel.

IT 194537-63-P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of etonitazene-related benzimidazoles and amide derivs.)
RN 194537-63-2 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, N,N-diethyl-5-nitro-2-phenyl- (9CI) (CA
INDEX NAME)

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 12

L4 ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 02 May 1997 ACCESSION NUMBER: 1997:281512 CAPLUS DOCUMENT NUMBER: 127:17624

127:17624
Synthesis and antimicrobial activity of 5-substituted l-dialkylaminomethyl-2-arylbenzimidazole derivatives Ersan, Seyhan: Nacak, Sultan; Acar, Nilgun; Noyanalpan, Ningur Faculty Pharmacy, Gazi University, Ankara, TR-06330, Turk.

AUTHOR (S):

CORPORATE SOURCE:

Faculty Pharmacy, Gari University, Ankara, TR-Turk. Arrheimittel-Forschung (1997), 47(4), 410-412 CODEN: ARZNAD; ISSN: 0004-4172 Cantor Journal English SOURCE:

PUBLISHER:

$$R$$
  $N$   $R^{1}$   $R^{2}$ 

The title compds. I.HCl (R = Me, MeO, NO2; Rl = Me, MeO, NO2, Cl; R2 = piperidino, morpholino, NSt2) were prepared by reaction of appropriate 2-phenylbenzimidazoles with H2CO and a secondary amine. Microdilution susceptibility tests in Mueller-Hinton and Sabouraud dextrose broth were used for the determination of antibacterial and antifungal activities of ds. I

used for the determination of anisaterial and altifulgs activities of ds. I against Staphylococcus, Enterococcus, Escherichia, Pseudomonas, and Candida. Compds. I (R = Rl = Me, R2 = piperidino; R = MeO, R1 = MeO or Me, R2 = morpholino; R = NO2, R1 = MeO, R2 = NEt2 or piperidino) showed slight to moderate activity against all microorganisms. Compound I (R = NO2, R1 = C1, R2 = NEt2) showed the highest activity. It was found more potent than streptomycin against Enterococcus faecalis and Pseudomonas aeruginosa.
190439-23-7P 190439-24-BP 190439-25-9P
190439-31-7P 190439-27-1P 190439-26-2P
190439-31-7P 190439-27-1P 190439-24-BP
RL: BBC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BTOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of (dialkylaminomethyl)arylbenzimida zoles)
190439-23-7 CAPLUS

zoles)
190439-23-7 CAPLUS
1H-Benzimidazole, 5-methyl-2-(4-methylphenyl)-1-(1-piperidinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HC1

190439-24-8 CAPLUS 199139-24-0 CARLOS HH-Benzimidazole, 5-methoxy-2-(4-methoxyphenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

• HCl

190439-25-9 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

O HC1

190439-26-0 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

190439-27-1 CAPLUS 130435-2--- CAPEDS
H-Benzimidazole-1-methanamine, N,N-diethyl-2-(4-methoxyphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

021 CH2-NEt2

• HC1

CAPLUS H-Benzimidazole-1-methanamine, N,N-diethyl-2-(4-methylphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

021 CH2-NEt2

● HCl

190439-31-7 CAPLUS H-Benzimidazole-1-methanemine, 2-(4-chlorophenyl)-N,N-diethyl-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME) ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

• HC1

190439-32-8 CAPLUS 1H-Benzimidazole-1-methanamine, N,N-diethyl-5-nitro-2-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

190439-34-0 CAPLUS 1H-Benzimidazole, 2-(4-methoxyphenyl)-5-nitro-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

L4 ANSWER 81 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 21 Sep 1996
ACCESSION NUMBER: 1996:563632 CAPLUS
DOCUMENT NUMBER: 125:300996
TITLE: 25:300996
Preparation of benzimidazoles useful for treating physiological disorders associated with β-amyloid peptide
INVENTOR(S): Lunn, William H. W.; Monn, James A.; Zimmerman, Dennis H.

PATENT ASSIGNEE(S): SOURCE:

M.
Eli Lilly and Company, USA
U.S., 30 pp.
CODEN: USXXAM
Patent
English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5552426	А	19960903	US 1994-235400	19940429
PRIORITY APPLN. INFO.:			US 1994-235400	19940429
OTHER SOURCE(S):	MARPAT	125:300996		

The title compds. [I; Rl = H, alkoxy, (un)substituted alkyl, (un)substituted Ph, (un)substituted naphthyl, (un)substituted cycloalkyl; R2 = H, alkyl, alkoxy, (un)substituted Ph, (un)substituted aphthyl, etc.; R3 = H, alkanoyl, anino, alkyl, cycloalkyl, halogen, alkylthio, Cf3, etc.] (e.g., l-phenyl-2-[3,4-dimethylphenyl]-6-[2-(1-piperidinyl)ethoxylphenzimidazole], which are useful in treating or piperwenting conditions associated with β-amyloid peptide (e.g., Alzheimer's disease, Down's syndrome, etc.), are prepared and I-containing formulations presented are the second of the second conditions presented and I-containing formulations presented activity or effector, except adverse); BSU (Biological Study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzimidazoles useful for treating physiol. disorders associated with β-amyloid peptide):
14339-08-3 CAPUS
14-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride

1433-08-3 CAPLUS
HH-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride
(9CI) (CA INDEX NAME)

1.4 ANSWER 81 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

●2 HC1

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride 1H-Benzimidazole, 1-[2 (9CI) (CA INDEX NAME)

175712-81-9 CAPLUS
1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride
(9C1) (CA INDEX NAME)

●2 HC1

5322-96-3P 14339-09-4P 175714-49-5P 53ZZ-98-JF 143J9-09-4P 175714-49-5F
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazoles useful for treating physiol. disorders associated with B-amyloid peptide)
53ZZ-96-3 CAPLUS

1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX

L4 ANSWER B1 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

CAPLUS 1H-Benzimidazole-1-ethanamine, N.N-dimethyl-2-phenyl- (9CI) (CA INDEX

L4 ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 30 Apr 1996 ACCESSION NUMBER: 1996:252224 CAPLUS DOCUMENT NUMBER: 124:289536

TITLE:

124:289536
Preparation of benzimidazole derivatives as non-peptide tachykinin receptor antagonists Burns, Robert Frederick, Jr.: Gitter, Bruce Donald; Monn, James Allen; Zimmerman, Dennis Michael Eli Lilly and Co., USA
Can. Pat. Appl., 143 pp.
CODEN: CPXXEB
Patent INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE: Patent

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PATENT NO.	KIND DATE	E APP	LICATION NO.	DATE
CA 2148053	AA 199	51030 CA	1995-2148053	19950427
EP 694535	A1 199	60131 EP	1995-302707	19950424
R: AT, BE, CH,	DE, DK, ES,	FR, GB, GR	, IE, IT, LI, LU,	NL, PT, SE
ZA 9503311			1995-3311	19950424
BR 9501770	A 199	51121 BR	1995-1770	19950425
AU 9517656	A1 199	51109 AU	1995-17656	19950426
CN 1113236	A 199	51213 CN	1995-104725	19950426
NO 9501613	A 199	51030 NO	1995-1613	19950427
FI 9502064	A 199	51030 FI	1995-2064	19950428
HU 70637	A2 1995	51030 HU	1995-1249	19950428
JP 08109169	A2 199	60430 JP	1995-105297	19950428
RIORITY APPLN. INFO.:		US	1994-235401	A 19940429
THER SOURCE(S):	CASREACT 1	24:289536; M	ARPAT 124:289536	
I				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. [I; Rl, R2 = H, Cl-Cl2 alkyl, Cl-C6 alkoxy, etc.: R3 = H, NO2, Cl-C6 alkanoyl, etc.], useful in treatment of CNS disorders, acute and chronic obstructive airway diseases, inflammatory diseases, allergies, cutaneous diseases, etc., were prepared and formulated. Condensation of 4,3-H2N(OZN)CGM3OH with 3,4,5-(MeO)3CGM2COC1 in PhNMe2/PhMe followed by reaction of the intermediate II with PhCN under H2 in the presence of Pd/C in DMF, cyclization of the intermediate III using PoCl3/CHCl3, deprotection of the 6-OH group with 1N NaOH/THF and acidification with 1N HCl afforded I.HCl [R1 = 3,4,5-(MeO)3CGH2: R2 = PhCH2: R3 = 6-OH] which showed IC50 of 1.130 µH against binding to human NN-1 receptor in cultured cell assays.

showed ICSO of 1.130 µM against binding to human NX-1 receptor in cultured cell assays.

14339-08-3P 14339-10-7P 175712-81-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as non-peptide tachykinin receptor antagonists)

14339-08-3 CAPUS
H-Benzimidazole, 2-phenyl-1-{2-(1-piperidinyl)ethyl}-, dihydrochloride
(9CI) (CA INDEX NAME)

#### 05/24/2005

ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

175712-81-9 CAPLUS
1H-Benzimidazole-1-ethanamine, N.N-dimethyl-2-phenyl-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

5322-96-3P 14339-09-4P 175714-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of benzimidazole derivs. as non-peptide tachykinin receptor
antagonists)
5322-96-3 CAPUS antagonists)
5322-96-3 CAPIUS
1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 83 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 08 Mar 1996 ACCESSION NUMBER: 1996:137359 CAPLUS DOCUMENT NUMBER: 124:276799

DOCUMENT NUMBER: TITLE:

124:276799
Synthesis and characterization of iron(III) complexes with N,N'-bis(2-phenylbenzimidazolyl)methane
Prasad, Magan; Mathur, Pavan
Department Chemistry, University Delhi, Delhi, 110
007. India
Indian Journal of Chemistry, Section A: Inorganic,
Bio-inorganic, Physical, Theoretical & Analytical
Chemistry (1996), 35A(1), 55-6
CODEN: ICACEC; ISSN: 0376-4710
Publications & Information Directorate, CSIR
Journal

AUTHOR(S): CORPORATE SOURCE:

SOURCE:

PUBLISHER:

PUBLICATIONS & Information Directorate, CSIR

DOCUMENT TYPE: Journal
LANGUAGE: English
AB The potentially bidentate ligand, N,N'-bis(2-phenylbenzimidazoly)]methane
(BPEN) was used to synthesize iron(III) complexes, [FeCl3(BPBM)].3H2O and
[Fe(NO3)3(BPEN)].H2O. IH NNR spectra showed both upfield and downfield
shifted peaks for ligand protons. The Moessbauer spectral data reveal
high spin ferric ion and lower value of isomer shift indicates substantial
covalency in Fe(III) ligand bond. The present Fe(III) complexes appear to
activate the oxidation of tetramethylphenylenediamine by mol. oxygen.

IT 94154-68-40, N,N'-Bis(2-phenylbenzimidazolyl)neuchane
RL: RCT (Reactant): SPN (Synthetic preparation); FREP (Preparation); RACT
(Reactant or reagent)

(Preparation and complexation with iron(III))
RN 94154-68-4 CAPUUS
CN 1H-Benzimidazole, 1,1'-methylenebis(2-phenyl- (9CI) (CA INDEX NAME)

175292-26-9P 175292-27-0P RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);

USES (Uses)
(preparation and oxidation of tetramethylphenylenediamine by mol. oxygen in

presence of)
175292-26-9 CAPLUS
1ron, trichloro[1,1'-methylenebis[2-phenyl-1H-benzimidazole]-N3]-,
trihydrate (9CI) (CA INDEX NAME)

L4 ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

14339-09-4 CAPLUS
1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

175714-49-5 CAPLUS
1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 83 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

●3 H<sub>2</sub>O

175292-27-0 CAPLUS
Iron, [1,1'-methylenebis[2-phenyl-1H-benzimidazole]-N3]tris(nitrato-0)-,
monohydrate [9CI] (CA INDEX NAME)

₱ H2O

L4 ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 08 Dec 1995
ACCESSION NUMBER: 1995:972519 CAPLUS
DOCUMENT NUMBER: 124:145995
TITLE: Synthesis and biological activity of some new 2-alkyl-1-(1'-dihydropyridylmethyl)benzimidazoles
AUTHOR(S): Hane, D. V.; Shinde, D. B.; Thore, S. N.; Shingare, M. S.

Mane, D. V.: Shinde, D. B.; Thore, S. N.; Shingare, H. S.
Dep. Chem., Dr. Babasaheb Ambedkar Marathawada Univ.,
Aurangabad, 431 004, India
Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1995),
34B(10), 917-19
CODEN: IJSBDB: ISSN: 0376-4699
Publications & Information Directorate, CSIR
Journal
English
CASREACT 124:145995 CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

The synthesis and biol. evaluation of 2-alkyl-1-(1'-dihydropyridylmethyl)benzimidazoles I (R = H, Me, Et, Ph; R1 = Me, OMe, OEt, R2 = H, 4-Me, 4-OMe, 2-Me, 3-OMe, 4-Cl, 4-Br, 4-NO2, etc.) are described. The compads were prepared by condensing benzimidazoles II with HCHO and dihydropyridines III. These compds. have been found to possess promising antibacterial and antifungal activities. 173470-34-39 173470-35-4P 173470-35-6P 173470-39-8P 173470-4-19 173470-41-2P 173470-41-2P 173470-41-2P 173470-41-2P RJ: BBC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, bactericidal, and fungicidal activity of (pyridylmethyl)benzimidazoles) 173470-43-3 CAPLUS Ethanone, 1,1'-[1,4-dihydro-2,6-dimethyl-4-phenyl-1-((2-phenyl-1H-benzimidazol-1-yl)methyl]-3,5-pyridinediyl)bis- (9CI) (CA INDEX NAME)

ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

173470-38-7 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(4-methylphenyl)-1-((2-phenyl)-1H-benzimidazol-1-yl)methyl)-, dimethyl ester (9CI) (CA INDEX NAME)

173470-39-8 CAPLUS 1.74.74.39-6. childs: 3,5-Pyridinedicarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-2,6-dimethyl-1-((2-phenyl-1H-benzimidazol-1-yl)methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

173470-40-1 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(4-nitrophenyl)-1-((2-phenyl-1H-benzimidazol-1-yl)methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-35-4 CAPLUS Ethanone, 1,1'-[1,4-dihydro-4-(4-methoxyphenyl)-2,6-dimethyl-1-((2-phenyl-1H-benzimidazol-1-yl)methyl]-3,5-pyridinediyl]bis-(9CI) (CA INDEX NAME)

173470-36-5 CAPLUS
Ethanone, 1,1'-[1,4-dihydro-2,6-dimethyl-4-(4-methylphenyl)-1-[(2-phenyl-lh-benzimidazol-1-yl)methyl)-3,5-pyridinediyl]bis- (9CI) (CA INDEX NAME) RN CN

173470-37-6 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-phenyl-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-41-2 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-phenyl-1-{(2-phenyl-1H-benzimidazol-1-yl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)

173470-42-3 CAPLUS
3,5=<u>Pyridinedicarhoxylic acid, 1,4-dihydro-2,6-dimethyl-4-(4-methylphenyl)</u>
1-((2-phenyl-1H-benzimidazol-1-yl)methyl)-, diethyl ester (9CI) (CA INDEX NAME)

3,5-Pyridinedicarboxylic acid, 1,4-dihydro-4-(4-methoxyphenyl)-2,6-dimethyl-1-((2-phenyl-1H-benzimidazol-1-yl)methyl)-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-44-5 CAPLUS RN CN 3,5-Pyridinedicarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-2,6-dimethyl-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-, diethyl ester (9CI) (CA INDEX

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 164917-19-5 CAPLUS 1-Propanamine, 3,3'-[2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-phenylenecxy)]bis(N,N-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-22-0 CAPLUS
1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy)}bis[N,N-dimethyl-, (E)- (9CI) (CA INDEX NAME)

ible bond geometry as shown.

164917-23-1 CAPLUS
1H-Benzimidazole, 1,1'-{2E}-2-butene-1,4-diylbis[2-{4-[3-{1-piperidinyl)propoxy]phenyl}- {9CI} (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 14 Nov 1995 ACCESSION NUMBER: 1995:915314 CAPLUS DOCUMENT NUMBER: 124:75520 Little: Discovery of selective dopamine

ED Entered STM: 14 Nov 1995
ACCESSION NUMBER: 124:75520
Discovery of selective dopamine D3 ligands. I. Dimeric 2-(4-(3-aminopropoxy)phenyl)benzimidazole antagonists Wright, Jon: Downing, Dennis: Neffner, Thomas: Pugsley, Thomas: Hackenzie, Robert: Wise, Lawrence Dep. Chemistry and Therapeutics, Div. Warner-Lambert Company, Anna Robert, M. (48105, USA Bioorganic & Medicinal Chemistry Letters (1995), 5(21), 2541-6
CODEN: EMCLES: ISSN: 0960-894X
Elsevier
DOCUMENT TYPE: Journal LANGUAGE: English
AB A novel series of dimeric 2-[4-(3-aminopropoxy)phenyl]benzimidazole dopamine (DA) DJ receptor antagonists has been discovered. Most of the dimeric structure is needed for DA binding activity: however, a second basic nitrogen atom is not required. A representative compound had no effects on DA synthesis in rat brain but inhibited spontaneous locomotor activity in mice and stimulated locomotor activity in habituated rats.

IT 164917-13-4P 164917-23-9P 164917-27-5P 164917-23-9P 164917-23-9P 164917-23-9P 164917-23-9P 164917-23-9P 172753-66-3P 1727

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-25-3 CAPLUS
1-Butanamine, N,N'-[2-butene-1,4-diylbis(|H-benzimidazole-1,2-diyl-4,1-phenyleneoxy-3,1-propanediyl)|bis(N-butyl-,(E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-27-5 CAPLUS 1-Propanamine, 3,3'-{2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-penyleneoxy)|bis[N,N-diethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-29-7 CAPLUS 1-Propanamine, 3,3'-[1,4-butanediylbis(lH-benzimidazole-1,2-diyl-4,1-phenyleneoxy)]bis[N,N-diethyl- (9CI) (CA INDEX NAME)

172753-60-5 CAPLUS
1-Propanamine, 3,3'-(2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy))bis[N,N-diethyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-63-8 CAPLUS
1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-64-9 CAPLUS
1-Propanamine, N.N-diethyl-3-[4-[1-[4-(2-methyl-1H-benzimidazol-1-yl])-2-butenyl]-1H-benzimidazol-2-yl]phenoxyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

05/24/2005

L4 ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-61-6 CAPLUS
1-Propanamine, 3,3'-(1,6-hexanediylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy))bis[N,N-diethyl- (9CI) (CA INDEX NAME)

172753-62-7 CAPLUS
1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis{2-[4-[3-(4-morpholinyl)propoxy]phenyl}-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-65-0 CAPLUS

1-Propanamine, N,N-diethyl-3-{4-[1-[4-(2-phenyl-1H-benzimidazol-1-yl)-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-66-1 CAPLUS
1-Propanamine, N.N-diethyl-3-[4-[1-[4-[2-(4-methoxyphenyl)-1H-benzimidazol-1-yl]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-67-2 CAPLUS
1-Propanamine, 3-[4-[1-[4-[2-[4-(3-cyclohexy]propoxy]phenyl]-1H-benzimidazol-1-yl]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-N, N-diethyl-, (E)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

(Continued)

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

172753-68-3 CAPLUS
1-Propanamine, N.N-diethyl-3-[4-[1-[4-[2-[4-[3-[4-phenyl-1-piperazinyl)propoxy]phenyl]-1H-benzimdazol-1-yl]-2-butenyl]-1H-benzimdazol-2-yl]phenoxyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 86 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) polymer with 2-[[4-[[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

3779-63-3 C24 H36 N6 O6

L4 ANSWER 86 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 14 Sep 1995
ACCESSION NUMBER: 1995:791933 CAPLUS
DOCUMENT NUMBER: 123:200232
TITLE: Rifuschia. Bifunctional dyes for cross-linked nonlinear optical Bifunctional dyes for cross-linked nonlinear optical polymers
White, Kenneth M.; Cross, Elisa M.; Francis, Cecil V.; Moshrefzadeh, Robert S.
Photonics Res. Lab., 3M Company, St. Paul, MN, 55144-1000, USA
ACS Symposium Series (1995), 601(Polymers for Second-Order Nonlinear Optics), 401-11
CODEN: ACSMC8; ISSN: 0097-6156
American Chemical Society
Journal AUTHOR (S): CORPORATE SOURCE: SOURCE . PUBLISHER DOCUMENT LANGUAGE: MENT TYPE: Journal UNGE: English English The incorporation of bifunctional (amino alc.), nonlinear optical dyes into Tolonate HDT-based, crosslinked polyurea-polyurethanes via a two-step pole and cure process has produced materials that have significant potential for use in thin film electrooptic devices. Exptl. results for two dyes that have been designed and synthesized for these polymer systems are presented and compared. Second-harmonic generation, electrooptical, and thermally stimulated current measurements have been employed to determine the magnitude of the nonlinear optical response and its temporal stability in these materials. Thermal stability of the response was also investigated.

159633-59-7 159633-60-0

BIL PRP (Properties)

199633-59-7 159633-60-0
RE: PRP (Properties)
 (optical nonlinear polyurea-polyurethanes)
159633-59-7 CAPLUS
Ethanol, 2-[[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2yl]phenyl]azolphenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA
INDEX NAREA)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

2 CM

118550-50-8 Unspecified MAN

STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*
159633-60-0 CAPLUS
1,3,5-Triazine-2,4,6(lH,3H,5H)-trione, 1,3,5-tris(6-isocyanatohexyl)-,

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 09 Jun 1995
ACCESSION NUMBER: 1995:602401 CAPLUS
DOCUMENT NUMBER: 123:55882
Limeric benzimidazoles as selective dopamine D3 receptor antagonists
Downing, Dennis M.; Wise, Lawrence D.; Wright, Jonathan L.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA
U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A 19950509 US 1994-240354 A 19950116 W 1995-US3814 BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, UA, DE, DK, ES, FR, GB, GR, IE, TT, LU, MC, A1 19951129 AU 1995-21976 A 19960111 ZA 1995-2751 US 5414010
W0 9530658
W: AM, AU, BG,
LV, MD, MX,
RM: AT, BE, CH,
AU 9521976
ZA 9503751
PRIORITY APPLN. INFO:: 19940510 KR, KZ, LT, UZ NL, PT, SE 19950323 19950509 19940510 19950327 OTHER SOURCE(S): MARPAT 123:55882

Dimeric benzimidazoles I [wherein R is NRIR2 wherein R1 and R2 are each the same or different and each is alkyl of from 1 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, alkynyl of from 2 to 6 carbon atoms, arylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl ring or R is II; X is alkyl of from 2 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, is o(CH2)n wherein n is an integer of from 2 to 6, or CONH(CH2)p wherein p is zero or an integer of from 1 to 6; and 2 is hydrogen, hydroxyl, alkyl of from 1 to 6 carbon atoms, alkoxy of from 1 to 6 carbon atoms, or Y--R wherein Y and R are as defined above: and corresponding isomers thereof; or a pharmaceutically acceptable acid addition salt thereof) are described, as well as methods for the preparation and pharmaceutical composition of same, h

which are useful as central nervous system agents and are particularly useful as antipsychotic agents and for the treatment of disorders which respond to dopaminergic blockade including psychotic depression, substance abuse, and ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) compulsive disorders. Thus, e.g., alkenylation of 2-[4-[3-[1-pyrrolidiny]]propoxy]phenyl]-1H-benzimidazole (prepn. given) with trans-1,4-dichloro-2-butene afforded (E)-1,1'-(2-butene-1,4-diy]]bis[2-[4-[3-(1-pyrrolidinyl])propoxy]phenyl]-1H-benzimidazole) which inhibited [3H]spiperone binding to human D3 receptors with IC50 = 9 nM vs. 56 nM for human D2 receptors.

164917-19-59

166917-19-5P
RI: BAC (Biological activity or effector, except adverse): BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES

(Uses) (dimeric benzimidazoles as selective dopamine D3 receptor antagonists) 164917-19-5 CAPLUS
1-Propanamine, 3,3'-[2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-phenyleneoxy)]bis[N,N-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-10-4P 164917-20-8P 164917-22-0P
164917-23-1P 164917-24-2P 164917-25-3P
164917-26-4P 164917-27-5P 164917-28-6P
164917-26-4P 164917-30-0P 164917-31-1P
164917-32-2P 164917-30-0P 164917-31-1P
164917-32-2P 164917-33-3P 164917-31-4P
164917-32-2P 164917-33-3P 164917-31-4P
164917-32-2P 164917-33-3P 164917-31-4P
164917-32-2P 164917-33-4P
164917-32-2P 164917-33-4P
164917-18-4P
16491

Double bond geometry as shown.

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-23-1 CAPLUS
1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-24-2 CAPLUS 1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-3,1-phenyleneoxy)]bis[N,N-diethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-20-8 CAPLUS 1-Propanamine, 3,3'-[2-butene-1,4-diylbis[1H-benzimidszole-1,2-diyl-4,1-phenyleneoxy)]bis[N,N-dipropyl-, (2)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

164917-22-0 CAPLUS
1-Propanamine, 3,3'-{2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-phenylenexy)|bis(N,N-dimethyl-, (E)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-25-3 CAPLUS
1-Butanamine, N,N'-[2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-phenyleneoxy-3,1-propanediyl))bis(N-butyl-, (E)- (9CI) (CA INDEX NAME)

164917-26-4 CAPLUS 1-Propanamine, 3,3'-[2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1-phenyleneoxy)]bis[N-methyl-N-(1-methylethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-27-5 CAPLUS
1-Propanamine, 3,3'-[2-butene-1,4-diylbis(]H-benzimidazole-1,2-diyl-4,1-phenyleneoxy)|bis(N,N-diethyl-, (E)- [9C]) (CA INDEX NAME)

Double bond geometry as shown.

164917-28-6 CAPLUS 1-Propanamine, 3,3'-{1,4-butanediylbis(lH-benzimidazole-1,2-diyl-4,1-phenyleneoxy)}bis(N,N-dipropyl- (9CI) (CA INDEX NAME)

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 164917-31-1 CAPLUS 1-Propanamine, 3-{4-[1-[4-[2-(4-methoxypheny1)-1H-benzimidazol-1-y1]-2-buteny1]-1H-benzimidazol-2-y1}phenoxy]-N,N-dipropy1- (9CI) (CA INDEX NAME)

164917-32-2 CAPLUS 1-Propanamine, 3-[4-[1-[4-[4-[4-[4-[4-[4-phenyl-1-piperazinyl)propoxylphenyl]-1H-benzimidazol-1-yl]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown

164917-33-3 CAPLUS
Benzamide, 4,4'-(1,4-butanediylbis(lH-benzimidazole-1,2-diyl)]bis[N-[(l-thyl-2-pyrolidinyl)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-29-7 CAPLUS 1-Propanamine, 3,3'-(1,4-butanediylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy)|bis[N,N-diethyl- (9CI) (CA INDEX NAME)

164917-30-0 CAPLUS 1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-34-4 CAPLUS
Benzamide, 4,4'-(1,4-butanediyibis(1H-benzimidazole-1,2-diy1))bis{N-[2-diethylaminolethyl]- (9CI) (CA INDEX NAME)

Et2N-CH2-CH2-NH

164917-38-8P, 4,4'-{1,4-Butanediyldi-lH-benzimidazol-1,2-diyl)benzoic acid, dimethyl ester 164917-39-9P, 4,4'-(1,4-Butanediyldi-lH-benzimidazol-1,2-diyl)benzoic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (dimetic benzimidazoles as selective dopamine D3 receptor antagonists) 164917-38-8 CAPLUS Benzoic acid, 4,4'-[1,4-butanediylbis(lH-benzimidazole-1,2-diyl)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-39-9 CAPLUS Benzoic acid, 4,4°-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis-[GCI] (CA INDEX NAME)

ANSWER 88 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT

L4 ANSWER 88 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 11 Apr 1995
ACCESSION NUMBER: 1995:479084 CAPLUS
DOCUMENT NUMBER: 123:256451
TITLE: Albert

ED Entered STM: 11 Apr 1995
ACCESSION NUMBER: 1995:479084 CAPLUS
123:256451

TITLE: 123:256451

Alkene epoxidations catalyzed by Mo(VI) supported on imidazole-containing polymers. II. Recycling of polybenzimidazole-supported Mo(VI) in the epoxidation of cyclohexene

AUTHOR(S): Miller, Matthew M.; Sherrington, David C.
Department of Pure and Applied Chemistry, University of Strathclyde, Scotland, Gl 1 XL, UK
Journal of Catalysis (1995), 152(2), 377-83
COODEN: JCTLAS; ISSN: 0021-9517

PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: Journal
AB MO(VI) has been supported on a polybenzimidazole resin and used as an epoxidn. catalyst in the reaction of tert-Bu hydroperoxide (TBHP) with cyclohexene. A preliminary kinetic study has suggested that mass transfer of TBHP might be rate-limiting. The activation energy is higher than that of an analogous homogeneous reaction catalyzed by MOO2(acac)2.
Nevertheless, the supported catalyst is highly active and has been recycled nine times with no detectable loss of Mo from the support, but with a decline in activity. Activation of the polymer catalyst by pretreatment with TBMP for periods up to 48 h does not influence the activity of the catalyst on first use; however, higher activity is retained on recycling. The imidazole ligand on the polymer appears to bind the Mo centers very effectively and Mo leaching is not responsible for the decay in activity on recycling. The most likely explanation for this is the blockage of access to catalytic sites in the polymer by accumulation of side-products (oligomer). The most likely explanation for this is the blockage of access to catalytic sites in the polymer by accumulation of side-products (oligomer) from cyclohexene or its epoxide.

RN: CAP (Catalyds use); USES (USES)
(alkene epoxidn. catalyzed by Mo(VI) supported on modified polybenzimidazole) -2,2'-diyl}-1,3-phenylene) (9CI) (CA INDEX NAME)

L4 ANSWER 89 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Mar 1995
ACCESSION NUMBER: 1995:433597 CAPLUS
DOCUMENT NUMBER: 122:162193
TITLE: AZObenzimidazole Compounds and E

Azobenzimidazole Compounds and Polymers for Nonlinear

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

MENT NUMBER: 122:162193

Azobenzimidazole Compounds and Polymers for Nonlinear Optics

COR(S): Cross, Elisa M.; White, Kenneth M.; Moshrefzadeh, Robert S.; Francis, Cecil V.

MACCE: Macromolecules (1995), 28(7), 2526-32

CODEN: MAMOBX; ISSN: 0024-9297

AMENT TYPE: Journal

JOURNAL English

Movel difunctional nonlinear optical azo compds. With exceptional thermal stability have been synthesized and incorporated into side-chain and crosslinked polymers. The nonlinear optical response of these polymers has been studied with second-harmonic generation and electrooptic measurements. Channel waveguide intensity modulators displayed an electrooptic coefficient of 13.1 pm/V.

159633-53-39 159633-55-49 159633-57-59

RI: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant) of azobenzimidazole containing polymers)

159633-53-3 CAPLUS

Ethanol, 2-[(4-[(4-[1-(2-aminoethyl)-5-nitro-lH-benzimidazol-2-yl]phenyl]azolphenyl]ethylamino]- (9CI) (CA INDEX NAME)

159633-56-4 CAPLUS Acetamide, N-(44-1-(2-(acetylamino)ethyl]-5-nitro-1H-benzimidazol-2-yljphenyl]- (9CI) (CA INDEX NAME)

159633-57-5 CAPLUS
1H-Benzimidazole-1-ethanamine, 2-(4-aminophenyl)-5-nitro- (9CI) (CA INDEX NAME)
.

1.4 ANSWER 89 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

СH2-СH2-NH2 021

159633-59-7P

159633-59-7P
RL: DEV (Device component use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses) (preparation and nonlinear optical properties and waveguide applications of arobenzimidazole containing polymers)
159633-59-7 CAPLUS
Ethanol, 2-[[4-[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

CRN 118550-50-8

CMF Unspecified CCI MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

ANSWER 90 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159633-55-3 C25 H27 N7 O3

2 CM

3779-63-3 C24 H36 N6 O6

L4 ANSMER 90 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 19 Jan 1995
ACCESSION NUMBER: 1995:300940 CAPLUS
COCUMENT NUMBER: 122:82792
Orientational relaxation in cross-linked nonlinear optical polymers
AUTHOR(S): White, K. M.; Cross, E. M.
Photon. Res. Lab., 3M Co., St. Paul, MN, 55144-1000, USA
JOURNAL OF APPLIAU: ISSN: 0021-8979
PUBLISHER: DOCUMENT TYPE: Journal Institute of Physics
LANGUAGE: Brights
Brigh UAGE: English
Stability of the electro-optic coefficient of a poled and crosslinked nonlinear optical arobenzimidazole-containing polymer is reported at 85, 100, and 110
°C. The observed decay, which is due to orientational relaxation of the nonlinear optical dyes in the films, is discussed in terms of several proposed models. The introduction of a continuously varying relaxation time, which occurs when considering phys. aging during the stability tests, is observed to account for orientational relaxation over a long time period.

159633-59-7 159633-60-0
BIL PRP (Propertical)

IT

159633-59-7 159633-60-0
RE: PRP (Properties)

(orientational relaxation in crosslinked nonlinear optical azobenzimidazole-containing polymers)
159633-59-7 CAPLUS
Ethanol, 2-[[4-[[4-(1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

2 СМ

CRN 118550-50-8 CMF Unspecified CCI MAN

STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*
159633-60-0 CAPLUS
1,3,5-Triazine-2,4,6(1H,3H,5H)-trione, 1,3,5-tria(6-isocyanatohexyl)-,
polymer with 2-[(4-[1-{2-aminoethyl}-5-nitro-1H-benzimidazol-2yl)phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

L4 ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 15 Dec 1994

ACCESSION NUMBER: 1995:246557 CAPLUS

DOCUMENT NUMBER: 122:134163

INVENTOR(S): Entimate a compound and polymers derived therefrom for nonlinear optics

Cross, Elisa M.: Francis, Cecil V.

Minnesota Mining and Manufacturing Co., USA

U.S., 11 pp

CODEN: USXXAM

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
US 5321084	A 19940614	US 1993-89936	19930712
CA 2164508	AA 19950126	CA 1994-2164508	19940421
WO 9502581	A1 19950126	WO 1994-US4358	19940421
W: CA, JP			
RW: AT, BE, CH,	DE, DK, ES, FR, GB	, GR, IE, IT, LU, MC,	NL, PT, SE
EP 708757	A1 19960501	EP 1994-915401	19940421
R: DE, FR, GB,	IT, NL		
JP 09500159	T2 19970107	JP 1994-504526	19940421
PRIORITY APPLN. INFO.:		US 1993-89936 A	19930712
		WO 1994-US4358 W	19940421
OTHER SOURCE(S) .	MADDAT 122-134163		

AB Second order nonlinear optically-active azo monomer containing a benzimidazole group are manufactured and polymerized to prepare linear and crosslinked polymers having a large μβ product and good solubility, which operate for long periods of time at -40 to 80° without significant relaxation. Thus, reaction of 2-(N-ethylaniino)ethanol with 2-isocoyanatoethyl methacrylate, and coupling of the product with diazotized 1-(2-hydroxyethyl)-2-(4-aminophenyl)-5-nitrobenzimidazole gave a monomer I, which was free-radically polymerized to give a polymer with weight- and number-average mol. weight 354,000, and 54,645, resp., and glass temperature 138°.

Tise633-59-7P 159633-60-0P
RL: IMF (Industrial manufacture); PREP (Preparation)
(benzimidazole-derivatized azo compds. and polymers derived therefrom for nonlinear optics)
159633-59-7 CAPLUS
Ethanol, 2-[[4-[[4-[1-(2-aminoethyl)-5-nitro-lH-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN CM 1 (Continued)

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

CRN 118550-50-8 CMF Unspecified CCI MAN

STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

159633-60-0 CAPLUS

1,3,5-Triazine-2,4,6(1H,3H,5H)-trione, 1,3,5-tris(6-isocyanatohexyl)-,
polymer with 2-[4-[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2yl)phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

3779-63-3 C24 H36 N6 O6

ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159633-55-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(benzimidazole-derivatized azo compds. and polymers derived therefrom for nonlinear optics)
159633-55-3 CAPLUS
Ethanol, 2-[[4-[[4-[1-(2-aminoethyl)-5-nitro-lH-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]- (9CI) (CA INDEX NAME)

189633-57-59
RE: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (manufacture and coupling of diazotized) 159633-57-5 CAPLUS (IN-Benzimidazole-1-ethanamine, 2-(4-aminophenyl)-5-nitro- (9CI) (CA INDEX NAME)

IT 159633~56-4P

L4 ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 15 Oct 1994 ACCESSION NUMBER: 1994:579485 CAPLUS DOCUMENT NUMBER: 121:179485 TITLE: Preparation of labeled fibrial

121:179485
Preparation of labeled fibrinogen receptor antagonists.
Weisenberger, Johannes; Schubert, Hans Dieter; Switek, Karl Heinz; Linz, Guenter; Himmelsbach, Frank Thomae, Dr. Karl, G.m.b.H., Germany Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 567967	Al	19931103	EP 1993-106725	19930426
EP 567967	Bl	19960710		
R: AT, BE, CH	, DE, DR	, ES, FR,	GB, GR, IE, IT, LI, LU	
DE 4214245	A1	19931104	DE 1992-4214245	19920430
AT 140225	E	19960715	AT 1993-106725	19930426
ES 2092170	Т3	19961116	ES 1993-106725	19930426
CA 2094963	AA	19931029	CA 1993-2094963	19930427
NO 9301528	A	19931029	NO 1993-1528	19930427
NO 180046	В	19961028		
NO 180046	c	19970205		
AU 9337153	Al	19931104	AU 1993-37153	19930427
AU 670778	B2	19960801		
JP 06050977	A2	19940225	JP 1993-100789	19930427
us 5677466	A	19971014	US 1995-477667	19950523
ORITY APPLN. INFO.:	^	133712014	DE 1992-4213930	A 19920428
URI (1_APPLININFO			DE 1992-4214245	A 19920430

OTHER SOURCE(S): AB Fibrinogen

157446-29-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as fibrinogen receptor antagonist)
157466-29-2 CAPLUS
β-Alanine, N-[[2-[4-(aminoiminomethyl)phenyl]-1-[2-(1-piperazinyl)-lH-benzimidazol-3-yl]carbonyl]-, labeled with tritium
(9CI) (CA INDEX NAME)

ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

157578-10-4P 157578-11-5P

137578-10-49 157578-11-59
REL: SPN (Synthetic preparation); PREP [Preparation)
(preparation of, as intermediate for labeled fibrinogen receptor antagonist)
157578-10-4 CAPLUS
β-Alanine, N-[[2-(4-(aminoiminomethyl)phenyl]-1-[2-(1piperazinyl)ethyl]-1H-benzimidazol-5-yl]carbonyl}-, methyl ester (9CI)
(CA INDEX NAME)

157578-11-5 CAPLUS \$\text{B-Alanine}, N-[{2-\daraninoiminomethyl})phenyl}-1-[3-{4-\text{thiomorpholinyl}propyl}-1H-benzimidazol-5-yl]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 19 Mar 1994
ACCESSION NUMBER: 1994:134533 CAPLUS
DOCUMENT NUMBER: 120:134533
TITLE: Substituted benzimidazolyl derivatives, therapeutic agents containing them and process for their preparation

INVENTOR(S): Hauf, Norbert; Ries, Uwe: Narr, Berthold; Van Meel, Jacques; Wienen, Wolfgang: Entzeroth, Michael Thomae, Dr. Karl, G.m.b.H., Germany

EUR. Pat. Appl., 31 pp.
CODEN: EEXXDW
Patent INFORMATION: German

FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. A2 A3 7 H, DE, DK, A1 AA A2 A DATE APPLICATION NO. DATE DATE

19930915 EP 1993-103854 1993031
19940427

K, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
19930916 DE 1992-4207904 19920312
19930913 CA 1993-2091415 19930310
19940222 JP 1993-49766 19930311
19951017 US 1994-237710 199405031

DE 1992-4207904 A 19920312
US 1993-25303 B1 19930302 EP 560330

EP 560330

R: AT, BE, Cl

DE 4207904

CA 2091415

JP 06049038

US 5459147

PRIORITY APPLN. INFO.:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

MARPAT 120:134533

The title compds., [(benzimidazolyl)methyl]benzimidazoles I (R = alkyl, cycloalkyl, etc.: Rl = carboxy, cyano, tetrazolyl, etc.; R2 = alkyl, cycloalkyl, etc.: Rl = carboxy, cyano, tetrazolyl, etc.; R2 = alkyl, cycloalkyl, etc.) and their uses for the preparation of angiotensin II antagonist-containing pharmaceuticals are claimed. For example, 1-((hydroxycarbonyl)methyl)-2-phenyl-5-((2-ethyl-4,6-dimethylimidazo[4,5-b]pyridin-1-yl)methyl]benzimidazole (II) was prepared by saponification of the corresponding ester. Also prepared was 1-[(hydroxycarbonyl)methyl]-2-phenyl-6-[([2-propyl-4-methyl]-6-(1-methyl-z-benzimidazolyl)methyl]-2-phenyl-benzimidazolyl]methyl]benzimidazole (III).
152893-22-8 152893-33-9 152893-40-8
152893-41-9 152893-43-1 152893-45-3
RL: RCT (Reactant); RRCT (Reactant) or reagent)
(preparation as angiotensin II antagonist)
152893-12-28 CAPUS
1H-Benzimidazole, 5-{(2-butyl-1H-benzimidazol-1-yl)methyl}-2-phenyl-1-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

152893-33-9 CAPLUS
IH-Benzimidazol-1-yl)methyl]-2-phenyl-1-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

152893-40-8 CAPLUS
3H-Imidazo(4,5-b)pyridine, 2-ethyl-5,7-dimethyl-3-[(2-phenyl-1-(1H-tetrazol-5-ylmethyl)-1H-benzimidazol-5-yl]methyl]- (3CI) (CA INDEX NAME)

152893-41-9 CAPLUS
3H-Imidazo(4,5-b)pyridine, 2-ethyl-5,7-dimethyl-3-[[2-phenyl-1-(1H-tetrazoi-5-ylmethyl)-1H-benzimidazoi-6-yl]methyl]- (9CI) (CA INDEX NAME)

OTHER SOURCE(S):

ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152893-45-3 CAPLUS
2,6'-Bi-lH-benzimidazole, 1,4'-dimethyl-1'-[(2-phenyl-1-(1H-tetrazol-5-ylmethyl)-1H-benzimidazol-6-yl]methyl]-2'-propyl- (9CI) (CA INDEX NAME)

ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

137756-17-3 CAPLUS
2H-Quinolizine, octahydro-1-{[2-(4-nitrophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (18-trans)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

137756-18-4 CAPLUS
2H-Quinolizine, l-[[2-(4-chlorophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]octahydro-, (18-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 11 Jan 1992
ACCESSION NUMBER: 1992:186 CAPLUS
DOCUMENT NUMBER: 115:186

AUTHOR(S): Boido, Alessandro: Vazzana, Iana: Sparatore, Fabio:
CORPORATE SOURCE: Source: Paramator Source: Familio List. Sci. Farm. Univ. Genova, Genoa, 16132, Italy
SOURCE: GODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE: Journal
LANGUAGE: SOURCE English
AB Twelve new 1-lupinylbenzimidazole and 1-lupinylbenzotriazole derivs. were prepared and, together with some previously described analogy, were tested for analgesic (hot-plate test), anti-inflammatory (against carrageenan edema), diuretic, and antihypertensive (in spontaneously hypertensive rats) activities. Several compds. exhibited a good degree of activity in one of in more than one areas.

rats) activities. Several compds. exhibited a good degree of activity in one or in more than one areas.

137739-78-79 137739-79-89 137756-17-39

137756-18-49

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation and pharmacol. of, structure in relation to)

137739-78-7 CAPLUS

2H-Ouinolizine. octahydro-1-[[2-phenyl-5-[trifluoromethyl-1H-benzimidazol-

13/13-7:0-/ CAPLUS
2H-Quinolitzine, octahydro-1-[[2-phenyl-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

137739-79-8 CAPLUS 2H-Quinolizine, octahydro-1-[(2-(4-methoxyphenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (1S-trans)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 95 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 01 Nov 1991

ACCESSION NUMBER: 1991:583176 CAPLUS

105:183176

27-Phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides as nonbenzodiazepine anticonvulsants and anxiolytics

AUTHOR(S): Tomczuk, Bruce E.; Taylor, C. R., Jr.: Moses, L. Meredith: Sutherland, Deborah B.: Lo, Young S.; Johnson, David N.; Kinnier, William B.; Kilpatrick, Brian F.

CORPORATE SOURCE: Dep. Chem. Res., A. H. Robbins Co., Richmond, VA.

CORPORATE SOURCE:

brian f.
Dep. Chem. Res., A. H. Robbins Co., Richmond, VA, 23261-6609, USA
Journal of Medicinal Chemistry (1991), 34(10), 2993-3006

CODEN: JMCMAR; ISSN: 0022-2623 Journal

DOCUMENT TYPE:

English CASREACT 115:183176 OTHER SOURCE(S):

SOURCE:

L4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 19 Apr 1991
ACCESSION NUMBER: 1991:143242 CAPLUS
DOCUMENT NUMBER: 14:143242
Synthesis and antiviral activity of Mannich bases of 2-((2-oxo-4-methyl-7-hydroxyquinolinyl)-1-(4-aryl))enzimidazole
AUTHOR(S): Srivastava, Archana Jyoti; Saxena, V. K.; Chowdhury, B. L.

SILVASTAVA, Archana Uyoti; Saxena, v. K.: Chowdiday B. L. Chem. Dep., Lucknow Univ., Lucknow, 226 007, India Indian Drugs (1990), 28(2), 75-7 CODEN: INDRBA; ISSN: 0019-462X Journal English CORPORATE SOURCE:

Title compds. I (R = CH2CH2OH, Et, Me, Ph, R1 = CH2CH2OH, Ph, Et; RRIN = morpholino, piperidino) were prepared by reacting o-phenylenediamine with quinolinylbenzoic acid II followed by a Mannich reaction with RRINN and aqueous HCHO. I were tested against Ranikhet Disease Virus and only I (R = Me, R1 = Ph) had virucidal activity.

Me, R1 = Ph) had virucidal activity.

132765-61-P9 132765-62-P9 132765-63-0P
132765-64-IP 132765-66-2P 132765-66-3P
132765-67-4P 132765-68-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)
132765-61-B CAPLUS
2(1R)-Quinolinone, 7-hydroxy-4-methyl-1-[4-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 95 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

132765-62-9 CAPLUS
2(1H)-Quinolinone, 1-{4-[1-[(bis{2-hydroxyethyl)amino]methyl]-1H-benzimidazol-2-yl]phenyl]-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

132765-63-0 CAPLUS 2(1H)-Quinolinone, 1-[4-[1-[(ethylphenylamino)methyl]-1H-benzimidazol-2-yl]phenyl]-7-hydroxy-4-methyl- (SCI) (CA INDEX NAME)

132765-64-1 CAPLUS

ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4-[1-[(methylphenylamino)methyl]-1H-benzimidazol-2-yl]phenyl}- (9CI) (CA INDEX NAME)

132765-65-2 CAPLUS
2(1H)-Quinolinner, 7-hydroxy-4-methyl-1-{4-[1-{(4-methyl-1-piperazinyl)methyl]-1H-benzimidazoi-2-yl]phenyl]- (9CI) (CA INDEX NAME)

132765-66-3 CAPLUS
2(1H)-Quinolinone, 1-[4-[1-[(diethylamino)methyl]-lH-benzimidazol-2ylphenyl]-7-hydroxy-4-methyl- (SCI) (CA INDEX NAME)

IA ANSWER 97 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 21 Jan 1990.
ACCESSION NUMBER: 1990:20944 CAPLUS
DOCUMENT NUMBER: 12:20944
TITLE: Chemistry of benzotriazole. Preparation, lithiation and transformation of N-(benzotriazol-1-ylmethyl) heterocycles
AUTHOR(S): Katritzky, Alan R.: Drewmiak-Deyrup, Malgorzata; Lan, Xiangfu: Brunner, Frederic
CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA 30URCE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal for Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: ISSN: 0022-152X
DOCUMENT TYPE: Journal of Meterocyclic Chemistry (1989), 26(3), 623-36
CODEN: JHTCAD: JENES (1989), 26(3), 623-36
CO

Phс- он

124375-76-4 CAPLUS 1H-Benzotriazole, 1-[2-phenyl-1-(2-phenyl-1H-benzimidazol-1-yl)ethyl]-(9CI) (CA INDEX NAME)

124337-38-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, lithiation, and reaction of, with benzoate)
124337-39-8 CAPLUS
1H-Benzotriazole, 1-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

132765-67-4 CAPLUS
2(1H)-Quinolinone, 1-{4-{1-{(diphenylamino)methyl}-1H-benzimidazol-2-yl]phenyl}-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

132765-68-5 CAPLUS 2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 97 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 98 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 02 Sep 1988
ACCESSION NUMBER: 1988:473437 CAPLUS
109:73437 CAPLUS
109:73

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION-

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 260744	D2	19880323	EP 1987-201702	
EP 260744	A3	19890118		
EP 260744	B1	19921216		
R: AT. BE. CH.	DE. ES		GR, IT, LI, LU, NL, SE	
US 4859684	D.	19890822	us 1987-78435	1987072
AT 83478	E	19930115	AT 1987-201702	19870909
ES 2053524	<b>T</b> 3	19940801	ES 1987-201702 DK 1987-4794	1987090
DK 8704794	Ā	19880316	DK 1987-4794	1987091
DK 174728	Bl	20031006		
FI 8703977	А	19880316	FI 1987-3977	1987091
FI 87781	В	19921113		
FI 87781 FI 87781	С	19930225		
NO 8703840	A	19880316	NO 1987-3840	1987091
NO 167202	В	19910708		
NO 167202	С	19910708 19911016		
AU 8778385	Al	19880414	AU 1987-78385	1987091
		19900322		
	A2			1987091
HU 198039				
JP 01085975			JP 1987-228679	1987091
JP 05087071				
ZA 8706881				
		19910707		
IL 83892		19911121		
CA 1323366				
CN 87106423			CN 1987-106423	1987091
CN 1020903	В	19930526		
ORITY APPLN. INFO.:				A 1986091
			EP 1987-201702	A 1987090

US 1986-907903 A 19860915

ER SOURCE(S): CASREACT 109:73437; MARPAT 109:73437

For diagram(s), see printed CA Issue.

The title compds. [If A = N:CR2, NR3C(1X); R = H, C1-10 alkyl, R4, R4Z; R1 = H, C1-10 alkyl, C3-7 cycloalkyl (alkyl), C1-10 alkoxy, OH, C3-6 alkenyloxy, C3-6 alkynyloxy, R4, R4O, R4Z, R4Z, R4Z, R5Z, R6Z3; R2 = H, C3-7 cycloalkyl, halo, CO2H, alkoxycarbonyl, (heterolarcyl, alkanoyl, quinolinyl, indolinyl, R4, R4Z, R4CH, CH), R5Z2, (un) substituted alkyl, alkenyl, PhO; R3 = H, C1-6 alkyl, R62; R4 = (amino)pyridinyl, imidazolyl, thiazolyl, (halo)thianyl, (halo)turanyl, (un) substituted Ph; R5 = R4, R6; R6 = (un)substituted Ph; Z = C1-6 alkylene; Z1 = alkenyleneoxy, alkynyleneoxy; Z2 = alkyleneoxy; Z3 = alkynyleneoxy) and their stereoisomers and pharmaceutically acceptable salts were prepared, useful in

L4 ANSWER 99 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 09 Feb 1985
ACCESSION NUMBER: 1985:45842 CAPLUS
COCUMENT NUMBER: 102:45842
IMproved synthesis of polyazolylmethanes under solid-liquid phase-transfer catalysis
Julia, Sebastian: Del Mazo, Jose Maria; Avila, Luis; Elguero, Jose
CORPORATE SOURCE: Dep. Quim. Org., Inst. Quim. Sarria, Barcelona, Spain Organic Preparations and Procedures International (1980), 16(5), 299-307
COEN: ODEN: OPPIAK: ISSN: 0030-4948
JOURNAL DEL MARIAN DEL MARIA

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PRI

Di(azolyl)methanes, Tri(azolyl)methanes, and tetra(azolyl)methanes were prepared by treating the azole with H2CCl2, HCCl3, or CCl4 in presence of phase transfer catalysts. Thus, 24 mmol pyrazole was treated with 120 mmol K2Co3 and and 1.2 mmol BuN+HSO4-in refluxing HCCl3 (25 mL) overnight to give the tripyrazolylmethane I.

94154-69-49 94154-72-0P

RL: PRP (Properties): SPN (Synthetic preparation): PREP (Preparation) (preparation and spectra of)
94154-69-4 CAPLUS
1H-Benzimidazole, 1,1'-methylenebis(2-phenyl- (9Cl) (CA INDEX NAME)

IT

94154-72-0 CAPLUS 1H-Benzimidazole, 1,1',1''-methylidynetris[2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 98 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) treatment of androgenic hormone-dependent disorders in mammals. 4-[1-(1H-Imidazol-1-yl)propyl]-1,2-benzenediamine (prepn. given) and F3CCO2H were stirred 15 min. at 80° to give 22° (imidazolylpropyl)benzimidazole II. In rats II reduced plasma testosterone levels with an ED50 of <2.5 mg/kg orally. 115575-92-3P

115575-92-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as androgen inhibitor)
115575-92-3 CAPLUS
HB-Benzimdazole, 5-(1H-imidazol-1-ylmethyl)-2-phenyl-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 99 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 18 Aug 1984
ACCESSION NUMBER:
DOCUMENT NUMBER:
1984:455025 CAPLUS
101:55025
Possible anthelmintic compounds. Part-II: Mannich bases from 2-aryl/alkyl-3(aryl/alkylbenzimidazolyl)quinazolin-4(3H)-ones
Kulkarni, Y. D.; Kumar, Basant; Abdi, S. H. R.
Dep. Chem., Lucknow Univ., Lucknow, 226 007, India
Journal of the Indian Chemical Society (1983), 60(9),
906-7
CODEN: JICSAH; ISSN: 0019-4522

906-7 CODEN: JICSAH; ISSN: 0019-4522 Journal English CASREACT 101:55025

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

The title compds. I [R1 = Ph, o-O2Nc6H4O, Me; R2 = iodo, Br, H; R3 = piperidino, morpholino, methylpiperidino, pyrrolidinyl, Me2N; X = o-phenylene, PhcH2CHCO2H (sic!), useful as anthelmintics, were prepared by Mannich reaction of I (CH2R3 = H) with the corresponding amines and CH2O. I (R1 = Ph, R2 = iodo, R3 = piperidino, X = o-C6H4) inhibited Helminthosporium nana 391 in rats (no dosage data). 91045-22-5P 91045-23-7P 91045-24-8P 91045-24-8P 91045-23-9P 91045-23-9P 91045-30-5P 91045-31-7P 91045-32-3P 91045-30-5P 91045-31-7P 91045-32-8P 91045-33-5P 91045-33-5P 91045-33-5P 91045-33-5P 91045-33-5P 91045-33-5P 91045-33-5P 91045-31-7P 91045-32-8P 91045-33-5P 91045-31-7P 9104

91045-39-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anthelmintic activity of)
91045-22-6 CAPLUS

(Continued)

91045-22-6 CAPUUS 4(49)-Quinazolinone, 6-iodo-2-phenyl-3-[2-[1-[1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

91045-23-7 CAPLUS
4(3H)-Quinarcilinone, 6-iodo-3-{2-(1-(4-morpholinylmethyl)-1H-benzimidazol-2-yl]phenyl)-2-phenyl- (9CI) (CA INDEX NAME)

91045-24-8 CAPLUS 4(3H)-Quinazolinone, 6-iodo-3-[2-[1-[(4-methyl-1-piperidinyl)methyl]-1H-benzimidazol-2-yl]phenyli-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

91045-25-9 CAPLUS
4(3H)-Quinarolinone, 6-iodo-3-[2-[1-[(1-methyl-4-piperidinyl)methyl]-1H-benzimidazol-2-yl]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

91045-26-0 CAPLUS
4(3H)-Quinazolinone, 6-bromo-2-phenyl-3-(2-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

(Continued)

91045-27-1 CAPLUS
4(3H)-Quinazolinone, 6-bromo-3-[2-[1-(4-morpholinylmethyl)-lH-benzimidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

91045-28-2 CAPLUS
4(3H)-Quinazolinone, 6-bromo-3-[2-[1-[(1-methyl-4-piperidinyl)methyl]-1H-benzimidazol-2-yl]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 91045-29-3 CAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-3-[2-[1-((4-methyl-1-piperidinyl)methyl]-lH-benzimidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

RN 91045-30-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-phenyl-3-(2-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 91045-31-7 CAPLUS CN 4(3H)-Quinazolinone, 3-[2-[1-(4-morpholinylmethyl)-1H-benzimidazol-2yl]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 91045-37-3 CAPLUS CN 4(3H)-Quinazolinone, 2-methyl-3-[2-[1-(4-morpholinylmethyl)-lHbenzimidazol-2-yllphenyl]- (9CI) (CA INDEX NAME)

RN 91045-38-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-methyl-3-{2-{1-(1-piperidinylmethyl)-lh-benzimidazol-2-yl}phenyl)- (9CI) (CA INDEX NAME)

RN 91045-39-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-[1-[(dimethylamino)methyl]-1H-benzimidazol-2yl]phenyl}-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 91045-32-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-phenyl-3-[2-[1-(1-pyrrolidinylmethyl)-1H-benzimidazol-2-yl[phenyl]- (9CI) (CA INDEX NAME)

RN 91045-35-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-(2-nitrophenoxy)-3-(2-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl|phenyl}- (9Cl) (CA INDEX NAME)

RN 91045-36-2 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-[1-(4-morpholinylmethyl)-lH-benzimidazol-2yllphenyl]-2-(2-nitrophenoxy)- (9CI) (CA INDEX NAME)

14 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 101 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1982:52231 CAPLUS
DOCUMENT NUMBER: 9652231
ACIDICAL PROPERTIES OF DENZINIDAZIOLES AND SUBSTITUTE: effects. V. Protection of benzimidazoles by N-alkyl bond formation using vinylpyridines
AUTHOR(S): 1chikawa, Masataka: Yamamoto, Chiyuki: Hisano, Takuzo Chemical 6 Pharms. Sci., Kumamoto Univ., Kumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Kumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto Univ., Sumamoto, 862, Japan Chemical 6 Pharms. Sci., Kumamoto, Missan, Sci., Kuma

80144-57-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
80144-57-6 CAPUS
HH-Benzimidazole, 2-phenyl-1-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX

L4 ANSWER 102 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1982:6651 CAPLUS DOCUMENT NUMBER: 96:6651 Dealkylation of ...

AUTHOR(S): CORPORATE SOURCE: SOURCE:

96:651
Dealkylation of N-pyridylethyl-2-arylbenzimidazoles by aluminum chloride
Ichikawa, Masataka; Yamamoto, Chiyuki; Hisano, Takuzo Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan Organic Preparations and Procedures International (1981), 13(5), 353-6
CODEM: OPPIAK: ISSN: 0030-4948

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI English CASREACT 96:6651

Dealkylation of I (R = 2- or 4-pyridyl, Rl = Ph, 2- or 4-pyridyl), prepared by alkylation of the corresponding benzimidazole with 2- or 4-vinylpyridine, with AlCl3 in Ccl4 5 h at 150° gave II (Rl as above) in 30-40% yields when R = 2-pyridyl and 85-90% yields when R =

4-pyridyl. 80144-55-4P 80144-57-6P ΙT

RE: SPN (Synthetic preparation): PREP (Preparation)
(preparation and dealkylation by aluminum chloride)
80144-55-6 CAPLUS
1H-Benzimidazola, 2-phenyl-1-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX

80144-57-6 CAPLUS H-Benzimidazole, 2-phenyl-1-{2-(4-pyridinyl)ethyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 101 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 103 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1980:639314 CAPLUS
DOCUMENT NUMBER: 393:239314
Synthesis of some new naphthalene-carbamate and benzimidazole derivatives of potential pesticidal activity
El-Bayouki, Khairy; Hammad, Mahmoud
Natl. Res. Cent., Cairo, Egypt
SOURCE: Egypt an Journal of Chemistry (1980), Volume Date 1977, 20(5), 529-36
CODEN: EGGCA3; ISSN: 0367-0422
JOURNAL English
OTHER SOURCE(S): CASREACT 93:239314

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

The naphthalenes I (R = cyano, CO2Et, R1 = OH, O2CNHPh) were obtained in 68-861 yield by treating the naphthaldehydes with RCH2CN. I (R1 = O2CNHPh) were also obtained by treating I (R1 = OH) with PhNCO. II (R1 = OH, O2CNHPh), R2 = H) were obtained in 655 yield by condensing I with O-(H2N)2C5H4 and were treated with PhNCO to give II (R = O2CNHPh, R2 = CONHPh. 75925-36-4P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 75825-36-4 CAPUS 1H-Benzimidazole-1-Carboxamide, N-phenyl-2-[4-[[(phenylamino)carbonyl]oxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1980:620657 CAPLUS
DOCUMENT NUMBER: 93:220657
ENTITLE: Benzimidazole derivatives with antiinflammatory activity
AUTHOR(S): Boido, A.; Vazzana, I.; Sparatore, F.

SOURCE: Studi Sassaresi, Sezione 2: Archivio Bimestrale di Scienze Mediche e Naturali (1979), 57(5-6), 801-10 CODENT TYPE:
DOCUMENT TYPE: Journal Italian

DOCUMENT TYPE: LANGUAGE: GI

The o-phenylenediamine derivative I reacted with acid chlorides and imidate esters to yield benzimidazoles II [R = 4-02NC6H4CH2, Ph, 4-R1C6H4 (RI = Cl, OMe, NO2], cyclopentylmethyl, 1-cyclopentenylmethyl, Pr, CHMe2, CF3], useful as antiinflammatory agents and sedatives (no data). A mixture of I, PhCOCI, and dioxane was refluxed 4 h to give II (R = Ph). 7584-47-79 7584-49-99 75584-70-2P 7584-71-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 75584-67-7 CAPLUS 2H-Quinolizine, octahydro-1-[[2-phenyl-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75584-66-6 CMF C24 H26 F3 N3

ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

02N

75584-70-2 CAPLUS 2H-Quinolizine, octahydro-1-{[2-(4-methoxyphenyl)-5-{trifluoromethyl}-1H-benzimidacoi-1-yl]methyl]- (9CI) (CA INDEX NAME)

75584-71-3 CAPLUS 2H-Quinolizine, octahydro-1-[[2-(4-nitrophenyl)-5-(trifluoromethyl)-1H-benzimidazine, jmethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

CM 2

02N NO2 NO2

75584-69-9 CAPLUS 2H-Quinolizine, l-([2-(4-chlorophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]octahydro-, compd. With 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75584-68-8 CNF C24 H25 C1 F3 N3

2

CRN 88-89-1 CMF C6 H3 N3 O7

L4 ANSWER 105 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1980:514558 CAPLUS
COCUMENT NUMBER: 93:114558
ITITLE: N-Substituted heterocyclics
Schrömm, Kurt; Mentrup, Anton; Renth, Ernst Otto;
Fugner, Armin; Streller, Ilse
Boehringer, C. H., Sohn, Fed. Rep. Ger.
CODEN: GWX.MEX
DOCUMENT TYPE: Patent
LANGUAGE: GERMAN
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIN		APPLICATION NO.	DATE
DE 2833140	A1 C2			19780726
DE 2833140	C2	19910627		
EP 8653				19790721
EP 8653	B1	19820616		
EP 8653	B2			
	BE, CH, DE,	FR, GB, IT,	LU, NL, SE	
AT 1193	E		AT 1979-102580	
JP 55020783	A2			19790726
JP 01044704	B4			
DK 7903176	A			19790727
DK 155737	В			
DK 155737	С			
FI 7902356	А			19790727
FI 75562	В			
FI 75562	С	19880711		
NO 7902485	A			19790727
NO 151364	В			
NO 151364		19850327		
AU 7949303	A1			19790727
AU 528003	B2			
ES 482988	A)			1979072
ES 482897	A)			
ES 482898	A)			1979072
ZA 7903861	А		ZA 1979-3861	19790727
CA 1132550	A.			19790727
IL 57916	A.			19790727
US 4378361	А			19810722
US 4581367	A			
US 4647563	A	19870303		
RITY APPLN. I	NFO.:		DE 1978-2833140	
			EP 1979-102580	
			US 1979-60389	
			US 1980-156928	
			US 1981-285713	A3 19810722
			US 1982-443912	A3 19821123

L4 ANSWER 105 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Ethanolamines I [R1 = H, acyl; R2 = H, R1O, NHSO2R7, NHCOR8, NHCONR8, NHCH2C6H4R9, CH2OH, CH2SO2R7, CONHR8, halo, cyano; R3 = H, halo, R7, OR7; R2R3 = NHCOCH2O, NHC(0)O, NHCOCH:CH; R4 = H, Me, Et; R5, R6 independently = H, Me; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl; R9 = H, C1-4 alkyl; C1-4 alkoxy, oxaalkoxy; R1O = H, C1-4 alkyl; Ph, pyridyl; R11, R12 independently = H, Me, C1, OMe, R11R12 = OCH2O; Q = Q1, Q2, Q3, Q4; X = CR1O, N; X1 = CH2, C0], their racemates, enantiomers, diasterceisomeric antipodal pairs, and their acid addition salts, useful as bronchodilators, spasmolytics, vasodilators, antihypertensives, and for treatment of allergy (no data), were prepared by 3 methods. Thus, 4-PhCH2OC6H4COCH2Br and 1-(aminopropyl)benzimidazole stirred 1 hin MeCN at 30-40 gave a precipitate of hydrobromide and mother liquor from which was isolated benzimidazole II (R13 = PhCH2, R14R15 = O) as the maleate. This was successively converted into the free base (NH4OH), reduced (NABH4-ELOH), and hydrogenolyzed (over Pd/C in MeOH) to give 83% ethanolamine II (R13 = R14 = H, R15 = OH).
73865-67-5P (Synthetic preparation); PREP (Preparation)
(preparation of)
73865-67-5 (APDUS)
Benzenemethanol, a-[[[1,1-dimethyl-3-(2-phenyl-1H-benzimidazol-1-yl)propyl]amino]methyl]-2-fluoro-4-hydroxy- (SCI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

ANSWER 106 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

73265-46-0 CAPLUS
1H-Benzimidazole, 1,1'-[1,4-piperazinediylbis(methylene)]bis[2-(2-chlorophenyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 106 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1980:146718 CAPLUS
DOCUMENT NUMBER: 92:146718
AUTHOR(S): 5earch for new anthelmintics: Part I. Synthesis of piperazine derivatives
AUTHOR(S): 7iwari, S. S.; Pandey, M. P.
Chem. Dep., Lucknow Univ., Lucknow, 226007, India Indian Journal of Chemistry, Section B: Organic Chemistry Indian (Chemistry) Indianal Chemistry (1979), 186(4), 379-81
CODENT TYPE: LANGUAGE: OTHER SOURCE(S): GASREACT 92:146718
GI

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

$$\bigcap_{N} \bigcap_{R} \bigcap_{N \subset H_2N} \bigcap_{N$$

1,4-Disubstituted piperazines I (R = optionally substituted Ph, PhCH:CH, 2-ClC6H45, PhOCH2) and II (R1 = H, Me, Et, Ph, 2-ClC6H4) were prepared The compds. had amebicidal activity and some I also had anthelmintic activity. 72265-65-97 72265-46-0P RL: SPN (Synthetic preparation) PREP (Preparation) (preparation and amebicidal activity of) 73265-45-9 CAPLUS H-Benzimidazole, 1,1'-{1,4-piperazinediylbis(methylene)}bis(2-phenyl-(9CI) (CA INDEX NAME)

L4 ANSWER 107 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
CACESSION NUMBER: 1979:492439 CAPLUS
DOCUMENT NUMBER: 91:92439
Linear and crosslinked polybenzimidazoles
INVENTOR(S): Sheratte, Martin B.
PATENT ASSIGNEE(S): Acurex Corp., USA
SOURCE: USAKAM
DOCUMENT TYPE: Patent

Patent

DOCUMENT TYPE: Patent DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 4154919 PRIORITY APPLN. INFO.: 19790515 US 1976-719264 US 1976-719264

Polybenziomidazoles (I, R = arylene, alkylene, cycloalkylene, methylenediphenylene, sulfonyldiphenylene, carbonyldiphenylene, oxydiphenylene; R1 = arylene or cycloalkylene; R3 = lower alkyl, alkoxy, halo; x = 0-41, having good thermal properties, were prepared Thus, 0.01 mol 4,4'-bis(o-aminoanilino)biphenyl (40850-43-9) and 0.01 mol phthalic anhydride were mixed in 10 mL phenol and heated 4 h at 50' to give a foamed prepolymer [63100-69-6] having inherent viacosity 0.26 (0.5% in m-cresol). The prepolymer was further heated 1 h at 400' to give a tough polymer foam with inherent viscosity 0.79.
71170-13-39
RL: PREP (Preparation)
(preparation of heat-resistance)
71170-13-3 CAPLUS
Poly(IH-benzimidazole-1,2-diyl-1,2-phenylene-1H-benzimidazole-2,1-diyl-1,6-hexanediyl) (9CI) (CA INDEX NAME)

ÌТ

L4 ANSWER 107 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) L4 ANSWER 108 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1979:7597 CAPLUS
DOCUMENT NUMBER: 90:7597
TITLE: Phenylbenzimidazolylfurans
INVENTOR(S): Meyer, Hans Rudolf; Weber, Kurt
Ciba-Geigy A.-G., Switz.
SOURCE: GF. Often., 124 pp.
CODEN: GFXXEX 90:7597
Phenylbenzimidazolylfurans
Meyer, Hans Rudolf: Weber, Kurt
Ciba-Geigy A.-G., Switz.
Ger, Offen., 124 pp.
CODEN: GWXXBX
Patent
German
1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2807008	A1	19780824	DE 1978-2807008	
CH 619337	A3	19800930	CH 1977-16179	19771229
CH 619337	В	19810331		
US 4189589	А	19800219	US 1978-876587	19780210
NL 7801882	A	19780824	NL 1978-1882	19780220
CA 1111044	A1	19811020	CA 1978-297283	19780220
SU 1075988	A3	19840223	SU 1978-2581900	19780220
BE 864138	A1	19780821	BE 1978-185320	19780221
SE 7801991	A	19780823	SE 1978-1991	19780221
SE 444318	В	19860407		
SE 444318	c	19860717		
BR 7801032	A	19781219	BR 1978-1032	19780221
FR 2392989	A1	19781229	FR 1978-4948	19780221
FR 2392989	B1	19800613		
ES 467154	A1	19790116	ES 1978-467154	19780221
AU 7833479	A1	19790830	AU 1978-33479	19780221
AU 513775	B2	19801218		
DD 137939	С	19791003	DD 1978-203783	19780221
AT 356053	В	19800410	AT 1978-1244	19780221
GB 1574891	A	19800910	GB 1978-6886	19780221
JP 53105529	A2	19780913	JP 1978-18655	19780222
JP 01041161	В4	19890904		
US 4264325	А	19810428	US 1979-54043	19790702
CH 638805	A	19831014	CH 1980-2158	19800319
PRIORITY APPLN. INFO.:			LU 1977-76819	19770222
			CH 1977-16179	19771229
			US 1978-876587	3 19780210

GI

ANSWER 108 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Numerous 2-phenyl-5-[2-benzimidazoly]) furans and their quaternary derivs.,
e.g. 1 [68502-55-6] and II (68502-57-8), were prepared for use as
fluorescent whiteners for cellulosic and synthetic fibers or plastics.

The compds. were obtained by condensing 2-phenyl-5-furancarbonyl halides
with o-phenylenediamines, or by cyclizing o-amino azomethines prepared by
condensing 2-phenyl-5-furanaldehydes with o-phenylenediamines, and
optionally quaternizing the products. Thus, condensation of
2-(4-chlorophenyl)-5-furanaldehydes [24035-03-5] with 2,4MENN(MESO2)CEH3NHZ [68502-54-5] in refluxing EtOH, addition of PhNO2,
distillation
of the EtOH, and heating the mixture at reflux gave colorless crystalline I.
Quaternization of I with Me2SO4 gave II, a flourescent whitener for
acrylic, acid-modified polyester, and polyamide fibers and for paper.

IT 68504-03-0F 68528-30-3P
RL: PREP (Preparation)
(manufacture of, as fluorescent brightener)

M 68504-03-0 CAPLUS
CN 1H-Benzimidazolium, 3-(2-amino-2-oxoethyl)-2-[5-(4-chlorophenyl)-2furanyl)-1-methyl-5-(phenoxysulfonyl)-, chloride (9CI) (CA INDEX NAME)

• c1-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 68528-30-3 CAPLUS
CN 1H-Benzimidazolium, 3-(2-amino-2-oxoethyl)-2-[5-(4-chlorophenyl)-2furanyl]-1-methyl-5-(methylsulfonyl)-, chloride (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1978:50920 CAPLUS
BS:50920 TITLE: 1978:50920 TITLE: 197 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2714437	A1	19771020	DE 1977-2714437	19770331
DE 2714437	C2	19890511		
ES 456690	A1	19780716	ES 1977~456690	19770309
FR 2346350	A1	19771028	FR 1977-7106	19770310
FR 2346350	B1	19801017		
BE 852405	A2	19770914	BE 1977-175736	19770314
CA 1097646	A1	19810317	CA 1977-274240	19770318
CS 191337	P	19790629	CS 1977-1972	19770324
GB 1579365	A	19801119	GB 1977-12754	19770325
JP 52122380	A2	19771014	JP 1977-35560	19770331
JP 62031707	B4	19870709		
AU 7723824	A1	19781005	AU 1977-23824	19770331
AU 515173	B2	19810319		
IL 51797	A1	19810913	IL 1977-51797	19770331
DK 7701459	A	19771003	DK 1977-1459	19770401
DK 153477	B	19880718		
DK 153477	С	19881121		
FI 7701020	А	19771003	FI 1977-1020	19770401
FI 66178	В	19840531		
FI 66178	c	19840910		
SE 7703842	A	19771003	SE 1977-3842	19770401
SE 431333	В	19840130		
SE 431333	С	19840510		
NL 7703564	A	19771004	NL 1977-3564	19770401
NL 190522	В	19931101		
NL 190522	С	19940405		
NO 7701168	A	19771004	NO 1977-1168	19770401
NO 146774	В	19820830		
. NO 146774	С	19821208		
ZA 7702000	Α	19781129	ZA 1977-2000	19770401
SU 683621	D	19790830	SU 1977-2468056	19770401
AT 7702304	A	19791215	AT 1977-2304	19770401
AT 357541	В	19800710		
HU 21854	0	19820227	HU 1977-JA782	19770401
HU 179491	В	19821028		
CH 634317	A	19830131	CH 1977-4154	19770401
US 4200641	А	19800429	US 1978~875342	19780206
US 4250176	А	19810210	US 1979-49779	19790618
US 4377578	А	19830322	US 1981-286438	19810724
JP 61005068	A2	19860110	JP 1985-126384	19850612
JP 62030990	B4	19870706		
PRIORITY APPLN. INFO.:			US 1976-672919	A 19760402
·			US 1976-753062	A 19761221
			JP 1977-35560	A 19770331
			US 1978-875342	A3 19780206
			US 1979-88703	Al 19791026

L4 ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN OTHER SOURCE(S): CASREACT 88:50920 GI (Continued)

11

Piperszines I and II (X = NH, NCMe:CH2, NCH2CH2CO2Et, NCH2Ph, NAc, NCONHMe, NNe, NCH2ON, NPh, NCH2CO2H, O, S; R = H, Cl, CF3, Me; Rl = H, 6-Cl, 6-Me, 7-Cl; R2 = Ph, 4-FC6H4, 4-C16GH4, 3-C1C3H4, 4-FC6H4, 2-C16GH4; R3 = Ph, 4-FC6H4, 4-BC6H4, 4-MeC6H4, 4-O2NC6H4, 2-pyridyl, 3-pyridyl; R4 = H, Et, SMe, Me, Ph, SH, cyclohexyl, CH2Ph, NHCOZNe, NH2, NHAc; n = 2-6) (more than 85 compds.) were prepared I (X = NH, R = Rl = H, R2 = R3 = Ph, n = 3, III) was prepared by treating chloropropylbenzimidazolome with N-diphenylmethylpiperazine. III was antihistaminic in guinea pig ileum test at 0.005 mg/L.

65215-49-6P 65215-50-IP 65215-51-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
65215-49-8 CAPLUS
IH-Benzimidazole, 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl}-2-phenyl-(9CI) (CA INDEX NAME)

.
65215-50-1 CAPLUS
1H-Benzimidazole, 5-chloro-1-{3-{4-{diphenylmethyl}-1-piperazinyl}propyl}-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 110 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1975:140010 CAPLUS DOCUMENT NUMBER: 82:140010 92:140010

Reactions of cyanomethylbenzimidazoles. I. Synthesis of 1- and 2-cyanomethylbenzimidazoles and some of their derivatives

Sawlewicz, Jozef; Milczarska, Barbara
Inst. Technol. Drug Anal., Med. Acad., Gdansk, Pol. Polish Journal of Pharmacology and Pharmacy (1974), 26(6), 639-46

CODEN: PJPPAR; ISSN: 0301-0244

JOURNAL

AUTHOR (S):

CORPORATE SOURCE:

DOCUMENT TYPE: LANGUAGE: Journal English

UAGE: English

For diagram(s), see printed CR Issue.

Cyanomethylbenzimidazoles I (R,Rl = H, Me) were prepared by treating the

o-phenylenediamines with NCCH2CO2Et. I were converted to their amidoximes

and thioamides. II (R2 = H, Me, Et, Pr, Ph) were prepared by treating the

benzimidazoles with ClCH2CN and were hydrolyzed to their amides and acids.

54980-93-78

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
54980-93-7 CaPLUS
H-Benzimidazole-1-acetamide, 2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 65215-51-2 CAPLUS |
IH-Benzimidazole, 1-[2-[4-(diphenylmethyl)-1-piperazinyl]ethyl]-2-phenyl-, trihydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:476797 CAPLUS
DOCUMENT NUMBER: 75:76797
TITLE: BENIMINICATED COMPOUNDS
INVENTOR(5): BENIMINICATED COMPOUNDS
INVENTOR(5): Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: JOHN JAXXAD
DOCUMENT TYPE: Patent
     DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                      PATENT NO. KIND DATE APPLICATION NO. DATE

17. 46009581 B4 19710311 JP 19670908

For diagram(s), see printed CA Issue.
1. useful as analgesics, antiinflammatants, excitants, etc. are manufactured Adduct of 4-(2-dimethylaminopropylamino)antiline in 200 ml EtOH are refluxed 8 hr, the mixture poured over 300 ml H2O containing Na2303, extracted with CHG13, lthe extract treated with HCl to give 14.1 g I.3HCl [R1 = 3-dimethylaminopropyl, R2 = 4-(2-dimethylaminoprobyl, R3 = H], m. 139-43* (so-PrOH).

Similarly prepared are I (R1, R2, R3, and m.p. of the hydrochloride given):
3-dimethylaminopropyl, 4-(3-morpholinopropoxy), H, 141-8*;
3-dimethylaminopropyl, 4-(2-piperidinothoxy), C1, 109-12*;
3-dimethylaminopropyl, 4-(2-dimethylaminopropoxy), C1, 133-43*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), C1, 133-43*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), C1, 175-7*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), R, 130-2*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), R, 130-2*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), R, 130-2*; 3-dimethylaminopropyl, 4-(4-dimethylaminopropoxy), R, 141-19*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropyl), R, 141-19*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropyl), R, 141-19*; 3-dimethylaminopropyl, R, 141-6*; 3-dimethylaminopropyl, R, 141-6*; 3-dimethylaminopropyl, 4-(2-(4-methyl1-1-piperarinyl)propoxy), H, 112-15*; 3-dimethylaminopropyl, 4-(2-diethylaminopropyl), R, 141-20*; 4-dibutylaminopropyl, 4-(1-6*; 3-dimethylaminopropyl, 4-(2-3-dimethylaminopropyl), R, 141-19*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropyl), OMe, 112-3-5*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropyl), H, 141-19*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropyl), H, 141-6*; 3-dimethylaminopropyl, H, 141-
                                                             PATENT NO.
                                                                                                                                                                                                                                                                                             KIND
                                                                                                                                                                                                                                                                                                                                                        DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             DATE
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## 05/24/2005

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH<sub>2</sub>) 3 - NMe<sub>2</sub>

●3 HCl

RN 33158-98-4 CAPLUS
CN Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-[p-(2-piperidinoethoxy)phenyl]-, trihydrochloride (8CI) (CA INDEX NAME)

C1 N O- CH2-CH2-N

●3 HC1

RN 33158-99-5 CAPLUS
CN Benzimidazole, 6-chloro-1-{3-(dimethylamino)propyl}-2-{m-{3-(4-methyl-1-piperazinyl)propoxy]phenyl}-, tetrahydrochloride (8CI) (CA INDEX NAME)

C1 O- (CH<sub>2</sub>) 3 N N

● 4 HC

RN 33159-00-1 CAPLUS
CN Benzimidazole, 6-chloro-2-[p-[3-(dimethylamino)propoxy]phenyl]-1-[3-(dimethylamino)propyl]-, trihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

0- (CH2) 3-NEt2

●3 HC1

RN 33159-04-5 CAPLUS
CN Benzimidazole, 1-[3-(dimethylamino)propyl]-2-[p-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-, tetrahydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>) 3 - NMe<sub>2</sub>

●4 HCl

RN 33159-05-6 CAPLUS CM Benzimidazole, 1-[3-(dimethylamino)propyl]-2-(p-[3-(4-methyl-1piperazinyl)propoxylphenyl]-, tetrahydrochloride (8CI) (CA INDEX NAME)

●4 HCl

RN 33159-06-7 CAPLUS
CN Benzimidazole, 1-3-(dimethylamino)propyl]-2-[p-(3piperidinopropoxyl)phenyll-, trihydrochloride (BCI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 HC1

RN 33159-01-2 CAPLUS
CN Benzimidazole, 2-{p-{3-{dimethylamino}propoxy}phenyl}-1-{3-{dimethylamino}propyl}-, trihydrochloride {8CI} (CA INDEX NAME)

(CH<sub>2</sub>) 3 - NMe<sub>2</sub>

●3 HC1

RN 33159-02-3 CAPLUS
CN Benzimidazole, 2-[p-(dimethylamino)phenyl]-1-[3-(dimethylamino)propyl]-,
dihydrochloride (BCI) (CA INDEX NAME)

(CH2) 3 - NMe2

●2 HC1

RN 33159-03-4 CAPLUS CN Benzimidazole, 2-[p-[3-(diethylamino)propoxy]phenyl]-1-[3-(dimethylamino)propyl]-, trihydrochloride (8Cl) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continu

(CH<sub>2</sub>) 3 - NMe<sub>2</sub>

● 3 HCl

RN 33159-07-8 CAPLUS
CN Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-[p-{2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-, tetrahydrochloride (8CI) (CA INDEX NAME)

C1 N O-CH2-CH2-N Me

●4 HCl

RN 33159-08-9 CAPLUS
CN Benzimidazole, 1-[4-(dibutylamino)butyl]-2-[p-[2-(diethylamino)ethoxy]phenyl]-, monohydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>) 4 - N (Bu-n) 2

● HC1

RN 33159-09-0 CAPLUS
CN Benzimidazole, 2-[o-[2-(diethylamino)ethoxy]phenyl]-1-[2-(diethylamino)ethyl]-, trihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2 Et2N- CH2- CH2

●3 HCl

33159-10-3 CAPLUS
Benzimidazole, 1-{3-(dimethylamino)propyl)-6-methoxy-2-{p-{3-morpholinopropoxylphenyl}-, monohydrochloride (BCI) (CA INDEX NAME)

(CH2) 3- NMe2

33159-11-4 CAPLUS
Benzimidazole, 2-[p-[3-(dimethylamino)propoxy]phenyl]-1-(3(dimethylamino)propyl]-6-methoxy-, trihydrochloride (8CI) (CA INDEX NAME)

(CH2) 3 - NMe2 0- (CH2) 3-NMe2

33159-12-5 CAPLUS
Benzimidazole, 1-{3-{bis(o-chlorophenyl)amino}propyl}-2-{p-{3-prioribinopropoxy)phenyl}-, trihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 HC1

L4 ANSWER 112 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:436031 CAPLUS
COCUMENT NUMBER: 75:36031
ITILE: Benzimidazole compounds
Hasegawa, Gen; Maruyama, Hiroshi
Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: John Tokkyo Koho, 5 pp.
CODEM: JAXXAD

DOCUMENT TYPE: Patent
LANGUAGE: 740 Dec.
PATENT INFORMATION: 1
PATENT INFORMATION:

L4 ANSWER 113 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:420403 CAPLUS
DOCUMENT NUMBER: 75:20403
INVENTOR(S): Benzimidazole derivatives
HASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
JUNEAU TORKYO KOHO, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Pater
LANGUAGE: PAKILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE JP 45039542 B4 19701212 19670908

JP 45039542 B4 19701212 JP 19670908
For diagram(s), see printed CA Issue.
The title compds. (I), with central inhibitory, excitation, analgesic, antiinflammatory, or vasodilation effects, are prepared by cyclocondensation of II and III. Thus, a mixture of p-HOC6H4CHO.NaHSO3, II (R = Me, R1 = H, A = C3H6), and MeOH was refluxed 6 hr to give I.2HCl.3.5H2O (R = Me, R1 = H, R2 = p-HO, A = C3H6), m. 185-7\* (EtOH-H2O). Similarly prepared were 27 addnl. I.
32584-02-4P

32584-02-49
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
32584-02-4 CAPLUS
Phenol, p-[1-[3-(dimethylamino)propyl]-2-benzimidazolyl]-, dihydrochloride
(8CI) (CA INDEX NAME)

(CH2)3-

сн<u>2)3-име</u>2

●2 HC1

#### 05/24/2005

L4 ANSWER 114 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:420398 CAPLUS
DOCUMENT NUMBER: 75:20398
Antiinflammatory and analgesic 2-(o-fluorophenyl)benzimidazoles
RNVENTOR(S): RORE(S): 6Nebech, Philippe: Karadavidoff, Isac
Manufactures J.R. Bottu
Ger. Offen., 10 pp.
CODEN: GWXKBX
DOCUMENT TYPE: Patent
LANGUAGE: 6Emm

DOCUMENT TYPE: COLUMN TYPE: GOOD TO SEE THE COLUMN TYPE: GOOD TO SEE THE COLUMN TYPE THE COLUMN TYPE TO SEE THE CO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2049377	А	19710422	DE 1970-2049377	19701008
FR 2068402	A5	19710827	FR 1969-34673	19691010
NL 7014662	A	19710414	NL 1970-14662	19701006
PRIORITY APPLN. INFO.:			FR 1969-34673 A	19691010
CT For disgram(s) see	nrint.	ad Ch Teens		

FR 1969-34673 A 19691010

For diagram(s), see printed CA Issue.

The title compds. (I: R = H, Ac, Et, and Et2NCH2CH2), useful, e.g. for treating rheumatism or as hypnotics, were prepared by alkylation or acetylation of 2-(o-fluorophenyl)benzimidazole (II) or by reaction of N-alkyl-o-nitroanilines with o-FC6H4COC1, reduction of the NO2 group to the NH2 group and ring closure in dilute HC1 to give I. Thus, II, prepared from o-FC6H4CO2H and o-(HZN)ZC6H4, was refluxed with Ac2O 6 hr to give 88% I (R = Ac). I (R = Et) had LD50 1000 mg/kg in mice on oral administration.

32385-57-2P

RIL SPN (Superbate and administration)

32385-57-2F
REL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
32385-57-2 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-fluorophenyl)- (8CI) (CA

ANSWER 115 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

34325-18-3 CAPLUS
Benzimidazole, 6-methoxy-2-(o-methoxyphenyl)-1-[3-(N-methylanilino)propyl]-(8CI) (CA IMDEX NAME)

L4 ANSWER 115 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:405903 CAPLUS
DOCUMENT NUMBER: 75:5903
HASSIGNEE(S): 8047E4 ASSIGNEE(S): 75:5903
ENZIMIDATION Pharmaceutical Industries, Ltd.
Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
Patent

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: 1

PATENT NO. APPLICATION NO. KIND DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 45039543 B4 19701212 JP 19671113

For diagram(s), see printed CA Issue.

The title compds. [I), useful as drugs having central inhibitor,
excitation, analgesic, antinflammatory, or vasodilatation effect, are
prepared by cyclocondensation of II and III. Thus, a mixture of PhCHO.NaHSO3
and 2-{3-(dimethylamino)propylamino)-4-methoxyaniline in iso-PrOH was
refluxed 4 hr to give I.2HCl. 3H2O (R = Me, RI = H), m. 151-3\*
(iso-PrOH). Similarly were prepared 10 addnl. I and 1-[2(dibenzylamino)ethyl-2-phenyl-6-methoxybenzimidazole and
1-(3-N-phenyl-N-methylaminopropyl)-2-(o-methoxybenzimidazole and
1-(3-N-phenyl-N-methylaminopropyl)-2-(o-methoxyphenyl)-6methoxybenzimidazole.
32275-65-3P 32275-66-4P 34325-18-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
32275-65-3 CAPLUS
Benzimidazole, 1-(3-(dimethylamino)propyl)-6-methoxy-2-phenyl-,
dihydrochloride (8CI) (CA INDEX NAME)

DATE

●2 HC1

32275-66-4 CAPLUS
Benzimidazole, 1-[2-(dibenzylamino)ethyl]-6-methoxy-2-phenyl- (8CI) (CA
INDEX NAME)

L4 ANSWER 116 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1971:405901 CAPLUS
POCUMENT NUMBER: 75:5901
INVENTOR(S): Hasegawa, Hajime: Maruyama, Hiroshi
Yoshitomi Pharmaceutical Industries, Ltd.
Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXXAD
PAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 45039541 B4 19701212 JP 19670907

For diagram(s), see printed CA Issue.

The title compds. [1], useful as drugs having central inhibitor, excitation, antiinflammatory, analgesic, of vasodilatation effects, are prepared by cyclocondensation of II and III. Thus, a mixture of piperonal, NaHS03, II (RI = Me, R2 = H, A = C3H6), and iso-PrOH was refluxed 2 hr to give I.HCl.2.5H20 (RI = Me, R2 = H, (R3R4 = ) methylenedioxy, A = C3H6], m. 235-7 (iso-PrOH). Similarly were prepared 29 addnl. I.
32286-72-99

RL: SPN (Synthetic preparation). PROM (R.)

32286-72-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
32286-72-9 CAPLUS
Benzimidzole, 1-[3-(dimethylamino)propyl]-2-[3,4-(methylenedioxy)phenyl], dihydrochloride (8CI) (CA INDEX NAME)

Andrew Freistein 10/630896

L4 AMSWER 117 of 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1970:20389 CAPLUS DOCUMENT NUMBER: 72:20389 CAPLUS TITLE: Antisecretory compounds of a new structure and mode of action

AUTHOR(S): Decsi, L.; Mehes, J.; Hideg, K.; Hankovszky, O. K.; Varszegi, M. K.

CORPORATE SOURCE: Med. Sch., Pecs, Hung.

CODE: Med. Sch., Pecs, Hung.

CODE: Left Med. Sch., Pecs, Hung.

CODE: Left Med. Sch., Pecs, Hung.

CODE: Left Med. Sch., Pecs, Hung.

CODE: 21PFAR

DOCUMENT TYPE: Conference

Language: English

GI For diagram(s), see printed CA Issue.

AB Of 12 benzimidazole (I) derivs. examined for their possible inhibitory effect on gastric secretion in the rat, 3 of these, viz., compds. H-291, H-635, and H-274 (Rl = H, H, and Ph, resp.; R2 = CH2OM, piperidinoethyl (A), and A, resp.) exhibited rather high therapeutic ratios, i.e., low toxicity accompanied by a good antisecretory effect. This antisecretory action, which could not be explained on the basis of atropinelike effect, appeared to be due, at least in part, to a selective block of the parasympathetic ganglia representing a new type of pharmacodynamic action. Since H-635 (representative of all 3 I derivs.) was elso capable of abolishing the effects of direct chemical stimulation of the hypothalamus (i.e., the rage reaction evoked by an intrahypothalamic injection of carbachol into cats), this indicated that the compds. could profoundly alter the function of that part of the brain which is primarily responsible for the regulation of gastric acid and gastric juice secretion. This hypothalamic effect and the parasympathetic ganglion-blocking action both play a part in the antisecretory activity of I derivs. The ratios of the LD50 values after ocal and i.p. administration, which could be regarded as an approx. measure of intestinal absorption, were much higher for H-635 in the mouse and the rat than for novo-atropine or Pro-Banthine. Since H-635, in addition to its novel pharmacodynamic action, strongly inhi

L4 ANSWER 118 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1969:500211 CAPLUS DOCUMENT NUMBER: 71:100211

71:100211 Effects of 1-{di(2-chloroethyl)aminomethyl]benzimidazo le and related compounds on the growth of experimental

AUTHOR (S):

CORPORATE SOURCE:

tumors
Reddy, V. V. Subba; Sirsi, M.; Revankar, G. R.;
Siddappa, S.
Microbiol. Pharmacol. Lab., Indian Inst. Sci.,
Bangalore, India
Journal of Pharmacy and Pharmacology (1969), 21(9),
573-6
CODEN: JPPMAB; ISSN: 0022-3573

SOURCE:

DOCUMENT TYPE:

CODEN: JPPMAB; ISSN: 0022-3573

MENT TYPE: Journal

NUAGE: English
The inhibitory activity of some benzimidazole Mannich-base N mustards on
the growth of exptl. tumors, viz. mouse fibrosarcoma in mice and Yoshida
assites sarcoma in rats has been examined Among the compds. tested
5,6-dichloro-1[di(2-chloroethyl) aminomethyl]benzimidazole and
1-[di(2-chloroethyl)-aminomethyl)-2-phenylbenzimidazole showed an
inhibitory effect on mouse fibrosarcoma. 4-Bromon-1-[di(2chloroethyl) aminomethyl]benzimidazole, 4-chloro - 1 - [di(2chloroethyl) aminomethyl]benzimidazole, and 1-[di(2chloroethyl) aminomethyl]benzimidazole were active against
Yoshida sacites sarcoma.
13786-45-13786-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1386-45-1

(uses) (neoplasm inhibition by)
13786-65-7 CAPUS
1H-Benzimidazole-1-methanamine, N.N-bis(2-chloroethyl)-2-phenyl- (9CI)
(CA INDEX NAME)

05/24/2005

ANSWER 117 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued) 5322-96-3 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX

5322-97-4 CAPLUS
Benzimidazole, 2-phenyl-1-{2-{1-pyrrolidinyl}ethyl}- (7CI, 8CI) (CA INDEX

14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX VAME)

14671-52-4 CAPLUS
Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)- (8CI) (CA INDEX NAME)

L4 ANSWER 119 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1968:443924 CAPLUS
COCUMENT NUMBER: 69:43924
FATENT ASSIGNEE(S): 69:43924
ENTERED ACCESSION OF ACCE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 1488281 19670713 FR 19660329

For diagram(s), see printed CA Issue.
Anthelmintic compds. (I) containing in the same mol. a phenothiazine and a benzimidazole nucleus substituted in position were prepared In an example 37.7 g. N-(3-[10-phenothiazinyl)-propyl]-2-nitroaniline in a solution of 250 ml. anhydrous pyridine was treated with 14.8 g. 4-thiazolylcarbonyl chloride over night at room temperature, a dinitranilide was separated and put in 150

Over night at room temperature, a dinitranilide was separated and put in 150 EtON with 50 ml. concentrated HCl. It was treated with H at 3 atms. in the presence of 2 g. of 5 P do no alumina. Hydrogenation with stirring was atopped when H absorption reached 0.6 g. The pressure was lowered to atms. and the reaction boiled 4 hrs. to give I (K = (CH2)3, R = 4-thiszolyl). Also prepared were the following I (X and R given): (CH2)2, 2-turyl; COCH2CO, 2-turyl: and 1-{2-1(0-phenothiszinyl)etnyl)-5,6-dimethyl-2-{2-fenorylbenzimidazole} and 1-{10-phenothiszinylacetyl}-5,6-dichloro-2-phenylbenzimidazole. 15647-74-1 PS47-76-JP
RL: SFN (Synthetic preparation): PREP (Preparation)
(preparation of)
19547-74-1 CAPUS
Phenothiszine, 10-{2-(2-(o-chlorophenyl)-5,6-dimethyl-1-benzimidazolyl)ethyl)- (8CI) (CA INDEX NAME)

19547-76-3 CAPLUS Benzimidazole, 5,6-dichloro-1-(phenothiazin-10-ylacetyl)-2-phenyl- (8CI) (CA INDEX NAME)

ANSWER 119 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

L4 ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
ACCESSION MUMBER: 1968:39625 CAPLUS
COCUMENT NUMBER: 68:39625 CAPLUS
INVENTOR(S): Spickett, Robert G. W.; Ridley, Horace F.
SOURCE: Smith Kline and French Laboratories Ltd.
SOURCE: Smith Kline and French Laboratories Ltd.
Brit., 4 pp.
CODEN: BRXXAA
Patent INFORMATION: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 1064114 19570405 GB 19630201

GI For diagram(s), see printed CA Issue.

AB Benzimidazoles (I), of possible value as chemotherapeutic agents, are prepared by treating the substituted aniline (II) with RCN, RCHO, or their derivs., or RCO2H, or RCO2OR. Thus, II (RI = 4-cl, R2 = Me, n = 2) (15.6 g.) and the bisulfite addition compound of PhCHO (14.6 g.) was refluxed 3 hrs. with 150 ml. EtcH. Filtration, concentration, dilution with H2O, and extraction with C6H6 gave 10 g. I (R = Ph, RI = 6-cl, R2 = Me, n = 2), m. 105-8\* (iso-PrOH). Similarly prepared were the I given in the lat table. [TABLE OMITTED) Alternatively, I may be prepared by treating the substituted benzimidazole (III) with the amine X(CH2)nN822 and a condensing agent, e.g., NAH. Thus, III (R = p-c1C6H4, R1 = H) (11.5 g.) in 75 ml. HCONMe2, keeping the temperature at 20\*. After 4 hrs. stirring 0.1 mole Me2N(CH2)2cl in 50 ml. C6H6 was added and the mixture stirred 16 hrs. at 20\* and then 3 hrs. at 65\*. [TABLE OMITTED) Dilution with H2O and extraction with C6H6 yielded 10.4 g. I (R = p-c1C6H4, R1 = H), R2 = Me, n = 2), m. 87-9\* (gasoline). Similarly prepared were the I given in the 21, m. 87-9\* (gasoline). Similarly prepared were the I given in the 21 (sasoline). Similarly prepared were the I given in the 21 (sasoline). Similarly prepared were the I given in the 21 (sasoline). Similarly prepared were the I given in the 21 (sasoline). Similarly prepared were the I given in the 21 (sasoline). Similarly prepared were the I given in the 22 (sasoline). Similarly prepared were the I given in the 24 (sasoline). Similarly prepared were the I given in the 24 (sasoline). Similarly prepared were the I given in the 24 (sasoline). Similarly prepared were the I given in the 24 (sasoline). Similarly prepared were the I given in the 24 (sasoline). Similarly prepared were the I given in the 25 (sasoline). Similarly prepared were the I given in the 26 (sasoline). Similarly prepared were the I given in the 26 (sasoline). Similarl

4946-04-7 CAPLUS
Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]-

ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (7CI, 8CI) (CA INDEX NAME) (Continued)

14339-16-3 CAPLUS
Benzimidazole, 1-[3-(dimethylamino)propyl]-2-phenyl- (8CI) (CA INDEX NAME)

14988-18-2 CAPLUS
Benzimidazole, 1-[3-(dimethylamino)propyl]-2-phenyl-, dihydrochloride
(8c1) (CA INDEX NAME)

ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

16823-15-7 CAPLUS
Benzimidazole, 1-{2-{diethylamino}ethyl}-2-phenyl-5-{trifluoromethyl}-(8CI) (CA INDEX NAME)

16823-16-8 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl)-2-phenyl-5-(trifluoromethyl)-,
monohydrochloride (8CI) (CA INDEX NAME)

● HCl

16861-66-8 CAPLUS mothydrochloride (8CI) (CA INDEX NAME)

● HC1

16861-67-9 CAPLUS
Benzimidazole, 2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]- (8CI) (CA

(CH<sub>2</sub>) 3-NMe<sub>2</sub>

16823-12-4 CAPLUS
Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-phenyl- (8CI) (CA INDEX NAME)

16823-14-6 CAPLUS Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl}-2-phenyl-, monohydrochloride (8CI) (CA INDEX NAME) ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN INDEX NAME) (Continued)

CH2- CH2- NMe2

16861-68-0 Benzimidazole, 2-{p-chlorophenyl}-1-{2-(dimethylamino)ethyl}-, monohydrochloride (8CI) (CA INDEX NAME)

CH2 - CH2 - NMe2

● HCl

16861-70-4 CAPLUS
Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]-,
monohydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

• HCl

CAPLUS Benzimidazole, 1-{2-{dimethylamino}ethyl]-2-{p-methoxyphenyl}- (7CI, 8CI) (CA INDEX NAME) ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

CH2- CH2-NMe2

16861-72-6 CAPLUS Benzimidazole, 1-{2-(dimethylamino)ethyl]-2-(p-nitrophenyl)- (8CI) (CA INDEX NAME)

CH2- CH2- NMe2

16861-73-7 CAPLUS
Benzimidazole, 1-{2-{dimethylamino}ethyl}-2-{p-fluorophenyl}- (8CI) (CA
INDEX NAME)

CH2-CH2-NMe2

16861-74-8 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(p-fluorophenyl)-, dihydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

●2 HC1

L4 ANSWER 121 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1967:516847 CAPLUS DOCUMENT NUMBER: 67:116847 CAPLUS Imidazole derivatives. XL. Synt

AUTHOR (S)

CORPORATE SOURCE: SOURCE:

67:116847
Imidazole derivatives. XL. Synthesis of benzimidazole analogs of psilocine Efros, L. S.; Kumarev, V. P.; Zakhs, E. R. Leningr. Teknol. Inst., im. Lensoveta, Leningrad, USS Khimiya Geterotsiklicheskikh Soedinenii (1967), (2), 336-8
CODEN: KGSSAQ: ISSN: 0132-6244
Journal

DOCUMENT TYPE: LANGUAGE:

CODEN: KGSSAQ: ISSN: 0132-6244

JOURNAL
JOURNA

to the complete dissoln. of the precipitate The solution was evaporated in vacuo

The complete dissoln. of the precipitate The solution was evaporated in vacuo jive

V.20cl (R = Ph), m. 107° (10:1 H2O-EtOH). A mixture of IV,
PhcH2CO2H, and 4N HCl (1:1.25:5) was boiled 5 hrs. according to Phillips
(P. et al., CA 23: 141) to yield 90-51 V.2Hcl (R = CH2Ph), m.
223-6° (decomposition). Dihydrobromides of VI were prepared in 80-951
yields by boiling V derivs. 4 hrs. with a 7-10-fold excess of concentrated HBr.
After boiling, the mixts. were evaporated almost to dryness, MeZCO or PrOH was
added to give dihydrobromides of VI (R and m.p. given): H, 240° (decomposition) (PrOH-EtOH 10:1): Ph, 247-9° (decomposition) (Me2CO): CH2Ph,
-(decomposing without melting). 4 references.
16315-11-0P 16315-14-3F
RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation of)
16315-11-0 CAPLUS
Enzimidazole, 1-[2-(dimethylamino)ethyl]-7-methoxy-2-phenyl- (SCI) (CA
INDEX NAME)

CH2-CH2-NMe2

16315-14-3 CAPLUS
7-Benzimidazolol, 1-[2-(dimethylamino)ethyl)-2-phenyl-, dihydrobromide
(BCI) (CA INDEX NAME)

ANSWER 121 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

- CH2-- NMe2

●2 HBr

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L4 ANSWER 123 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1967:85730 CAPLUS
DOCUMENT NUMBER: 66:85730
BENTIMIDADES: MINIMARY OF THE MATTER STATE OF THE MATTER STAT
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RN 5354-79-0 CAPLUS CN Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]-, monohydrochloride (8CI) (CA INDEX NAME)

● HCl

```
L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1967:65425 CAPLUS
DOCUMENT NUMBER: 66:65425
TITLE: Benzazoles. III. Alkylation of benzimidazoles
AUTHOR(S): GROWN GR
```

CH2-CH2C1 CH2-N-CH2-CH2C1

#### 05/24/2005

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ph CH2-CH2-NEt2

RN 5322-96-3 CAPLUS
CN 1H-Benzimidazole, 1-[2-(4-morpholiny1)ethy1]-2-pheny1- (9CI) (CA INDEX NAME)

N-- CH2- CH2-- N

RN 5322-97-4 CAPLUS CN Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]- (7CI, 8CI) (CA INDEX NAME)

N-CH2-CH2-N

RN 5322-99-6 CAPLUS CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)- (7CI, 8CI) (CA INDEX NAWE)

CH2-CH2-NEt2

RN 14268-92-9 CAPLUS
CN Benzimidarole, 1-[2-[diethylamino]ethyl]-2-(p-methoxyphenyl)-,
dihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

●2 HC1

RN 14339-08-3 CAPLUS CN HH-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride (9C1) (CA INDEX NAME)

N Ph Ph CH2- CH2- N

●2 HC1

RN 14339-09-4 CAPLUS CN 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

N - CH2 - CH2 - N

RN 14339-10-7 CAPLUS
CN 1H-Benzimidazole, 1-{2-(4-morpholinyl)ethyl}-2-phenyl-, dihydrochloride
(9CI) (CA INDEX NAME)

Ph N- CH<sub>2</sub>- CH<sub>2</sub>- N

●2 HC1

RN 14339-16-3 CAPLUS
CN Benzimidazole, 1-[3-(dimethylamino)propyl]-2-phenyl- (8CI) (CA INDEX NAME)

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continu

CH2-CH2-NEt2

●2 HC1

RN 14268-94-1 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-, dihydrochloride (8CI)
(CA INDEX NAME)

Ph CH2-CH2-NEt2

●2 HC1

RN 14338-98-8 CAPLUS
CN Benzimidazolium, 1-[2-(diethylmethylammonio)ethyl]-1-methyl-2-(3,4,5-trimethoxyphenyl)-, diiodide (8CI) (CA INDEX NAME)

CH2-CH2-N-Et | Et OMe

•2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

14339-06-1 CAPLUS

CN Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride
(8CI) (CA INDEX NAME)

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ph (CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>

RN 14492-91-2 CAPLUS
CN Benzimidazolium, 3-methyl-2-phenyl-1-[3-(trimethylammonio)propyl]-,
dliodide (8C1) (CA INDEX NAME)

Ph (CH<sub>2</sub>) 3- N+Me<sub>3</sub>

•2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 14521-64-3 CAPLUS
CN 1-Benzimidazoleacetamide, N,N-diethyl-2-phenyl-, monohydrochloride (8CI)
(CA INDEX NAME)

Ph O CH2-C-NEt

• HCl

RN 14551-03-2 CAPLUS CN Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)-, dihydrochloride (8CI) (CA INDEX NAME)

N (CH2) 3 N

●2 HC1

ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 14671-52-4 CAPLUS
Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)- (8CI) (CA INDEX NAME)

14937-11-2 CAPLUS Benzimidazolium, 1-{2-(diethylmethylammonio)ethyl}-3-methyl-2-phenyl-, dilodide (8CI) (CA INDEX NAME)

●2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 14988-18-2 CAPLUS
CN Benzimidazole, 1-{3-(dimethylamino)propyl}-2-phenyl-, dihydrochloride (SCI) (CA INDEX NAME)

●2 HC1

ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

5499-62-7 CAPLUS
Benzimidazole, 1-{2-{diethylamino}ethyl}-2-{m-nitrophenyl}-, dipicrate (7CI, 8CI) (CA INDEX NAME)

CRN 5499-61-6 CMF C19 H22 N4 O2

СМ 2

CRN 88-89-1 CMF C6 H3 N3 07

5499-63-8 CAPLUS Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)

6225-04-3 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-nitrophenyl)-, dipicrate (7CI, 8CI) (CA INDEX NAME)

CM 1

CRN 5499-60-5 CMF C19 H22 N4 O2

L4 ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1966:84551 CAPLUS
OCUMENT NUMBER: 64:84551
ORIGINAL REFERENCE NO: 64:138699-h
Synthesis of 2-{o-, m-, or pnitrophenyl)benzimidazoles having β(dialkylamino)ethyl group in position I
Sawlewicz, Jozef: Wyzinska, Danuta
CORPORATE SOURCE: SOURCE: Gdanskie Towarzyst. Nauk., Wydzialu Nauk Mat.
Przyrodniczych, Rozprawy Wydzialu III (1964), No. 1,
185-92
DOCUMENT TYPE: Journal

Przyrodniczych, Rozprawy Wydział Nauk Mat.

185-92

UMENT TYPE: Journal

GUAGE: Polish

cf. preceding abstract Reaction of B-(diethylamino)ethyl chloride-HCl

with 2-(o-, m-, or p-nitrophenyl)benzimidazoles in anhydrous dioxane with

NaNHZ catalyst yielded, after boiling 20 hrs., corresponding

(HeOH-HECO); 52.3, 186-7 (EtOH), resp. The p-nitro derivs. were

isolated as picrates (t yield and m.p. given); 54, 197-9\*

(MeOH-HECO); 52.3, 186-7 (EtOH), resp. The p-nitro derivative, m.

96.3-100\* (C6fi6) was obtained in 578 yield. Attempts to prepare

these compds. by substituting the C1 in 1-(p-chloroethyl)-2-(o-, m-,

or p-nitrophenyl) benzimidozoles with ELONI were unsuccessful.

5499-60-3, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(o-, m-,

cidethylamino)ethyl)-2-(m-nitrophenyl)-3499-62-7,

Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(p
nitrophenyl)- 6225-04-3, Benzimidazole, 1-(2
(diethylamino)ethyl)-2-(o-nitrophenyl)-, dipicrate

(preparation of)

5499-60-5 CAPBUS

Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(o-nitrophenyl)- (7CI, 8CI)

(CA INDEX NAME) DOCUMENT TYPE: LANGUAGE: AB cf. preced

5499-61-6 CAPLUS
Benzimidazole, 1-[2-[diethylamino]ethyl]-2-[m-nitrophenyl]- (7CI, 8CI)
(CA INDEX NAME)

L4 ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 88~89-1 CMF C6 H3 N3 O7

#### 05/24/2005

L4 ANSWER 126 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1966:43862 CAPLUS DOCUMENT NUMBER: 64:43862 CAPLUS GRIGINAL REPERENCE NO.: 64:81956-1,81968 Phototropic compounds
E. I. du Pont de Nemours & Co.
20 pp.
Patent PATENT ASSIGNEE(S): DOCUMENT TYPE: Unavailable FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

NL 296772 19650525 NL 19630816
Dimers of 2,4,5-triphenylimidazoles, having at least one substituent free
of a reactive H and which substituent is ortho to the 2-phenyl group, show
phototropic properties of fast color change. Thus, refluxing 2 hrs. a
mixture of 2.1 g. benzil, 50 g. AcOH, 6 g. NH4OAC, and 1.4 g.
o-ClC6H4CHO and pouring the solution into 200 g. cold H2O gave 3.1 g.
2-(o-chlorophenyl)-4,5-diphenylimidazole (II, m. 196-7\*
(EtON). Adding within 1.5 hrs. 450 g. 1% aqueous K3Fe(CN)6 to a solution of

(EtOH). Adding within 1.5 hrs. 450 g. 11 aqueous KSFe(CN)6 to a solution of g. I in 100 g. EtOH and 12 g. KOH, filtering off the precipitate, washing this with H2O, and drying 8 hrs. at 56'/O.1 mm. gave the EtOH-solvated dimer of I (2 moles EtOH-3 moles dimer), m. 95-110', color at 170' lavender, at 190' red-brown, and at 220' red.
Its color return (purple to light yellow) after exposure to sunlight is 16 times as fast as that of the unsubstituted substance. Similarly were prepared the following 2-substituted 4, 5-diphenylimidazoles (2-substituent, m.p. monomer, m.p. dimer, color of dimer, color of dimer after exposure to sunlight, and ratio of color return rate as compared with that of the unsubstituted compound): 2, 4-c12C6H3, 174.5-5.0', 90', 11ght yellow, purple, 35; 2-MeOC6H4, 207.5-8.5', 160', 11ght green, light blue, 17: 2, 4-(MeO)2C6H3, 164-5', --, light green, blue-green, 2: 1-naphthyl, 289.5-90', 153', light green, purple, 16; 2-FC6H4, 205.5-6.5' 106', 11ght yellow, purple, 13: 2-EtOC6H4, --, 138', light yellow, blue, --, 5322-96-3, Benzimidazole, 1-(2-morpholinoethyl)-2-phenyl-5322-97-4, Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl)-5322-97-4, Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl)-phenyl-, hydrochloride 128-97-4, Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl)-2-phenyl-1, hydrochloride (preparation of) 5322-96-3 CAPLUS
1H-Benzimidazole, 1-(2-(4-morpholinyl)ethyl)-2-phenyl- (9CI) (CA INDEX NAMS)

1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX

L4 ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1966:43861 CAPLUS
OCUMENT NUMBER: 64:43861
ORIGINAL REFERENCE NO.: 64:8195d-f

64:8195d-r Substituted benzimidazole derivatives Hideg, Kalman; Hankovszky, H. Olga; Mehes, Gyula; Decsi, Laszlo; Varszegi, Maria Egyesult Gyogyszer es Tapszergyar INVENTOR(S):

PATENT ASSIGNEE(S):

6 pp.
Patent
Unavailable DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE

HU 152439 19651122 HU 19640406

For diagram(s), see printed CA Issue.

Various I with pharmacol. activity are prepared by the reaction of RI-substituted benzimidazole and R2(CH2)nCl. Thus, a solution of 0.1 mole N-(B-chloroethyl)diethylamine in 50 ml. C6H6 is added to a suspension of 0.1 mole 2-(y-y-yridyl)benzimidazole and 0.2 mole KOH in 200 ml. C6H6, the mixture heated to complete the reaction, filtered, and concentrated

of 0.1 mole 2-(y-pyridyl)benzimidazole and 0.2 mole KOH in 200 ml. C6H6, the mixture heated to complete the reaction, filtered, and concentrate yield 1-(B-diethylaminoethyl)-2-(ypyridyl)benzimidazole, b0.8 240-5; HCl salt m. 225-7. Similarly are prepared the following derivs. (RI,R2, n. b.p./mm. of the base, m.p. of the HCl salt, and 8 yield given): H. Et2N. 2, 240-60\*/1.0-5,196-9.\*, 77; H. N-piperidyl. 2, 220\*/2.5, 120-21\*, 0.8 N-piperidyl. 2, 220\*/2.5, 120-31\*, 72; Ph. N-morpholinyl. 2, 230\*/1.5, 120-31\*, 72; Ph. N-morpholinyl. 2, 230\*/1.5, 120-31\*, 72; Ph. N-morpholinyl. 2, 232-4\*/0.2, 198-200\*, 55; Ph. N-pyrcolidyl. 2, 280\*/0.8, 138-41\*, 71; p-MeoC6H4, Et2N. 2, 260\*/0.9, 63-4\* (base) 203-6\*, 63; 3.4, 5-trimethoxyphenyl. Et2N. 2, 238\*/0.8, 208-10\*, 78; y-pyridyl. Et2N. 2, 232\*/0.25, 108-10\*, 78; y-pyridyl. Et2N. 2, 228\*/0.8, 208-10\*, 78; y-pyridyl. Et2N. 2, 228\*/0.8, 208-10\*, 78; y-pyridyl. Et2N. 2, 222\*/0.25, 161-84\*, 78; a-furyl, Et2N. 2, 220\*/0.9, 161-84\*, 78; a-furyl, Et2N. 2, 220\*/0.9, 161-84\*, 78; a-furyl, Et2N. 2, 220\*/0.5, 193-7\*, 53; and Ph. Et2N. 2, 232\*/0.25, 180-10\*, 78; y-pyridyl. Et2N. 2, 232\*/0.25, 180-10\*, 78; y-pyridyl. Et2N. 2, 232\*/0.25, 180-10\*, 78; y-pyridyl. Et2N. 2, 232\*/0.25, 180-10\*, 78; y-pyridyl, Et2N. 2, 232\*/0.25, 180-10\*, 79; y-pyridyl, Et2N. 2, 232\*, 79; y-pyridyl, Et2N. 2, 232\*, 79; y-p

ANSWER 126 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 5322-97-4 CAPLUS Benzimidazole, 2-phenyl-1-{2-(1-pyrrolidinyl)ethyl}- (7CI, 8CI) (CA INDEX NAME)

5322-98-5 CAPLUS
Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HC1

7128-97-4 CAPLUS Benzimidazole, 1-(Z-morpholinoethyl)-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

5294-98-4 CAPLUS Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(3,4,5-trimethoxyphenyl)-, hydrochloride (7CI, BCI) (CA INDEX NAME)

● HCl

5295-00-1 CAPLUS

Benzimidazole, 1-[2-(diethylamino)ethyl)-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

5295-01-2 CAPLUS Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HC1

5322-96-3 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX

ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN NAME) (Continued)

5322-97-4 CAPLUS אבריי איר בארנוט | Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]- (7CI, 8CI) (CA INDEX NAME)

5322-98-5 CAPLUS
Benzimidazole, Z-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

5322-99-6 CAPLUS
Benzimidazole, 1-[2-{diethylamino}ethyl}-2-{p-methoxyphenyl}- {7CI, 8CI}
(CA INDEX NAME)

5323-00-2 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)-,
hydrochloride (7CI, BCI) (CA INDEX NAME)

ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN CH2-CH2-NEto

7128-97-4 CAPLUS Benzimidazole, 1-{2-morpholinoethyl}-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

L4 ANSWER 128 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1966:35927 CAPLUS COUCHENT NUMBER: 64:35927 CAPLUS
OCCUMENT NUMBER: 64:6664g-h, 6665a-h
New heterocyclic compounds
TITLE: 7ATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 43 pp.
DOCUMENT TYPE: Patent Unavailable LANGUAGE: U.
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: Unavailable APPLICATION NO. PATENT NO. KIND DATE 19650810 BE 659530

ANSWER 128 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
198-200°: 1-8t-10b-(p-MeOC6H4), m. 126-9°:
1-propyl-10b-phenyl, m. 135-7°: 10b-(3-sulfamoyl-4-chlorophenyl),
m. 222-4°: 10b-methyl, bo.1 120-3°, m. 64.5°:
10b-ethyl, m. 127-5°: 10b-benzyl, m. 131°:
and 1,10b-dimethyl, bo.05 121-3°, derivs. of IV: 11b-phenyl1,2.3,4,5,11b-hexahydro-7M-1,3]diazepino(2,1-a]isoindol-7-one (V), m.
180-1°: 11b-[p-C1C6H4], m. 134-5°, derivs. of V;
9a-(p-chlorophenyl)-9,9a-dihydro-18H-dibenzo-(4,5:6,7)
[1,3]diazepino(2,1-a]isoindol-14-one (V1), m. 231-3°:
10b-(p-chlorophenyl)-1,3,4,10b-tetrahydropyrido(3',2':3,4)pyrrolo(1,2-a)pyrimidin-6(2H)-one (VII), m. 246-7°: 9b-(p-chlorophenyl)-1,2,3,9b-tetrahydro-5H-imidazo-(1',2':1,2)pyrrolo(4,3-b)pyridin-5-one, m.
226-7°: 7a-phenylhexahydro-5H-pyrrolo(1,2-a)imidazol-5-one (VIII),
m. 86°: 1-Me-1a-(m-02No6H5), m. 129.5°:
7a-(p-tett-BuC6H4), m. 200°: 7a-(p-C1C6H4), m. 156°:
7a-(p-etct-BuC6H4), m. 134.5°: 7a-(p-MeOC6H4), m. 164°:
7a-(m-02NC6H4), m. 164.5°: 6,7a-diphenyl, m. 168°:
6-phenyl-7a-(4-methoxyphenyl), m. 150°, analogs of VIII;
8a-phenylhexahydropyrrolo(1,2-a)pyrimidin-6(2H)-one (IX), m. 134°;
8a-(p-C1C6H4), m. 125°: 8a-(m-C1C6H4), m. 178°: 8a-(p-E1C6H4), m. 125°: 8a-(p-E1C6H4), m. 125°: 8a-(p-E1C6H4), m. 134.5°;
8a-(p-Euct-BuC6H4), m. 151-3°, analogs and derivs. of IX;
8a-phenylhexahydroimidazo(1,2-a)-pyrimidin-5(IH)-one (X), m. 137°;
7,7-dimethyl-8a-phenyl, m. 99.5°; 6,7-Ph2-8a-(p-McOC6H4), m. 161°;
8a-phenylhexahydroimidazo(1,2-a)-pyrimidin-5(IH)-one (X), m. 137°;
7,7-dimethyl-8a-phenyl, m. 99.5°; 6,7-Ph2-8a-(p-McOC6H4), m. 161°;
1-Et-8a-(p-C1C6H4), m. 151°, 1-Me-8a-(p-C1C6H4), m. 151-2°;
1-Et-8a-(p-C1C6H4), m. 150°, 1-Me-8a-(p-C1C6H4), m. 151°;
1-p-10-8a-(p-McOC6H4), m. 150°, 1-Me-8a-(p-C1C6H4), m. 150°, 1-Me-8a-(p-C1

L4 ANSWER 129 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1966:27499 CAPLUS
OCCUMENT NUMBER: 64:27499
ORIGINAL REFERENCE NO.: 64:5069d-f
ITILE: The photolysis of 2-chloro-2-nitrosobutane
AUTHOR(5): Baldwin, J. E.; Rogers, N. H.
CORPORATE SOURCE: Imp. Coll., London
SOURCE: Chemical Communications (London) (1965), (21), 524-5
CODENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. Mitchell and Cameron, CA 33, 24164; Bull, et al., CA 63, 3014d.
Photolysis of 2-chloro-2-nitrosobutane in a methanol-ether mixture using a tungsten lamp gave a hydrochloride, C8H1402N2, HCl, which could be converted into the base by use of EL3N. Evidence from uv, ir, N.M.R., and chemical degradation points to a dinitrone structure [11] for the base. Acid hydrolysis of 1, gave an approx. equimolar mixture of ethyl methyl ketoxime (II) biacetyl monoxime (III). Treatment of these compds. With methanolic reaction, thus implying that II and III are the initial products of the photochem. reaction.

IT 4946-03-6, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-4946-07-8, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-1-[2-(didethylamino)ethyl]-1-[2-(didethylamino)ethyl]-2-phenyl-5234-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-5234-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 5-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-7, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-6-6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-45-6, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl-524-60-6-6-chloro-1-(2-(dimethylamino)ethyl)-2-phe

4946-04-7 CAPLUS Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-(2-(dimethylamino)ethyl)-(7CI, 8CI) (CA INDEX NAME)

4946-05-8 CAPLUS

L4 ANSWER 130 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1966:27498 CAPLUS
OCCUMENT NUMBER: 64:27498
ORIGINAL REFERENCE NO.: 64:5069d
A new synthesis of benzimidazoles and aza-analogs
AUTHOR(S): Alidey, H. F.; Spickett, R. G. W.; Timmis, G. M.
Smith Kline French Labs. Ltd., Welwyn Garden City, UK
SOURCE: Journal of Heterocyclic Chemistry (1965), 2(4), 453-6
CODEN: JHTCAD; ISSN: 0022-152X
JOURNAL
ENROUAGE: Enables

DOCUMENT TYPE:

OTHER SOURCE(S):

MENT TYPE: Journal
UNGE: English
R SOURCE(S): CASRRACT 64:27498
A new procedure for the preparation of benzimidazoles and aza analogs from
o-diamines and aldehyde bisulfite adducts is described.
4946-05-8, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5(trifluoromethyl)-, dihydrochloride
(preparation of)
4946-05-8 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5-(trifluoromethyl)-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)

• 2-HC1

ANSWER 129 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Benzimidazole, 1-[2-[diethylamino]ethyl]-2-phenyl-5-(trifluoromethyl)-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)

●2 HC1

5012-49-7 CAPLUS Benzimidazole, 5-chloro-1-[2-(dimethylamino)ethyl]-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

C1 
$$\stackrel{\text{N}}{\longrightarrow}$$
  $\stackrel{\text{Ph}}{\longrightarrow}$   $\stackrel{\text{CH}_2-\text{ CH}_2-\text{ NMe}_2}{\longrightarrow}$ 

5234-45-7 CAPLUS Benzimidazole. 1-[2-(dimethylamino)ethyl)-2-phenyl-5-(trifluoromethyl)-, hydrochloride (761, 861) (CA INDEX NAME)

L4 ANSMER 131 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1965:494764 CAPLUS COCUMENT NUMBER: 53:94764 ORIGINAL REFERENCE NO.: 63:17370d-g

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE:

b3:17370d-g
TWO-Component diazo materials giving images of improved stability
Kalle A.-G.
11 pp.
Patent

PATENT ASSIGNEE(S):

SOURCE: DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND DATE

NL 6414440

PRIORITY APPIN. INFO:

GI For diagram(s), see printed CA Issue.

AB The materials which are described contain a diazotized p-phenylenediamine and at least one 2-(3,5-dihydroxyphenyl)benzimidazole compound of general formula I, where Ri is H or a substituted or unsubstituted alkyl group, RZ is H, F, Cl, Br, or an alkyl or alkoxy group, and R3 is H or Br. For example, a copying paper precoated with colloidal silicic acid and poly(vinyl acetate) was coated with 100 ml. of a solution containing citric acid

(4 g.), boric acid (2 g.), concentrated HCl (0.5 ml.), thiourea (4 g.), 1,3,4-naphthalenetrisulfonic acid (3 g.), 1 g. 4-diazonium diethylaminobenzene chloride-ZnCl2, and 1-(y-morpholinopropyl)-2-(4-bromo-3,5-didroxyphenyl) benzimidazole (2 g.). The coated paper was exposed and then developed with NH3 to give a reddish blue image on a pure white background. The benzimidazole derivative used in this example was prepared by dissolving 4-bromo-3,5-diacetoxybenzoyl chloride (33.5 g.) in dioxane (100 ml.), and slowly adding the solution obtained to a solution of N-(y-morpholinopropyl)-o-phenylenediamine (21.5 g.) in dioxane (40 ml.) containing pyridine (8 ml.) at 30-40°. The dioxane was removed from the mixture by distillation, and the sirupy residue was dissolved in 2N

The saponified product (m.p. 115° with decomposition) was precipitated with

and 20 g. of the solid were heated with 304 HCl at 90° for 30 min. and then boiled for 10 min. to give a coloriess hydrochloride, m. 255° (decomposition) (H2O), free base m. 208-9° (decomposition) (PhCl).

5284-57-1, Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]- 100408-07-9, Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]-, hydrochloride (in light-sensitive composition for diazotype process)

5284-57-1 CAPLUS
Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]- (7CI, 8CI) (CA INDEX NAME)

ANSWER 131 OF 142 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

100408-07-9 CAPLUS Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]-, hydrochloride (7CI) (CA INDEX NAME)

●x HCl

ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(RC1 salt m. 219-20'); 1-PhCH2 analog (XXXVII) of III, XXIII. V.
II, 114'; 5-He deriv. (XXXIX) of III, 3.4-RN/NCHNH)CGH3Me, V.
III, 144'; 5-He deriv. (XXXIX) of III, 3.4-RN/NCHNH)CGH3Me, V.
III, 144'; 5-He deriv. (XXXIX) of III, 3.4-RN/NCHNH)CGH3Me, V.
III, 184-5'; 1-Ph analog (XL) of III, XXV, V, II, 106-7'; 1-Et analog (XLI) of III, XI, V, II, 129'; 1-Pr analog (XLI) of III,
XII, V, II, 130-1'; 5-NO2 deriv. (XLIII) of III,
XII, V, II, 130-1'; 5-NO2 deriv. (XLIII) of III,
XII, V, II, 130-1'; 5-NO2 deriv. (XLIII) of III,
XII, V, II, 130-6'; 6-MO0 deriv. (XLV) of III, 4,5-HZN/HENN)CGH3Me, V, II, 133-6'; 6-MO0 deriv. (XLV) of III, 4,5-HZN/HENN)CGH3CH, V, II, 133-6'; 6-MO0 deriv. (XLV) of III, 4,5-HZN/HENN)CGH3CH, V, II, 133-6'; 1-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII) of XX, XXI, V, II, 137-6'; 1-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-38'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-38'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), 122-38'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-38'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-38'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-18'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), IV, 24-(HO)ZCGH3CHO, II, 122-18'; bis[l-methyl-2-(o-hydroxypheny)haphtho[2] (XLVII), II, 24-(HO)ZCGH3CHO, II, 24-(HO)

L4 ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 59:62362 CAPLUS
COCUMENT NUMBER: 59:62362
CRIGINAL REFERENCE NO: 59:11505e-h, 11506a-e
Light-sensitive N-alkyl-2-benzimidazolylphenyl
1,2-quinone diazide sulfonates
Sues, Oskar
ATOPIAT ASSIGNEE(S): Suppose Corp.
10 pp. PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Unavailable PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 3050389

PRIORITY APPIN. INFO::

B A series of light-sensitive esters was prepared by the condensation of 1,2-benzoquinone 2-diazide sulfonyl chlorides or 1,2-naphthoquinone 2-diazide sulfonyl chlorides with sultable 2-(hydroxyaryl)benzimidazoles or 2-(hydroxyaryl)naphthoimidazoles. The new esters are useful for the production of light-sensitive layers on paper or Al foil support by standard procedures. The appropriate o-diamine of the benzene or naphthalene series condensed in alc. solution with a sultable aromatic hydroxybenzaldehyde in the presence of air or PhNO2 (I) or m-C6H4(NO2)2 (II) yielded the corresponding benz- or naphthimidazole; in this manner were prepared the following compds. (diamine and aromatic hydroxyaldehyde used, added oxidant, and mp. of product given): 1-methyl-2-(o-hydroxyphenyl)benzimidazole (III), o-MeNHC6H4NN2 (IV), o-HOC6H4CH0 (VI), air, 283-5';
1-methyl-2-(4-hydroxy-3-methoxyphenyl)benzimidazole (VIII), IV, 4,3-H0(MeO)C6H3CH0 (XII), XII, air, 242-5'; 1-Pr analog (XI) of VI, o-FUNHC6H4NN2 (XII), VII, air, 242-5'; 1-Pr analog (XII) of VI, o-BUNHC6H4NN2 (XIII), VII, air, 242-5'; 1-Pr analog (XII) of VI, o-BUNHC6H4NN2 (XIII), VII, air, 242-5'; 1-Pr analog (XII) of VI, 4,5-H2M(MeNN)C6H3OMe, VII, air, 168-70'; 6-MeO derivative (XV) of VI, 4,5-H2M (MeNN)C6H3OMe, VII, air, 247-9'; 5-NO2 derivative (XVII) of VI, 3,4-H2M (MeNN)C6H3OMe, VII, air, 196-8'; 1-PhCH2 (MENN)C6H3Me, VII, air, 197-9'; 5-NO2 derivative (XVII) of VI, 3,4-H2M (MeNN)C6H3Me, VII, air, 197-9'; 5-NO2 derivative (XVII) of VI, 3,4-H2M (MeNN)C6H3Me, VII, air, 196-8'; 1-PhCH2 analog (XXII) orDATA (Menny C6H3DM)C6H3CH0 (XIXI), I, 194-5'; 1-PhCH2 analog (XXII) orDATA (Menny C6H3ME)C6H3Me, VII, air, 196-8'; 1-PhCH2 analog (XXII) orDATA (Menny C6H3ME)C6H3Me, VII, air, 196-8'; 1-PhCH2 analog (XXII) orDATA (Menny C6H3Me)C6H3Me, VII, air, 196-8'; 1-PhCH2 analog (XXII) orDATA (Menny C6H3Me)C6H3Me, VII, air, 196-8'; 1-PhCH2 analog (XXIII) orDATA (Menny C6H3Me)C6H3Me, VII, air, 196-8'; 1-PhC PATENT NO. KIND DATE APPLICATION NO. DATE US

L4 ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

96590-66-8 CAPLUS 1-Naphthalenesulfonic acid, 3-diazo-3,4-dihydro-4-oxo-, 2-methoxy-4-[1-(2-piperidinoethyl)-2-benzimidazolyl]phenyl ester (6CI, 7CI) (CA INDEX NAME)

1-Naphthalenesulfonic acid, 6-diazo-5,6-dihydro-5-oxo-, ethylenebis(1,2-benzimidazolediyl-p-phenylene) ester, hydrochloride (7cI) (CA INDEX NAME)

ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●x HCl

120335-74-2 CAPLUS
1-Naphthalenesulfonic acid, 6-diazo-5,6-dihydro-5-oxo-,
ethylenebis(1,2-benzimidazolediyl-p-phenylene) ester (6CI) (CA INDEX NAME)

ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 97572-69-5, Benzimidazole, 5-chloro-1-[4-(diethylamino)-1-methylbutyl-2-phenyl-, dipicrate 90067-91-5, Benzimidazole, 5-chloro-1-[3-(diethylamino)-1-methylpropyl]-2-phenyl-5-Chloro-1-[3-(alechylamino)-1-methylpropyl]-2-phenyl-(prepn. of) 95005-44-0 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[3-(diethylamino)-1-methylpropyl]- (7CI) (CA INDEX NAME)

95140-02-6 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-(4-(diethylamino)-1-methylbutyl)- (7CI) (CA INDEX NAME)

95619-70-8 CAPLUS
Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-(2-(diethylamino)-1-methylethyl)-, dihydrochloride (7CI) (CA INDEX NAME)

●2 HC1

95619-71-9 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-(2-(diethylamino)-1-methylethyl)- (7C1) (CA INDEX NAME)

L4 ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1963:428514 CAPLUS
DOCUMENT NUMBER: 55:28514
CAPLUS
Benzimidazoles
Knobloch Wolfgang, I.; Schaefer, Helmut
Journal fuer Praktische Chemie (Leipzig) (1962),
17(3-4), 187-98
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE:
LANGUAGE: Unavailable COMENT TYPE:

COUNTYPE:

COUNTYPE LANGUAGE: OTHER SOURCE(S):

L4 ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

96076-12-9 CAPLUS Benzimidazoile, 5-chloro-2-(p-chlorophenyl)-1-(3-(diethylamino)-1-methylpropyl]-, picrate (7CI) (CA INDEX NAME)

CM 1

CRN 88-89-1 CMF C6 H3 N3 O7

96673-83-5 CAPLUS
Benzimidazole, S-chloro-2-(p-chlorophenyl)-1-[4-(diethylamino)-1-methylbutyl]-, dipicrate (7CI) (CA IMDEX NAME)

CM 1

ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH2) 3 - NEt2

97572-68-4 CAPLUS 1H-Benzimidazole-1-butanamine, 5-chloro-N,N-diethyl-6-methyl-2-phenyl- (951) (CA INDEX NAME)

CH- (CH2)3-NEt2

97572-69-5 CAPLUS
Benzimidazole, 5-chloro-1-[4-(diethylamino)-1-methylbutyl]-2-phenyl-,
dipierate (7C1) [CA INDEX NAME]

CRN 97572-68-4 CMF C22 H28 C1 N3

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 59:28513 CAPLUS

DOCUMENT NUMBER: 59:28513

SYNThesis of 2-[o(m and p)-methoxyphenyl]benzimidatoles with the b-dialkylaminoethyl group in position 1

SAURCH: JOZEF: BUKOWSKI, Ludwik: Rogaczewska, Maria Med. Acad., Gdansk, Pol.

DISSETTATIONENT TYPE: DISSETTATIONED THATMACTURE (1962), 14, 297-303

DOCUMENT TYPE: JOURNES SOURCE: DIPHAH; ISSN: 0301-1615 CODEN: DIPHRH; ISSN: 0301-1615

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

(I For diagram(s), see printed CA Issue.
AB A series of 1-(B-dialkylaminoethyl)-2-(methoxyphenyl)benzimidazoles

(II was prepared by condensing the appropriate 2(methoxyphenyl)benzimidazoles (II) and C1( CM2)2 NR2.HC1 (III) with NaNH2.
Thus, 0.01 mole each of II and III and 3.5 g. NaNH2, were refluxed 5 hrs.
in anhyd, dioxane. Distillation of the solvent gave I. Hydrochlorides of I Thus, 0.01 mole each of II and III and 3.5 g. NaNIZ, were refluxed 5 hrs. in anhyd, dioxane. Distillation of the solvent gave I. Hydrochlorides of I eprepd, by saturating a C6H6 solution with dry HCl. The following results were obtained [position of OMe in I and II, R, m.p. of I (recrystn. solvent), % yield of I, m.p. of picrate of I (recrystn. solvent), and m.p. of hydrochloride of I (recrystn. solvent), and m.p. of hydrochloride of I (recrystn. solvent), 8, 188-90' (MeZCO), 226-8' (EtOH); m. Et. -(oil), 83, 188-90' (MeZCO), 220-40' (EtOH); p. Et. 70-2' (C6H6-ligroine), 82.5, -(-), 150-3' and 233-5' (-); o. Me, -(oil), 68, 229-31' (MeZCO), 213-14' (EtOH); m. Me, -(oil), 68, 229-31' (MeZCO), 213-14' (EtOH); p. Me, 97-9' (C6H6-ligroine), 37.7, -(-), 174-6' and 217-18' (-). Reaction of 1 g. of a 1-(B-hydroxyethyl)-2- (methoxyphenyl)henrimidazole (IV) with 6.g. SOC12 at reflux 4 hrs., followed by distn, of the excess SOC12, treatment of the residue several times with C6H6, evapn, to dryness, solution in H2O, and treatment with NH3 solution, gave the following 1-Bchtoroethyl)-2- (methoxyphenyl)henzimidazoles (V) [position of OMe in IV and V, m.p. (recrystn. solvent), and a yield glaven]: o, 112-13' (C6H6-ligroine), 97, 75-7' (EtZO-ligroine), 77.3; p, 93-4' (C6H6-ligroine), 97, Rttempted prepn, of I (R = Et) by reaction of V with Et2NH at 160' for 12 hrs. gave no reaction. 5322-99-6, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(p-methoxyphenyl)- 95167-32-0-02. Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(p-methoxyphenyl)- 95167-33-0, Benzimidazole, 1-2-(diethylamino)ethyl)-2-(m-methoxyphenyl)- 95064-04-9, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(m-methoxyphenyl)- 97064-04-9, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(m-methoxyphenyl)- 97064-04-9, Benzimidazole, 1-2-(diethylamino)ethyl)-2-(m-methoxyphenyl)- (Proposition) 1-(Proposition) 1-(Pr

L4 ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

CH- (CH2) 3- NEt2

CM 2

05/24/2005

98067-91-5 CAPLUS
Benzimidazole, 5-chloro-1-[3-(diethylamino)-1-methylpropyl]-2-phenyl-(7CI) (CA IMDEX NAME)

CH-- CH2-- CH2-- NEt2

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ÇH2-- CH2-- NEt2

5323-00-2 CAPLUS
Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(p-methoxyphenyl)-,
hydrochloride (7CI, 8CI) (CA INDEX NAME)

CH2-CH2-NEt2

● HC1

16861-71-5 CAPLUS Benzimidazole, 1-(2-(dimethylamino)ethyl)-2-(p-methoxyphenyl)- (7CI, 8CI) (CA INDEX NAME)

CH2-CH2-NMe2

95167-32-1 CAPLUS
Ben2imidazole, 1-[2-(dimethylamino)ethyl]-2-(m-methoxyphenyl)- (7CI) (CA
INDEX NAME)

Me2N-CH2-CH2

95167-33-2 CAPLUS
Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(o-methoxyphenyl)- (7CI) (CA
INDEX NAME)

(Continued) ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

-- CH2 -- NMe2

96064-04-9 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(m-methoxyphenyl)- (7CI) (CA
INDEX NAME)

Et2N- CH2- CH2

96064-05-0 CAPLUS
Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-methoxyphenyl)- (7CI) (CA
INDEX NAME)

CH2-CH2-NEt2

98780-59-7 CAPLUS
Benzimidazole, 1-{2-(dimethylamino)ethyl}-2-(p-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)

CH2-CH2-NMe2

•x HCl

98780-60-0 CAPLUS

ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Et2N-CH2-CH2

●x HCl

ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Cont: Benzimidazole, 1-(2-(dimethylamino)ethyl)-2-(o-methoxyphenyl)-hydrochloride (7c1) (CA INDEX NAME) (Continued)

CH2- CH2- NMe2

●x HCl

98780-61-1 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(m-methoxyphenyl)-, hydrochloride (7C1) (CA INDEX NAME) 98780-61-1

Me2N- CH2- CH2

●x HCl

100337-81-3 CAPLUS Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-methoxyphenyl)-, hydrochloride (7C1) (CA INDEX NAME)

CH2-CH2-NEt2

•x HCl

100337-82-4 CAPLUS Benzimidazole, 1-(2-(diethylamino)ethyl]-2-(m-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 135 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1963:73368 CAPLUS DOCUMENT NUMBER: 58:73368 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 58:12574b-d Certain 1-imidazolinylmethyl-2-arylbenzimidazoles Schindler, Walter Geigy Chemical Corp. TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: 1 p. Patent DOCUMENT TYPE: LANGUAGE Unavailable PATENT INFORMATION:

DATE US PATENT NO. KIND DATE

US 3073841 19630115 US
GB 950523 GB
PRIORITY APPLN. INFO:
GI For diagram(s), see printed CA Issue.
AB Benzimidazoles substituted in the 1-position by the 2-imidazolin-2ylmethyl radical have uterus-contracting properties. To o-C6H4(NNE)2 32
in 95 parts by volume of alc. 36 parts p-Mec6H4CNO was added dropwise at
0°, 90 parts PhNO2 added, the alc. and H2O distilled azectropically,
the mixture heated at the b.p. of PhNO2 15 min., cooled, an equivn amount of
alc. HCl added, 2-(p-methylphenyl)benzimidazole-HCl filtered off, washed
with anhydrous alc., suspended in H2O, concentrated Na2CO3 solution added, and
the

free base (I) filtered off, washed with, and recrystd. from, alc., m. 276-8°. I 6 was dissolved in 200 parts by volume PhMe, a suspension of 1.5 parts NaNH2 in PhMe added, the mixture refluxed 18 hrs., cooled to 50°, a C6H6 solution of the base from 7 parts 2-chloromethyl-2-imidazoline-HCl added, the whole heated 2 hrs. a 50°-60°, refluxed 2 hrs., cooled, H2O added, extracted 3 times with 2N HOAC, made alkaline, and

resulting crystals recrystd. from BtOAc to give 1-{2-imidazolin-2-ylmethyl}-2-(p-methylphenyl)benzimidazole, m. 198-9\*. Similarly prepared were 1-{2-imidazolin-2-ylmethyl}-2-phenylbenzimidazole (II), m. 175\*, and 1-{2-imidazolin-2-ylmethyl}-2-penylbenzimidazole (II), m. 175\*, and 1-{2-imidazolin-2-ylmethyl}-2-penylbenzimidazole.
93317-58-9, Benzimidazole, 1-{2-imidazolin-2-ylmethyl}-2-phenyl-93330-03-1, Benzimidazole, 2-{p-chlorophenyl}-1-{2-imidazolin-2-ylmethyl}-2-phenyl-91ylmethyl}-2-phenyl-191ylmethyl}-2-phenyl-191ylmethyl}-2-phenyl-191ylmethyl}-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-2-phenyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-191ylmethyl-19

Benzimidazole, 1-(2-imidazolin-2-ylmethyl)-2-phenyl- (7CI) (CA INDEX NAME)

93330-03-1 CAPLUS Benzimidazole, 2-(p-chlorophenyl)-1-(2-imidazolin-2-ylmethyl)- (7CI) (CA INDEX NAME)

L4 ANSWER 135 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

93880-46-7 CAPLUS Benzimidazole, 1-(2-imidazolin-2-ylmethyl)-2-p-tolyl- (7Cl) (CA INDEX VAME)

ANSWER 136 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) heated 3 hrs. at 120° gave 2.6 g. VII (R = Me), b2 176-8°, nD 1.5509. I (R = Et) (1.9 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.9 g. VII (R = Et), b2 172-4°, nD 1.5438. IV (R = H) (2.4 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120°, the oily product (3.7 g.) pressed on clay, and recrystd. from Et20 gave 2.4 g. YCH2CH2N.CR.N.C.C.C.H.C.H.C.H.C.H. (VIII) (R = H), m. 61°; HCL salt m. 144-5°; picrate m. 201° (decompn.). IV (R = H), m. 61°; HCL (G.G.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 3.4 g. VIII.H20 (R = Me), m. 73° (moist Et20). IV (R = Et) (2.9 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 1.9 g. VIII (R = Et), b1 207-10°, m. 57-8° (Et20). Va (2.4 g.), 2.6 g. VII, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.4 g. YCH2CH2N.N.C.C.C.H.C.H.C.H.C.H. (D. 18.6) (2.4 g.), 2.6 g. VI, and 1.9 AcOH heated 3 hrs. at 120° gave 2.4 g. YCH2CH2N.N.C.C.C.H.C.H.C.H.C.H. (B. H.) 185-9°, nD 1.5872. I (R = H) (1.4 g.), 2.9 g. 2.4-dimethyl-6-vinyl-pyridine (TX), and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.0 g. WGACH2N.CR.N.C.H.C.H.C.H. (R = H) (M = 2.4-dimethyl-6-pyridyl throughout this abstr.), b2 184-6°, nD 1.5421. I (R = Me) (1.65 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.0 g. X (R = Me), b2 181-3°, nD 1.5360. I (R = Et) (1.9 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.0 g. X (R = Me), b2 181-3°, nD 1.5360. I (R = Et) (1.9 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 2.3 g. WCH2CH2N.CR.N.C.C.C.H.C.H.C.H.C.H. (M III.H2O, m. 72-3° (IRC.C.H.), VA (2.9 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 2.3 g. WCH2CH2N.CR.N.C.C.H.C.H.C.H.C.H. (M III.H2O, m. 72-3° (H2O); anhyd. XII m. 51-2°; methiodide m. 164°; picrate m. 210-12° (decompn.). Va (2.9 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 130° gave 2.3 g. XIV (R = Me), b1 1.5509; HCl salt m. 194-5°. I (R = Me), b2 1.23 g. XIII, and 0.1 g. AcOH heated 4 hrs. at 130° gave 2.3 g. XIV (R = Me), b1 1.5509;

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L4 ANSWER 136 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1961:124810 CAPLUS
DOCUMENT NUMBER: 55:124810
ORIGINAL REFERENCE NO: 55:23505b-1,23506a-9
Pyridylethylations of imidazole, benzimidazole, and benzotriazole
AUTHOR(S): Profit, Elmar; Georgi, Wolfgang
CORPORATE SOURCE: Ann. (1961), 642, 136-44
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The condensations of some vinylpyridines with a number of heterocyclic compds, were investigated. (All not at 20°). NN.CR:N.CR:CH:CH:(I) (R = H) (3.4 g.), 5.8 g. 2-vinylpyridine (II), and 0.3 g. AcOH heated 3 hrs. at 10°, the excess II and AcOH distilled in vacuo, and the residue fractionated twice in vacuo gave 7.0 g. ZCHZCHZN.CR:N.CH:CH:(II) (R = H) (Z = 2-pyridyl throughout this abstract), bl 161-3°, nD 15490; di-HCI salt m. 202°; pierate m. 203°; reineckate m.
150° (decomposition). III (R = H) (2 g.) in 10 cc. AcOH heated 2 hrs. at 80° vith 3 cc. 304 H202, the solution treated with an addnl. 3 cc. 304 H202, kept 3 hrs. at 80°, concentrated in vacuo, treated with hot saturated aqueous Na2CO3 until an alkaline reaction was obtained, and the product
isolated with CHCl3 gave 1.3 g. N-oxide-H20 of III (R = H), m. 62°
                                                                               saturated aqueous Na2CO3 until an alkaline reaction was obtained, and the Nuct isolated with ChCl3 gave 1.3 g. N-oxide-H2O of III (R = H), m. 62° (C6H6 with C). I (R = Me) (1.65 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.6 g. III (R = Me), ba 179-e31°, nD 1.5526; reineckate m. 165° (decomposition). I (R = Et), (1.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 110° gave 2.6 g. III (R = Et), b1 158-60°, n20D 1.5429; HCl salt m. 197°.
HN.CR.N.G.C.C.CH.CH.CH.CH.CH. (IV) (R = H), 5.9 g.), 5.8 g. II, and 0.3 g. AcOH heated 3 hrs. at 120° and the product rubbed with Et2O gave 2CH2CH2-N.CR.N.G.C.CH.CH.CH.CH.CH (V) (R = H), m. 69° (Et2O), which recrystd. from H2O yielded 7.0 g. V.H2O (R = H), m. 54°; HCl salt m. 186° (decomposition). Oxidation of V (R = H) as above gave 524 N-oxide of V hydrate (R = H), m. 89° (C6H6), reconverted to V (R = H) with Fe and AcOH. IV (R = Me) (6.6 g.), 5.8 g. II, and 0.3 g. AcOH heated 3 hrs. at 120° gave 8.1 g. V.H2O (R = Me), m. 55° (E2CO), which host its H2O after 5 days in vacuo over NaOH and then m. 62-3°; HCl salt m. 158°; picrate m. 215° (decomposition); reineckate m. 165° (decomposition); methiodide m. 162° (ECOH-ECZO). IV (R = Et) (2.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120° gave 86 v. (R = Et), m. 72° (EECO). IV (R = PhCH2) (4.2 g.), 2.3 g. II, and 0.1 g. AcOH heated 4 hrs. at 140° and the product crystallized from Et2O gave 4.6 g. crude V (R = PhCH2), m. 116° (CC14 with C). IV (R = Ph) (3.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 4 hrs. at 140° and the product crystallized from Et2O gave 4.6 g. crude V (R = PhCH2), m. 116° (CC14 with C). IV (R = Ph) (3.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 4 hrs. at 140° and the product crystallized from Et2O gave 4.6 g. crude V (R = PhCH2), m. 116° (CC14 with C). IV (R = Ph) (3.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 4 hrs. at 140° and the product crystallized from Et2O gave 4.6 g. crude V (R = PhCH2), m. 116° (CC14 with C). IV (R = Ph) (3.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 5 hrs. at 140° and 40° conc
                                                                                               PhCH2), m. 80-1*. Benzotriazole (Va) (2.4 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120* gave 2.4 g. ZCHZCHZN.N:N.C:C.CH:CH.CH:CH, bz 200-5*, nD 1.5918. I (R = N) (1.4 g.), 2.6 g. 2-methyl-6-vinylpyridine (VI), and 0.1 g. AcOH heated 3 hrs. at 120* gave 2.7 g. YCHZCHZN.CR:N.CH:CH (VII) (R = H) (Y = Z-methyl-6-pyridyl throughout this abstract), bz 174-6*, nD 1.5605; picrate m. 188*. I (R = Me) (1.65 g.), 2.6 g. VI, and 0.1 g. AcOH
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L4 ANSWER 137 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1961:110606 CAPLUS
OCCUMENT NUMBER: 55:10606
ORIGINAL REFERENCE NO.: 55:20737g-1,20738a-d
Photosensitive materials for reproduction purposes
PATENT ASSIGNEE(S): Kalle 6 Co. Akt.-Ges.
DOCUMENT TYPE: LANGUAGE: PATENT ACC. NUM. COUNT: 1
   ORIGINAL REFERENCE NO.:
TITLE:
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                      UNAVAILABLE
BUY ACC. NUM. COUNT: 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 837368 In addition to the information given in Ger. 1,047,622 (CA 55, 4214d), the following substituted 2-(4-hydroxyphenyl)benzimidazoles were prepared from the appropriate ortho diamines (1) with p-HOC6H4CHG (II) in EtOH (substituent, m.p. of product, and I used are given): 1-Me (III), 283-5-, o-H2NCGH4NHBW (IIIa); 1-Bt., (IV) 242-5, o-H2NCGH4NHBW (IIIa); 1-Bt., (IV) 242-5, o-H2NCGH4NHBW: 1-PC, O-H2NCGH4NHW: 1-PC, O-H2NCGH
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### 05/24/2005

Answer 137 of 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue about 120°; XXVII, 0.6, XIX, 0.6, 119-20°; XXVIII, 1.3, XX, 0.9, about 150°; XXVIII, 3, XXI, 2.23, 225-30°; XXVII, 2.3; XXIII, 2.7, 140-2°; 6-So2Cli isomer of XXVII, 2.7, XV, 2, 128-30°; XXVII, 2.2, XV, 2.5, 115-19°; XXVII, 2.3, III, 2.2, 80-4°; XXVII, 3, XXII, 3, 5, 110-15°; XXVII, 3, XXIV, 2.25, 160-5°; XXVIII, 3, XXV, 2.7, 175-8°.

94861-34-3, Qualacol. 4-[1-(2-piperidinoethyl)-2-benzimidazolyl)-9590-66-8, Gualacol. 4-[1-(2-piperidinoethyl)-2-benzimidazolyl)-3-diazo-3,4-dihydro-4-oxo-1-naphthalenesulfonate (preparation of)

(preparation of)
94961-54-3 (APRUS
Phenol, 2-methoxy-4-[1-(2-piperidinoethyl)-2-benzimidazolyl]- (7CI) (CA

INDEX NAME)

96590-66-8 CAPLUS 1-Naphthalenesulfonic acid, 3-diazo-3,4-dihydro-4-oxo-, 2-methoxy-4-[1-(2-piperidinoethyl)-2-benzimidazolyl)phenyl ester (6CI, 7CI) (CA INDEX NAME)

ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
H, Ph), m. above 250° (from MeOH-Me2CO). A similar direct
cyclization of IIIa to V ddi not occur. The stimulant action of serotin
on isolated segments of sheep carotid artery was inhibited 150 times more
by 3-methylamino- than by 5-manino-3-ethyl-2-methylindole (cf. Shaw and
Woolley, C.A. 48, 9554d) The corresponding benzimidazole analogs were
prepd. on the assumption that methylation of 2-methyl-5(6)nitrobenzimidazole (VII) with Me2SOO in the absence of alkali gave mainly
1,2-dimethyl-6-nitrobenzimidazole cycle the sakence of alkali gave mainly
1,2-dimethyl-6-nitrobenzimidazole, m. 1811ps C. A. 25, 425). VII (10
g., prepd. by nitration of 2-methylbenzimidazole) heated 7 hrs. at
140° With 7.5 ml. %1250d, the mixt. basification the NaOH and
filtered, the product (30-40%) crystd. from 176', and 8 parts
1-ethyl-2-methyl-5-nitrobenzimidazole, m. 176', and 8 parts
1-ethyl-2-methyl-5-nitrobenzimidazole, m. 176', and 8 parts
1-ethyl-2-methyl-5-nitrobenzimidazole, m. 176', and 8 parts
(VIII), m. 174° (from C6M6-pet - embry); monopicrate, m.
205'. VIII in 98-100% MCOH distd. slowly 6 hrs. with dropwise
addn. of PhMe with passage of distd. N2O and PhMe through a Dufton column,
the mixt. dild. with N2O, the aq. layer sepd. and evapd. to dryness in
vacuo, the residue taken up in H2O and basified with NaHCO3, the alk.
soln. extd. with CHCl3, the dried ext. evapd., and the residue crystd.
from %tcOMe gave 1-ethyl-6-formatiod-2-methylbenzimidazole,
170°. The formyl compd. (0.25 g.) in 20 ml. tetrahydrofuran added
slowly to 1 g. LiAlM in 20 ml. tetrahydrofuran, the stirred mixt. boiled
1.5 hrs., the excess LiAlM decompd., the product extd. with Et2O, the
ext. evapd. and treated with picric acid gave 1-ethyl-2-methyl-6methylaminobenzimidazole dipicrate, m. above 140° (decompn.) (from
fill alc.). As proof of structure attempts to replace the C1 atom in
2,5-Cl (NO2)CGH3NHEt by an NH2 group, or in 2,5-Cl (NO2)CGH3NH2 by NHEt
faled.

failed.
101091-09-2, Benzimidazole, 1-(2-aminoethyl)-2-phenyl106882-87-5, Benzimidazole, 1-(2-aminoethyl)-2-phenyl-,
-dihydrochioride

(preparation of)
101091-09-2 CAPLUS
Benzimidazole, 1-(2-aminoethyl)-2-phenyl- (6CI) (CA INDEX NAME)

106882-87-5 CAPLUS Benzimidazole, 1-(2-aminoethyl)-2-phenyl-, dihydrochloride (6CI) (CA INDEX NAME)

●2 HC1

L4 ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1957:66594 CAPLUS DOCUMENT NUMBER: 51:66594 ORIGINAL REFERENCE NO.: 51:12075h-i,12076a-h Benzimidazole analogs communications of the communication of the communi 1957:66594 CAPLUS
51:66594
51:12075h-i,12076a-h
Benzimidazole analogs of biologically active indole
derivatives
Foster, R.; Ing, H. R.; Rogers, E. F.
Oxford Univ., UK
Journal of the Chemical Society, Abstracts (1957)
1671-4
CODEN: JCSAAZ; ISSN: 0590-9791 AUTHOR(S): CORPORATE SOURCE: SOURCE: CODEN: JCSAAZ: ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

CASREACT 51:65594

AB To ascertain whether its pharmacol. activity resembles or differs from that of serotonin, the benzimidazole analog, 1-(2-aminoethyl)-6-hydroxybenzimidazole (6, 2-RR'-C-HBANCHACHENNE), where R, R' = HO, H) (I) has been prepared Anhydrous Cacl2 (1.5 g.), 24 g. NHZCHZCHZNH2, and 15 g. 3, 4-C1(02N)C6N3OMe stirred at 50°, heating discontinued to subsidence of reaction, the mixture heated 1 hr. on a steam bath, excess amine evaporated at 100° in vacuo, and the residue crystallized from 0.5N HCl yielded 76 in \*(3-methoxy-6-nitropheny)ethylenediamine-HCl. The salt (14.5 g.) heated with 21 g. o-C6N4(CO)ZO in 40 ml. pyridine 6 hrs. at 100°, the mixture diluted with H2O, and the solid product crystallized from dioxane yielded 96 S-methoxy-2-nitro-N-(2-phthalimidocthyl)aniline, m. 208°. The phthalimido compound (21 g.) in 70 ml. 98-100°

HCO2N and 250 ml. H2O stirred 2 hrs. at 100° with 35 g. Fe powder and dropwise addition of 30 ml. HCl, the cooled mixture extracted with CHCl3, and and dropwise addition or 30 ml. HCl, the cooled mixture extracted with CHCl3, and the gummy product crystallized from dilute alc. yielded 37% 6-methoxy-1-(2-phthalimidoethyl)benzimidazole 6, 2-RR'-C7H3N2CH2CH2N(CO)2C6H4, where R = MeO, R' = H) (II), m. 174°, reduced with N2H4 and converted to 1-(2-minoethyl)-6-methoxybenzimidazole dipicrate, m. 214-18° (decomposition) (from dilute alc.). II (6 g.) boiled 5 hrs. with 100 ml. 46-8% HBr, the mixture diluted with 100 ml. H2O, filtered, the filtrate evaporated in vacuo at 100°, the dark violet residue taken up in NH4OH, evaporated at room temperature, precipitated with saturated aqueous picric acid, and the precipitate crystallized 4 times from 50% aqueous alc. gav I dipicrate, m. above 140° (decomposition). o-C6H4(CO)2NCH2CH2NHCSH4NOZ-O, m. 176° (cf. Karrer and Naef, C.A. 31, 6928). (25 g.) refluxed 6 hrs. in EtOH with stirring in the presence of 25 g. Pe powder and dropwise addition of 12 ml. HCl, filtered hot, the filtrate diluted with excess H2O, and the crystalline product recrystd. from alc.

gave o-R2NHC6H4NR2CH2CH2N(CO)2C6H4-o (R2 = H) (III), m. 124-5\*, acetylated with Ac2O to III (R2 = Ac) (IIIa), m. 192-3\* (from CHCl3-He2CO). IIIa (3 g.) boiled 3 hrs. with 15 Hl. PoCl3, the solution diluted with H2O and extracted with CHCl3, the product crystallized from

D-EL2O gave II  $(R,R^* = H, Me)$  (IV), m. 170°. IV boiled 10 hrs. in alc. with an equal weight of N2H4, the mixture acidified and filtered, the filtrate extracted with CHCl3, the extract evaporated, the residue taken up in dilute

acid solution concentrated in vacuo, and the product crystallized twice from

MeOH-Ac20

MeOH-Ac20

gave I (R,R' = H, Me) (V) di-HCl salt, m. above 250°. III (10 g.)

heated 4 hrs. at 100° with 40 g. Bz O gave III (R = Bz) (VI), m.

197-8° (from CHCl3-Me2CO), converted by hydrazinolysis to I (R,R' =

L4 ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L4 ANSMER 139 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1955:53503 CAPLUS DOCUMENT NUMBER: 49:53503 CAPLUS 06:10271a-i,10272a-d
ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1955:53503 CAPLUS
DOCUMENT NUMBER: 49:53503
ORIGINAL REFERENCE 19:53503
ORIGINAL REFERENCE 19:10271a-i, 10272a-d
TITLE: Benzimidazoles
Jerchel, Dietrich; Kracht, Manfred; Krucker, Karl
OCORDATE SOURCE: Univ. Mainz, Germany
SOURCE: Ann. (1954), 590, 232-41
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): Unavailable
OTHER SOURCE(S): Unavailable
OTHER SOURCE(S): Unavailable
OTHER SOURCE(S): Man. (1954), 590, 232-41

a. c. C.A. 47, 2752c. Heating a solution of 2.25 g. 2-thenaldehyde and 2.2 g.
o-C6H4(NRI2) in J cc. PNNO2 gives 95% 2-(c-
thienyi) benzimidazole (1), m. 284° (from
alc. H20): II.HCl (III), m. 278 Heating in 4 cc. PNNO2 gives 92%
2-(a-thienyi)-5-d-dichlorobenimidazole (II) m. 252° (from
alc. H20): II.HCl (III), m. 278° (from alc. H20): Similarly 1 g.
c-C6H4 (NRI2) and principle benzimidazole (IV), m. 247° (from alc. H20): Similarly 1 g.
c-C6H4 (NRI2) and color of the color
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ANSWER 139 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1-hydroxyethyl-2-(p-chlorophenyl)benzimidazole (XXI), white crystals, m.
170' (from MeOH). A soln. of 1 g, XX in 8 cc. AmOH treated with
2.5 g, Na gives 0.52 g, XXI. A soln. of 0.8 g, XXXI in 20 cc. dry CHC13
treated with a soln. of 1.5 g, Pc15 in 50 cc. CHC13 gives the oily
1-(B-chloroethyl)-2-(p-chlorophenyl)benzimidazole (XXII). Warming
XXII with 3 cc. pyrolidine gives 461 1-(B-pyrrolidinoethyl)-2-(pchlorophenyl)benzimidazole (XXIII), colorless crystals, m. 84'
(from 5:2 alc.-R2O). A soln. of 10 g, XII in 250 cc. MeOH treated with a
soln. of 9 g, AgNO3 in 15 cc. H2O and 20 cc. concd. NH4OH gives 15 g, of
the Ag salt. A suspension of 10 g, of this salt in 100 cc. dry xylene is
dried by distilling off 70 cc. of solvent and then refluxed with 6 g.
Eto2cct12Br, glving 5.2 g. Et 2-phenylbenzimidazole-1-acetate (XXIV), m.
110-12' (from petr. ether). Heating 1.5 g. XXIV with excess
N2H4.H2O gives 1.3 g. 2-phenylbenzimidazole-1-acetate (XXIV), m.
203-4' (from meOH). Treating a soln. of 0.75 g. XXV in 20 cc.
iso-PrOH with 3 g. p-metoChH4CHO gives 0.5 g. 2-phenylbenzimidazole-1acetic acid p-methoxybranzylidenehydrazide (XXVI), yellow crystals, m.
260-61' (from meOH). Treating 4.39 g. 2-benzylbenzimidazole
(XXVII) with 3.58 g. AgNO3 as above gives 6.2 g. of the Ag salt. Treating
6.3 g. of this Ag salt with BrCH2CO2Et gives 1.2 g. Et
2-benzylbenzimidazole-1-acetate (XXVIII), m. 115-16' (from petr.
ether); heating 0.39 g. XXVIII with 24H AZHG.H2O gives 0.65 g. hydrazide
(XXXI), needles, m. 187-8' (from MeOH). XIV (3.67 g.) gives 4.8 g.
(931) XIV Ag salt. Treatime of 3.1 g. of this Ag salt with BrCH2CO2Et in
xylene gives 1.7 g. Et 2-phenyl-5.6-dichlorobenzimidazole-1-acetate (XXX),
m. 151'' (from petr. ether, b. 90-100''); a soln. of 1.4 g.
XXX in alc. treated with 8 cc. 24 in NZH4.H2O gives 0.78 g. hydrazide
(XXXI), sublimes 240', m. 260-70'. In the above manner 1 g.
XXX treated with 4 cc. 24 in NZH4.H2O gives 0.78 g. hydrazide
(XXXII); byth 12 tereflylbe

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L4 ANSWER 140 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1951:36138 CAPLUS
OCUMENT NUMBER: 45:36138 CAPLUS
SOME aminoalkyl derivatives of benzimidazole
SOURCE: SOURCE: Collection of Czechoslovak Chemical Communications (1950), 15, 196-203
CODEN: CCCCAK; ISSN: 0010-0765
CODEN: CCCCAK; ISSN: 0010-0765

JOURNAL CONTROL (S):

CASREACT 45:36138

CTHER SOUNCE(S):

CASREACT 45:36138

C.C.A. 24, 1838. Z-(2-Aminoethyl)benzimidazole (I) is prepared in 3 ways.

o-C6M4(NH2)2 (II) heated 1.5 hrs. at 145° with double its

weight of BrunkECKECOZD gives 75i 2-(2-benzamidoethyl)benzimidazole (III),

converted by EtOHHC1 to the mono-HC1 salt, m. 229-30°. III boiled

3 hrs. with 15i HC1 gives 67i I.2HC1, softens at 280°, m.

325°. A solution of 4.5 g. II and 4.9 g. β-alanine in 40 cc. 15i

HC1 is evaporated and the residue heated 2 hrs. at 160° and extracted with

MeOH, giving 35i I.2HC1, converted by NH40H-CHC13 to I, m. 160°. I

rapidly absorbs CO2 from the air. Et 2-benzimdazoleprojonate heated

with N2H4.H20 in EtOH gives 87i of the hydrazide, decompose 256°

(from MeOH); this with iso-AnnO2 in EtOH and HC1, followed by refluxing,

gives 65i 2-[2-(carbethoxyamino)ethyl]benzimidazole-HC1, decompose

235-7°, which, refluxed 7 hrs. with concentrated HC1, yields 93i I.2HC1.

2-Phenylbenzimidazole (IV) [9 g.) in 150 cc. hot dioxane treated with 7.5 g. 2-(1-piperidyl)ethyl chloride and 3 g. NaH2 and the mixture refluxed 6

hrs. gives, after filtration and solvent removal, 12.4 g. of a viscous

oil, purified by crystallization and distillation to yield

1-(2-(1-piperidyl)ethyl) horiode, and 1.5 g. NaNH2 give 4.3 g.

crude product that after sublimation yields crystals of

1-(2-dimethylaminoethyl) -2-phenylbenzimidazole, m. 79-80.5° (forms

a carbonate in air; picrate, m. 193-4°; succinate, m. 135°.

In the same way 4.5 g. 2-[p-methoxyphenyl]benzimidazole, m. 79-80.5° (forms

a carbonate in air; picrate, m. 193-4°; succinate, m. 104° (forms a

carbonate in air; slC1 salt, m. 248°.

IT 14339-09-4 CAPEUS

N 14339-09-4 CAPEUS

N 14339-09-4 CAPEUS
         DOCUMENT TYPE:
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14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

L4 ANSWER 141 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1949:38899 CAPLUS
DOCUMENT NUMBER: 43:38899
ORIGINAL REFERENCE NO: 43:7021g-1,7022a
TITLE: Histamine antagonists. V. Some 1-(2dimethylaminoethyl)benzimidazole derivatives
AUTHOR(S): Wright, John B.
SOURCE: Journal of the American Chemical Society (1949), 71,
2035-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
COTHER SOURCE(S): CASREACT 43:38899
AB of. C.A. 43, 4257e. Me2N(CH2)2NH2 (82.9 g.), 201.9 g. o-C6H4NO2, and 200
g. anhydrous AcOMa, heated 8 hrs. at 120-30°, qive 518
21-5-6°, nD23 1.648. I (13 g.) in 50 Ml. concentrated HGl, treated at 5° with 50 g. SnC12.2H20 in 72 ml. concentrated HGl, treated at 5° with 50 g. SnC12.2H20 in 72 ml. concentrated HGl, treated at 5° with 50 g. SnC12.2H20 in 72 ml. concentrated HGl, treated 2 hrs. on the seam bath, give 848 i-(2-dimethylaminoplaniline (II), m.
345° (mbs corrected). II (6.2g.) and 3 ml. anhydrous HCO2H, heated 2 hrs. on the seam bath, give 848 i-(2-dimethylaminoplaniline (II), m. 238-9.5° (uncor.)]. II and Br20, heated 16 hrs. at 145-50°, give 848 if the 2-Me derivative of III, bo. 311° [idi-HCl salt, m. 234" (decomposition)]. II and iso-PrCHO give 334 of the 2-Hs derivative of III, m. 72.5-44° (di-HCl salt, m. 234" (decomposition)]. II and iso-PrCHO give 334 of the 2-iso-Pr derivative of III, yellow, bl. 11 36-40° (dipicrate, yellow, m. 235-6° (decomposition), uncor.)]. 1-(2Dimethylaminoethyl)benzotriazole, bo.3 115-17°, 728 (HGl salt, m. 170.5-1.5°). These compds. possess only slight antihistaminic activity.

TT. 173712-81-9. Benzimidazole, l=(2-dimethylaminoethyl)-2-phenyl-, dihydrochloride (preparation of)
RN 175712-81-9. CAPLUS

сн<sub>2</sub>- сн<sub>2</sub>- мме<sub>2</sub>

●2 HC1

L4 ANSWER 142 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
ACCESSION NUMBER: 1947:17170 CAPLUS
DOCUMENT NUMBER: 41:17170
ORIGINAL REFERENCE NO.: 41:3456; 3457a
TITLE: 2-{p-Chlorophenyl}-1-{1-diethylamino-4-pentyl}-5methoxybenzimidazole
AUTHOR(S): McKee, R. L.; Bost, R. W.
CORPORATE SOURCE: Univ. of North Carolina, Chapel Hill
SOUNCE: Journal
OCOUMENT TYPE: Journal of the American Chemical Society (1947), 69,
471
CODDN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASKRACT 41:17170
AB N-{1-Diethylamino-4-pentyl}-2-nitro-4-methoxyaniline (7.3 g.) in 20 cc.
Et2O, reduced at room temperature over Raney Ni (2 atmospheric intial pressure), and
the dried solution treated with 25 cc. C5H5N and 4.6 g. p-c1C6H4CCOI and heated overnight on the steam bath, gives 521 2-{p-chlorophenyl}-1-{1-diethylamino-4-pentyl}-5-methoxybenzimidazole, red-brown, b3 240\*.

14 12311-22-9, Benzimidazole, 2-{p-chlorophenyl}-1-{(4-diethylamino-1-methylbutyl)-5-methoxy(preparation of)
RN 412311-22-9 CAPLUS
CN 1H-Benzimidazole-1-butanamine, 2-{4-chlorophenyl}-N,N-diethyl-5-methoxy8-methyl- (9CI) (CA INDEX NAME)

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---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
704.18 866.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
-103.66 -103.66

STN INTERNATIONAL LOGOFF AT 08:42:05 ON 24 MAY 2005